

10/070,954

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(FILE 'HOME' ENTERED AT 22:50:55 ON 26 JUN 2008)

FILE 'REGISTRY' ENTERED AT 22:51:08 ON 26 JUN 2008

L1 STRUCTURE uploaded
L2 50 S L1
L3 18913 S L1 SSS FUL
L4 18059 S L3 AND NRS>=3
L5 STRUCTURE uploaded
L6 17430 S L5 SUB=L3 FUL
L7 1483 S L3 NOT L6
L8 1413 S L7 AND NRS>=3
L9 560 S L8 AND CAPLUS/LC
L10 853 S L8 NOT L9

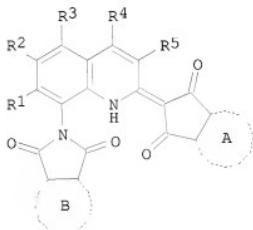
FILE 'CAPLUS' ENTERED AT 23:06:49 ON 26 JUN 2008

L11 68 S L8
L12 65 S L11 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2005/SO OR 2004/SO

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L12 ANSWER 1 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:444587 CAPLUS
 DOCUMENT NUMBER: 148:428557
 TITLE: Pigment dispersants and pigment dispersions useful for
 inks and coatings, etc.
 INVENTOR(S): Kimura, Shuichi; Suda, Yasumasa; Yauchi, Hiroyuki;
 Takayama, Masakazu
 PATENT ASSIGNEE(S): Toyo Ink Mfg. Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 2bpp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008081565	A	20080410	JP 2006-261593	20060927
PRIORITY APPLN. INFO.:			JP 2006-261593	20060927



I

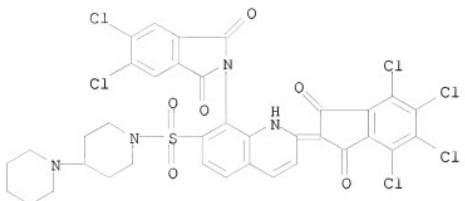
AB The dispersions use dispersants which are derivs. of quinophthalone and have structure of I [1 of R1-5 is -SO2NR6XNR7R8 (R6 = H, C1-6 alkyl; R7,R8 = C1-6 alkyl, aryl, C4-6 heterocyclic group) and the rest are H; X = C1-6 alkylene with a proviso; A, B = ring structure].

IT 1018476-56-6

RL: MOA (Modifier or additive use); USES (Uses)
 (dispersant; manufacture of pigment dispersants and pigment dispersions useful for inks and coatings)

RN 1018476-56-6 CAPLUS

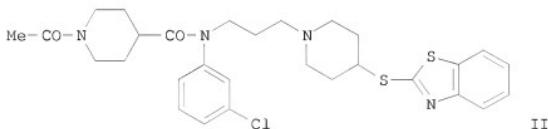
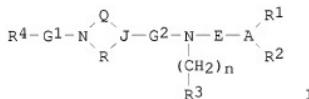
CN 1H-Isoindole-1,3(2H)-dione, 2-[7-([1,4'-bipiperidin]-1'-ylsulfonyl)-1,2-dihydro-2-(4,5,6,7-tetrachloro-1,3-dihydro-1,3-dioxo-2H-inden-2-ylidene)-8-quinolinyl]-5,6-dichloro- (CA INDEX NAME)



L12 ANSWER 2 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:120787 CAPLUS
 DOCUMENT NUMBER: 148:191858
 TITLE: Preparation of N-aryl-N-(heterocyclylalkyl)piperidinecarboxamides as CCR5 antagonists
 INVENTOR(S): Imamura, Shinichi; Baba, Masanori; Sugihara, Yoshihiro; Kanzaki, Naoyuki; Nishimura, Osamu; Hattori, Taeko; Hashiguchi, Shohei
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
 SOURCE: Can. Pat. Appl., 15pp.
 CODEN: CPXEB
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2608807	A1	20010412	CA 2000-2608807	20000929
CA 2385938	A1	20010412	CA 2000-2385938	20000929
JP 2003048880	A	20030221	JP 2002-180545	20000929
EP 1886994	A1	20080213	EP 2007-119933	20000929
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, AL, LT, LV, MK, RO, SI				
ZA 2002002593	A	20030403	ZA 2002-2593 JP 1999-282088 JP 2000-46749 CA 2000-2385938 EP 2000-962967 JP 2000-302841 WO 2000-JP6755	20020403 A 19991001 A 20000218 A3 20000929 A3 20000929 A3 20000929 W 20000929
PRIORITY APPLN. INFO.:				

OTHER SOURCE(S): MARPAT 148:191858
 GI



AB Title compds. (I) [wherein R1 = H, (un)substituted hydrocarbon or nonarom. heterocycle; R2 = (un)substituted hydrocarbon or nonarom. heterocycle; or R1 and R2 together with A form an (un)substituted heterocycle; A = N or

$N+(R5) \bullet Y-$; R5 = hydrocarbon; Y- = counteranion; R3 = (un)substituted (hetero)cycle; n = 0 or 1; R4 = H or (un)substituted hydrocarbon, heterocycle, alkoxy, aryloxy, or amino group; E = (un)substituted divalent aliphatic hydrocarbon; G1 = a bond, CO, or SO2; G2 = CO, SO2, NHCO, CONH, or OCO; J = CH or N; Q and R = independently a bond or (un)substituted divalent aliphatic hydrocarbon; provided that J = CH when G2 = OCO, that 1 of Q and R is not a bond when the other is a bond, and that each of Q and R is not substituted by oxo group(s) when G1 is a bond; or a salt thereof] were prepared as potent chemokine receptor CCR5 antagonists. I are useful for the treatment or prevention of the HIV disease in humans (e.g. AIDS). For example, II•HCl was synthesized in 34% yield in a 2-step process involving addition of TFA to a solution of 1-tert-butoxycarbonyl-4-(2-benzothiazolyliithio)piperidine in CH₂C₁₂, followed by addition of AcCN, 1-acetyl-N-(3-chlorophenyl)-N-(3-chloropropyl)-4-piperidinecarboxamide, K₂CO₃, and KI to the residue and workup. II•HCl showed 96% inhibition of HIV-1 infection in transformant MAGI-CCR5 cells. In addition, 42 example compds. were tested and gave inhibition rates of 82% to 100% at 1.0 μ M in a CCR5 antagonistic activity assay.

IT 333990-45-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryl-N-(heterocyclalkyl)piperidinecarboxamide CCR5 antagonists by amidation of N-(arylheterocyclalkyl)alkylamines or addition of heterocycles to N-aryl-N-(haloalkyl)piperidinecarboxamides)

RN 333990-45-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[{2-(acetylamino)-4-methyl-5-thiazolyl}sulfonyl]-N-(3,4-dichlorophenyl)-N-[3-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]propyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

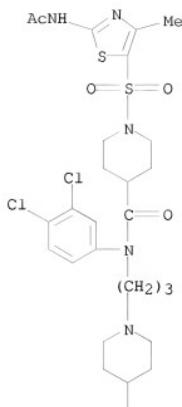
CM 1

CRN 333990-44-6

CMF C33 H40 C12 F N5 O4 S2

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PAGE 1-A

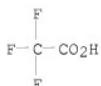


PAGE 2-A



CM 2

CRN 76-05-1
CME C2 H F3 O2



10/070,954

L12 ANSWER 3 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:70656 CAPLUS
 DOCUMENT NUMBER: 148:191851
 TITLE: Preparation of oxime compounds as blockers of calcium channels useful in the treatment of pain
 INVENTOR(S): Matsumura, Akira; Mikamiyama, Hidenori; Tsuno, Naoki; Kyle, Donald J.; Shao, Bin; Yao, Jiangchao
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan; Euro-Celtique S.A.
 SOURCE: PCT Int. Appl., 599pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008008398	A2	20080117	WO 2007-US15827	20070712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-830661P P 20060714
 OTHER SOURCE(S): MARPAT 148:191851
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to oxime compds. of formula I, and pharmaceutically acceptable salts, prodrugs, or solvates thereof. The invention is also directed to the use compds. of formula I to treat, prevent, or ameliorate a disorder responsive to the blockade of calcium channels, and particularly N-type calcium channels. Compds. of the present invention are especially useful for treating pain. In compds. I, m is 0 to 2; A is $(\text{CH}_2)_n$, wherein n is 0 to 2; X is H, (un)substituted (hetero)aryl, etc.; Y is C(O), SO₂, or (un)substituted CH₂, etc.; Z is (un)substituted alkyl or aryl, etc.; W is (un)substituted (alk|alken)ylene; R1 is (un)substituted alkyl, carboxy, or alkoxy carbonyl, etc.; including pharmaceutically acceptable salts, prodrugs, or solvates thereof. For instance, the invention compound II was prepared and gave a NTCC (N-type calcium channel) IC₅₀ value of 260 nM and LTCC (L-type calcium channel) IC₅₀ value of 6600 nM in a calcium mobilization in vitro assay.

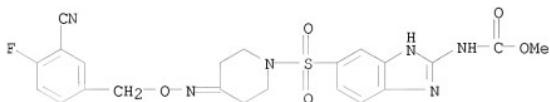
IT 1002748-39-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(intermediate and drug candidate; preparation of oxime compds. as calcium channel blockers useful in the treatment of pain)

RN 1002748-39-1 CAPLUS

CN Carbanic acid, N-[6-[(4-[(3-cyano-4-fluorophenyl)methoxy]imino)-1-piperidinylsulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (CA INDEX NAME)



L12 ANSWER 4 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1237247 CAPLUS
 DOCUMENT NUMBER: 147:502360
 TITLE: Imidazoloxazole and imidazothiazole compounds as RAF inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
 INVENTOR(S): Lapierre, Jean-Marc; Namdev, Nivedita D.; Ashwell, Mark A.; France, Dennis S.; Wu, Hui; Hutchins, Patrick M.; Tandon, Manish; Liu, Yanbin; Link, Jeff S.; Ali, Syed M.; Brassard, Chris J.; Nicewonger, Robb B.; Filikov, Anton; Carazza, Rebecca J.
 PATENT ASSIGNEE(S): Arqule Inc., USA
 SOURCE: PCT Int. Appl., 195pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007123892	A2	20071101	WO 2007-US9348	20070416
WO 2007123892	A3	20080131		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20070281955	A1	20071206	US 2007-785163	20070416
PRIORITY APPLN. INFO.:			US 2006-792314P	P 20060417
OTHER SOURCE(S):	MARPAT	147:502360		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides imidazoloxazole and imidazothiazole compds. of formula I and their synthesis. Compds. of formula I are capable of inhibiting the activity of RAF kinase, such as B-RAFV600E. The compds. are useful for the treatment of cell proliferative disorders such as cancer. Compds. of formula I wherein X is O, SOO-2; E and F are independently (CH₂)₁₋₃; Z is H, bond, CO, CONH and derivs., SO₂, CONHSO₂, etc.; R1 is (CH₂)₀₋₃-CONH₂ and derivs., NHCONH₂ and derivs., NHCSNH₂ and derivs., etc.; R2 is H, (CH₂)₀₋₃-CONH₂ and derivs., NHCONH₂ and derivs., NHCSNH₂ and derivs., etc.; R3 and R4 are independently H, (un)substituted lower alkyl, etc.; R12 is (un)substituted lower alkyl, (un)substituted (hetero)aryl, and (un)substituted heterocyclyl; R13 is H, C1-8 (fluoro)alkyl, C3-8 (fluoro), cycloalkyl, (halo)aryl and (halo)heteroaryl;

and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All of the invention compds. were evaluated for their RAF inhibitory activity (some data given).

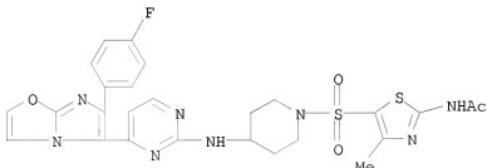
IT 885047-53-0P 885047-92-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazoloxazole and imidazothiazole compds. as RAF kinase inhibitors useful in treatment of diseases)

RN 885047-53-0 CAPLUS

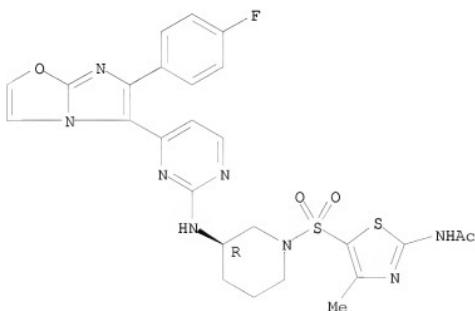
CN Acetamide, N-[5-[(4-[(4-(6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl)-2-pyrimidinyl]amino)-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)



RN 885047-92-7 CAPLUS

CN Acetamide, N-[5-[(3R)-3-[(4-[(6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl)-2-pyrimidinyl]amino)-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 5 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:537974 CAPLUS
 DOCUMENT NUMBER: 146:501077
 TITLE: Bicyclic pyrimidinone compounds as HIV integrase inhibitors, their preparation, pharmaceutical compositions, and use in therapy
 INVENTOR(S): Naidu, B. Narasimhulu; Sorenson, Margaret E.; Ueda, Yasutsugu; Matiskella, John D.; Walker, Michael A.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: U.S. Pat. Appl. Publ., 68pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070111985	A1	20070517	US 2006-595429	20061110
AU 2006315446	A1	20070524	AU 2006-315446	20061115
WO 2007059229	A1	20070524	WO 2006-US44378	20061115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KB, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2005-737062P P 20051116
 OTHER SOURCE(S): MARPAT 146:501077 WO 2006-US44378 W 20061115
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to bicyclic pyrimidinone compds. of formula I, which inhibit HIV integrase and prevent viral integration into human DNA. In compds. I, R1 is (un)substituted sulfamoylphenylalkyl; R2 is H, OH, alkyl, or alkoxy; and -X-Y-Z- forms (un)substituted fused 5- to 7-membered ring, optionally containing 1 or 2 heteroatoms independently selected from N, O, and S; including pharmaceutically acceptable salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I and a pharmaceutically acceptable carrier, optionally including a therapeutically effective amount of at least one other agent used for treatment of AIDS or HIV infection, as well as to the use of the compns. for the treatment of those infected with HIV. Heterocyclization of tetrahydropyran-4-one with ethylene glycol followed by ring opening with trimethylsilyl cyanide, addition of hydroxylamine, addition to di-Et acetylenedicarboxylate, and

rearrangement/heterocyclization gave pyrimidinone II, which was cyclized with mesyl chloride and hydroxy-group liberated with sodium ethoxide resulting in the formation of pyrimidinoxazine III. Amidation of 5-fluoro-2-methylbenzenesulfonyl chloride with piperazine followed by N-protection, bromination, substitution with azide, reduction, and deprotection gave benzylamine IV, which underwent amidation with III to give bicyclic pyrimidinone V. Several compds. of the invention, e.g., V, express IC₅₀ values between 2 and 100 nM for HIV integrase inhibition and EC₅₀ values between 3 and 100 nM for inhibition of HIV replication.

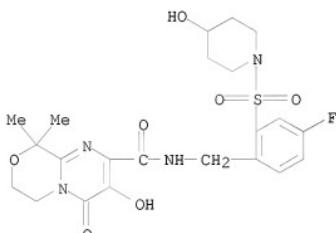
IT 936494-52-9P 936494-56-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of bicyclic pyrimidinone compds. as HIV integrase inhibitors)

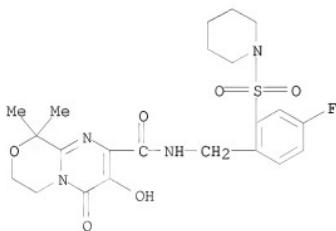
RN 936494-52-9 CAPLUS

CN Pyrimido[2,1-c][1,4]oxazine-2-carboxamide, N-[[4-fluoro-2-[(4-hydroxy-1-piperidinyl)sulfonyl]phenyl]methyl]-4,6,7,9-tetrahydro-3-hydroxy-9,9-dimethyl-4-oxo- (CA INDEX NAME)



RN 936494-56-3 CAPLUS

CN Pyrimido[2,1-c][1,4]oxazine-2-carboxamide, N-[[4-fluoro-2-(1-piperidinylsulfonyl)phenyl]methyl]-4,6,7,9-tetrahydro-3-hydroxy-9,9-dimethyl-4-oxo- (CA INDEX NAME)

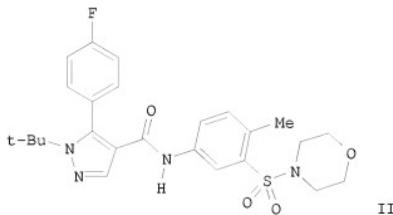
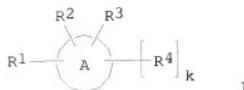


10/070,954

L12 ANSWER 6 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:510466 CAPLUS
 DOCUMENT NUMBER: 146:501048
 TITLE: Preparation of heterocyclic amide compounds as FXR
 inhibitors
 INVENTOR(S): Miura, Shotaro; Shimada, Mitsuyuki; Marui, Shogo;
 Tamura, Norikazu; Nakada, Yoshihisa; Tozawa, Ryuichi;
 Sakamoto, Junichi; Funabashi, Yasunori; Hosono,
 Hiroshi
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
 SOURCE: PCT Int. Appl., 1320pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007052843	A1	20070510	WO 2006-JP322420	20061102
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2008094826	A	20080424	JP 2007-116246	20070425
PRIORITY APPLN. INFO.:			JP 2005-321600	A 20051104
			JP 2006-251883	A 20060915

OTHER SOURCE(S): MARPAT 146:501048
 GI



AB Title compds. I [ring A = aromatic heterocycle; R1, R2 = (un)substituted alkyl, (un)substituted alkylthio, (un)substituted alkylsulfonyl, etc.; R3 = -CONH-(CR6R7)n-Ar-(X)l-(Y)m-R; Ar = (un)substituted divalent cyclic group; X = (un)substituted alkylene, (un)substituted alkenylene; Y = -SO2-, -SO-, -S-, etc.; R = H, (un)substituted cyclic group, (un)substituted amino, etc.; R6, R7 = H, alkyl; l, m, n = 0, 1; R3 is bonded to carbon in ring A.; R4 = H, (un)substituted alkyl, cyano, etc.; k = 0, 1], salts or prodrugs thereof were prepared. For example, treatment of 1-tert-butyl-5-(4-fluorophenyl)-1H-pyrazole-4-carboxylic acid Et ester in 2 steps, with oxalyl chloride followed by reaction with 4-methyl-3-(morpholin-4-ylsulfonyl)aniline afforded compound II. In FXR (farnesoid X receptor) inhibition assays, the IC50 value of compound II was 0.57 nM. Of note, compds. I are useful for the treatment of hyperlipidemia, atherosclerosis, etc.

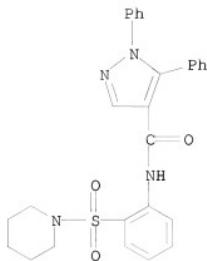
IT 936121-24-3P

RL: PAC (Pharmacological activity); **SPN:** (Synthetic preparation); **THU:** (Therapeutic use); **BIOL:** (Biological study); **PREP:** (Preparation); **USES:** (Uses)

(preparation of heterocyclic amide compds. as FXR inhibitors)

RN: 936121-24-3 CAPLUS

CN: 1H-Pyrazole-4-carboxamide, 1,5-diphenyl-N-[2-(1-piperidinylsulfonyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT:

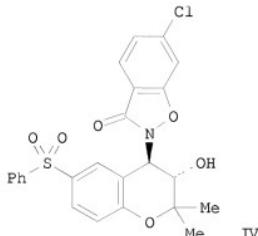
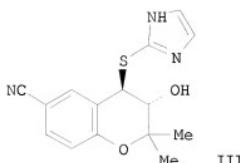
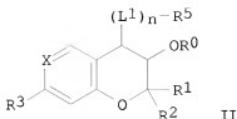
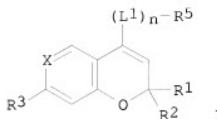
83

THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007259762 CAPLUS
 DOCUMENT NUMBER: 146:316776
 TITLE: Novel benzopyran derivatives as potassium channel openers and their preparation, pharmaceutical compositions and use in the treatment of potassium channel related disorders
 INVENTOR(S): Zhang, Xuqing; Li, Xiaojie; Sui, Zhihua
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 140pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007027780	A2	20070308	WO 2006-US33871	20060830
WO 2007027780	A3	20070712		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006284860	A1	20070308	AU 2006-284860	20060830
CA 2620846	A1	20070308	CA 2006-2620846	20060830
US 20070072832	A1	20070329	US 2006-468330	20060830
PRIORITY APPLN. INFO.:			US 2005-713550P	P 20050901
			WO 2006-US33871	W 20060830

OTHER SOURCE(S): MARPAT 146:316776
 GI



AB Compds. of formula I and II [R0 = H, Cl-4 alkyl, CO-Cl-4 alkyl, and (un)substituted benzoyl; R1, R2 = independently Cl-4 alkyl; or R1R2 are taken together to form a (un)substituted 5- to 7-membered heterocycloalkyl; R3 = H, halo, OH, CN, Cl-4 (halo)alkyl, Cl-4 (halo)alkoxy, NO2, NH2, etc.; X = CR4 and N; R4 = halo, OH, CN, Cl-4 (halo)alkyl, Cl-4 (halo)alkoxy, NO2, NH2, etc.; n = 0 and 1; L1 = O, S, SO, SO2, NH and derivs., NHCO and derivs., NHSO2 and derivs., etc.; R5 = (un)substituted Ph and (un)substituted heterocyclyl; and their pharmaceutically acceptable salts; with provisos] were prepared. Thus, example compound III was prepared by addition of 1,3-dihydroimidazole-2-thione to

(S,S)-2,2-dimethyl-1a,7b-dihydro-2H-1,3-dioxacyclopropa[a]naphthalene-6-carbonitrile. All the invention compds. were evaluated for their potassium channel opening activity. From the assay, it was determined that compound III exhibited an EC50 value of 0.84 μ M. A size 0 hard gel capsule containing IV and lactose was prepared

927413-52-3P

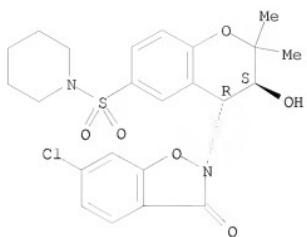
IT RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzopyran derivs. as potassium channel openers and their use in the treatment of disorders related to potassium channel)

RN 927413-52-3 CAPLUS

CN 1,2-Benzisoxazol-3(2H)-one, 6-chloro-2-[(3S,4R)-3,4-dihydro-3-hydroxy-2,2-dimethyl-1-(piperidinylsulfonyl)-2H-1-benzopyran-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.



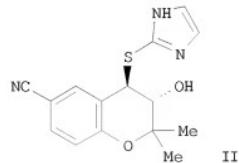
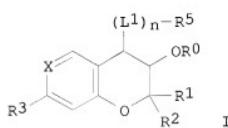
L12 ANSWER 8 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007230299 CAPLUS
DOCUMENT NUMBER: 146:274228
TITLE: Novel benzopyran derivatives as potassium channel openers and their preparation, pharmaceutical compositions and use in the treatment of potassium channel related disorders
INVENTOR(S): Zhang, Xuqing; Li, Xiaojie; Sui, Zhihua
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 57pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070049556	A1	20070301	US 2006-468325	20060830
AU 2006284675	A1	20070308	AU 2006-284675	20060830
CA 2620894	A1	20070308	CA 2006-2620894	20060830
WO 2007027959	A1	20070308	WO 2006-US34128	20060830
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, ID, IL, IN, IS, IE, KE, KG, KM, KN, KE, KR, KZ, LA, LC, LK, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TU, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2005-713323P	P 20050901
			WO 2006-US34128	W 20060830

OTHER SOURCE(S): MARPAT 146:274228
GI

OTHER SOURCE(S): MARPAT 146:274228

GI



AB The invention is directed to benzopyran derivs. of formula I, pharmaceutical compns. containing them and their use in the treatment of disorders related to potassium channel. Compds. of formula I wherein R0

is H, Cl-4 alkyl, CO-Cl-4 alkyl, and (un)substituted benzoyl; R1 and R2 are independently Cl-4 alkyl; R1R2 taken together to form a (un)substituted 5- to 7-membered heterocycloalkyl; R3 is H, halo, OH, CN, Cl-4 (halo)alkyl, Cl-4 (halo)alkoxy, NO₂, NH₂, etc.; X is CR₄ and N; R₄ is halo, OH, CN, Cl-4 (halo)alkyl, Cl-4 (halo)alkoxy, NO₂, NH₂, etc.; n is 0 and 1; L1 is O, S, SO, SO₂, NH and derivs., NHCO and derivs., NHSO₂ and derivs., etc.; R5 is (un)substituted Ph and (un)substituted heterocycl; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by addition of 1,3-dihydroimidazole-2-thione to (S,S)-2,2-dimethyl-1a,7b-dihydro-2H-1,3-dioxacyclopenta[*a*]naphthalene-6-carbonitrile. All the invention compds. were evaluated for their potassium channel opening activity. From the assay, it was determined that compound II exhibited an EC₅₀ value of 0.84 μM.

IT 927413-52-3P

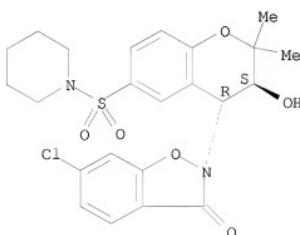
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzopyran derivs. as potassium channel openers and their use in the treatment of disorders related to potassium channel)

RN 927413-52-3 CAPLUS

CN 1,2-Benzisoxazol-3(2H)-one, 6-chloro-2-[(3S,4R)-3,4-dihydro-3-hydroxy-2-dimethyl-6-(1-piperidinylsulfonyl)-2H-1-benzopyran-4-yl]- (CA INDEX NAME)

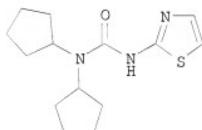
Absolute stereochemistry.



L12 ANSWER 9 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:61574 CAPLUS
 DOCUMENT NUMBER: 146:163100
 TITLE: Preparation of dicycloalkyl thiazolyl ureas as
 glucokinase activators
 INVENTOR(S): Murray, Anthony; Lau, Jesper; Vedsoe, Per;
 Kristiansen, Marit; Jeppesen, Lone
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 212pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007005760	A1	20070118	WO 2006-EP64026	20060707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JE, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, IJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006268708	A1	20070118	AU 2006-268708	20060707
CA 2614518	A1	20070118	CA 2006-2614518	20060707
EP 1904466	A1	20080402	EP 2006-777656	20060707
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
KR 2008024211	A	20080317	KR 2008-701592	20080121
PRIORITY APPLN. INFO.:			EP 2005-106284	A 20050708
			EP 2005-106519	A 20050715
			EP 2005-110779	A 20051116
			WO 2006-EP64026	W 20060707

OTHER SOURCE(S): MARPAT 146:163100
 GI



AB Title compds. represented by the formula R1R2NCONHA [wherein R1 = (un)substituted cyclohexyl; R2 = cyclohexyl; A = (un)substituted thiazolyl; and pharmaceutically acceptable salts, optical isomers or

tautomers thereof] were prepared as glucokinase activators. For example, reaction of 2-aminothiazole with dicyclopentylamine and carbonyldiimidazole gave I. The glucose sensitivity of the title compds. are measured at a compound concentration of 10 μ M and at glucose concns. of 5 and 15 mM. The title compds. are useful as activators of glucokinase for the treatment of diabetes (no data).

IT 920280-33-7P 920280-37-1P 920280-39-3P

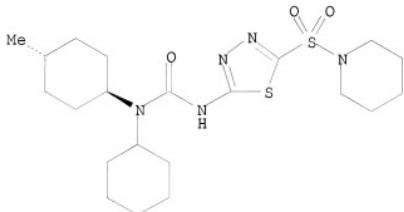
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dicycloalkyl thiazolyl ureas as glucokinase activators)

RN 920280-33-7 CAPLUS

CN Urea, N-cyclohexyl-N-(trans-4-methylcyclohexyl)-N'-(5-(1-piperidinylsulfonyl)-1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)

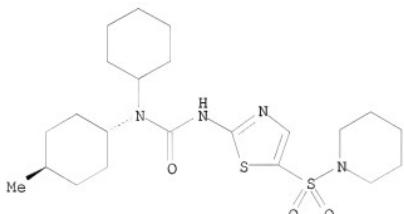
Relative stereochemistry.



RN 920280-37-1 CAPLUS

CN Urea, N-cyclohexyl-N-(trans-4-methylcyclohexyl)-N'-(5-(1-piperidinylsulfonyl)-2-thiazolyl)- (CA INDEX NAME)

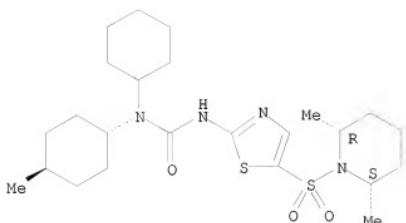
Relative stereochemistry.



RN 920280-39-3 CAPLUS

CN Urea, N-cyclohexyl-N'-(5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]sulfonyl)-2-thiazolyl]-N-(trans-4-methylcyclohexyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

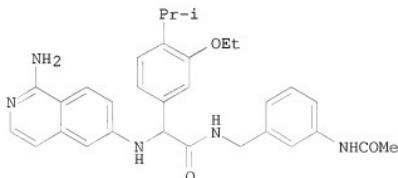
2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:16769 CAPLUS
 DOCUMENT NUMBER: 146:122297
 TITLE: Preparation of phenylglycinamide and pyridylglycinamide derivatives useful as anticoagulants
 INVENTOR(S): Zhang, Xiaojun; Nirschl, Alexandra A.; Zou, Yan;
 Priestley, Eldon Scott
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 437pp., which
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002313	A2	20070104	WO 2006-US24345	20060622
WO 2007002313	A3	20070518		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20070003539	A1	20070104	US 2006-472845	20060622
EP 1910298	A2	20080416	EP 2006-785361	20060622
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			US 2005-694074P	P 20050624
			US 2005-694076P	P 20050624
			WO 2006-US24345	W 20060622

OTHER SOURCE(S): MARPAT 146:122297
 GI



AB The invention provides novel glycinamide derivs. W-NHC(Y)R1CONR2CR3R4-Z [W

is (un)substituted 6-isoquinolyl, 1,7-diaza- or 1,6,7-triaza-3-naphthyl; Y, Z are (un)substituted Ph or pyridyl; R1, R4 are H or alkyl; R2, R3 are H, alkyl, CH₂CO₂H, CH₂CH₂OH, tetrazolyl, etc.] or stereoisomers or pharmaceutically-acceptable salts which are selective inhibitors of factor VIIa and can be used as medicaments. Thus, phenylglycinamide derivative I.TFA was prepared by a multistep sequence having amidation reaction as final step. Compds. of the examples have Ki values ≤ 15 μM in the factor VIIa assay.

IT 918808-35-2P 918808-37-4P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylglycinamide and pyridylglycinamide derivs. useful as anticoagulants)

RN 918808-35-2 CAPLUS

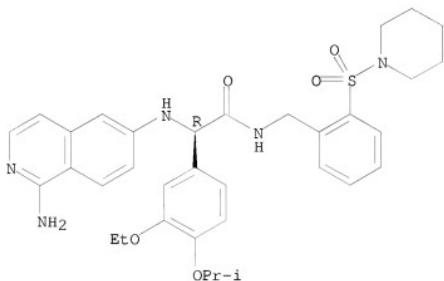
CN Benzeneacetamide, α-[(1-amino-6-isoquinolinyl)amino]-3-ethoxy-4-(1-methylethoxy)-N-[2-(1-piperidinylsulfonyl)phenyl]methyl-, (αR)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918808-34-1

CMF C34 H41 N5 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 918808-37-4 CAPLUS

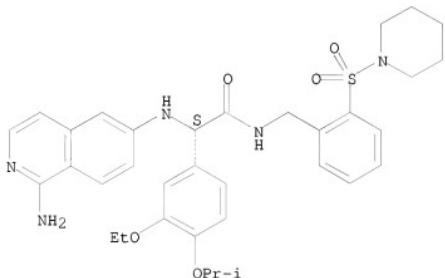
CN Benzeneacetamide, α -[(1-amino-6-isoquinolinyl)amino]-3-ethoxy-4-(1-methylethoxy)-N-[[2-(1-piperidinylsulfonyl)phenyl]methyl]-, (α S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918808-36-3

CMF C34 H41 N5 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 918808-33-0P 918808-39-6P 918808-41-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylglycinamide and pyridylglycinamide derivs. useful as anticoagulants)

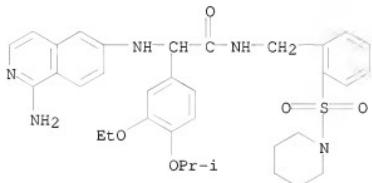
RN 918808-33-0 CAPLUS

CN Benzeneacetamide, α -[(1-amino-6-isoquinolinyl)amino]-3-ethoxy-4-(1-methylethoxy)-N-[[2-(1-piperidinylsulfonyl)phenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

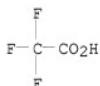
10/070,954

CRN 918808-32-9
CMF C34 H41 N5 O5 S



CM 2

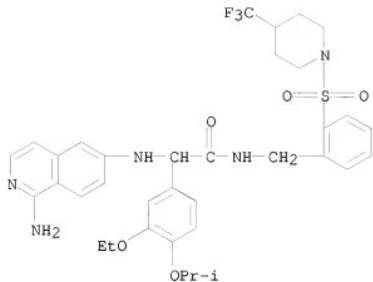
CRN 76-05-1
CMF C2 H F3 O2



RN 918808-39-6 CAPLUS
CN Benzeneacetamide, α -[(1-amino-6-isoquinolinyl)amino]-3-ethoxy-4-(1-methylethoxy)-N-[2-[(4-(trifluoromethyl)-1-piperidinyl)sulfonyl]phenyl]methoxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918808-38-5
CMF C35 H40 F3 N5 O5 S

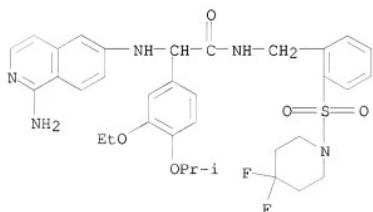


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918808-41-0 CAPLUS
 CN Benzeneacetamide, α -[(1-amino-6-isoquinolinyl)amino]-N-[(2-[(4,4-difluoro-1-piperidinyl)sulfonyl]phenyl)methyl]-3-ethoxy-4-(1-methylethoxy)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

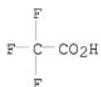
CM 1

CRN 918808-40-9
CMF C34 H39 F2 N5 O5 S

10/070,954

CM 2

CRN 76-05-1
CMF C2 H F3 O2

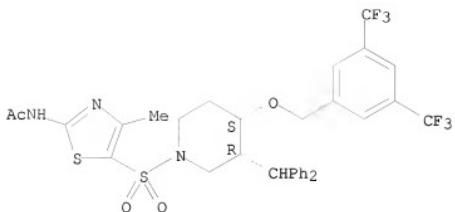


L12 ANSWER 11 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1155411 CAPLUS
 DOCUMENT NUMBER: 145:471540
 TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists
 INVENTOR(S): Nagaoka, Naomi; Marunaka, Shigeyuki; Fukuta, Makoto
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
 SOURCE: PCT Int. Appl., 323pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006115285	A1	20061102	WO 2006-JP308919	20060421
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AI, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: JP 2005-124335 A 20050421
 OTHER SOURCE(S): MARPAT 145:471540
 AB The title compds. (no biol. data) are prepared. This document discloses a pharmaceutical composition comprising N-(2-[(3R,4S)-4-((2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2-oxoethyl)acetamide (I), a salt or a prodrug thereof, a sugar and a hydrophilic water-insol. substance. Thus, N-(2-[(3R,4S)-4-((2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2-oxoethyl)acetamide was prepared in 3 steps from (3R,4S)-4-amino-3-phenylpiperidine-1-carboxylic acid tert-Bu ester and 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde. Formulations containing I are given. Tablets containing I showed high elution stability.
 IT 632345-50-7P 632346-16-8P 632346-63-5P
 632346-90-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperidine derivs. as tachykinin receptor antagonists)
 RN 632345-50-7 CAPLUS
 CN Acetamide, N-[5-[(3R,4S)-4-[(3,5-bis(trifluoromethyl)phenyl)methoxy]-3-(diphenylmethyl)-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]-, rel- (CA INDEX NAME)

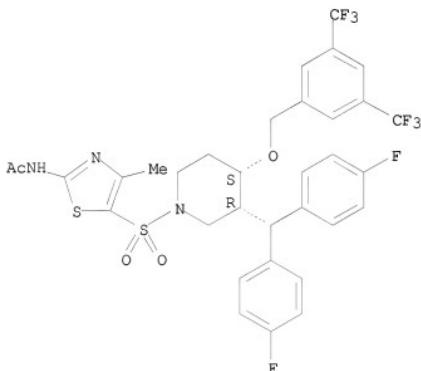
Relative stereochemistry.



RN 632346-16-8 CAPLUS

CN Acetamide, N-[5-[(3R,4S)-3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]-, rel- (CA INDEX NAME)

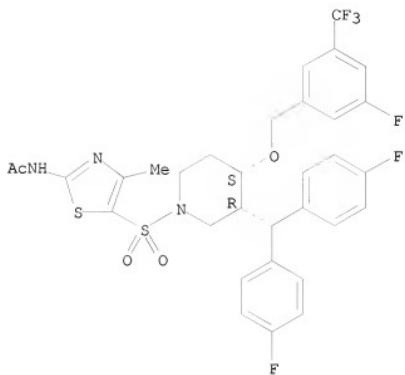
Relative stereochemistry.



RN 632346-63-5 CAPLUS

CN Acetamide, N-[5-[(3R,4S)-3-[bis(4-fluorophenyl)methyl]-4-[[3-fluoro-5-(trifluoromethyl)phenyl]methoxy]-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]-, rel- (CA INDEX NAME)

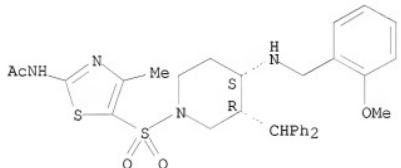
Relative stereochemistry.



RN 632346-90-8 CAPLUS

CN Acetamide, N-[5-[(3R,4S)-3-(diphenylmethyl)-4-[[{(2-methoxyphenyl)methyl]amino}-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

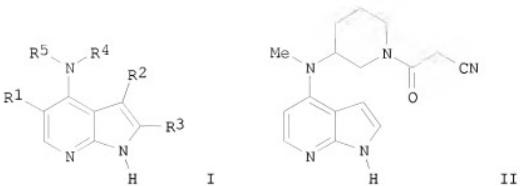
36

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:627604 CAPLUS
 DOCUMENT NUMBER: 145:83304
 TITLE: Pyrrolo[2,3-b]pyridin-4-yl-amines and
 pyrrolo[2,3-b]pyrimidin-4-yl-amines as janus kinase
 inhibitors and their preparation, pharmaceutical
 compositions and use for treatment of diseases
 INVENTOR(S): Rodgers, James D.; Wang, Heisheng; Combs, Andrew P.;
 Sparks, Richard B.
 PATENT ASSIGNEE(S): Incyte Corporation, USA
 SOURCE: PCT Int. Appl., 86 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069080	A2	20060629	WO 2005-US46207	20051221
WO 2006069080	A3	20070705		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KB, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
CA 2592119	A1	20060629	CA 2005-2592119	20051221
US 20060183906	A1	20060817	US 2005-313394	20051221
US 7335667	B2	20080226		
EP 1828181	A2	20070905	EP 2005-854854	20051221
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
PRIORITY APPLN. INFO.:				
		US 2004-638474P	P	20041222
		US 2005-726289P	P	20051013
		WO 2005-US46207	W	20051221

OTHER SOURCE(S): MARPAT 145:83304
 GI



AB The present invention provides pyrrolo[2,3-b]pyrimidinylamines and pyrrolo[2,3-b]pyridine-4-ylamines of formula I, that modulate the activity of Janus kinases and are useful in the treatment of diseases related to activity of Janus kinases including, for example, immune-related diseases and cancer. Compds. of formula I wherein R1-R3 are independently H, halo, C1-4 (halo)alkyl, C2-4 alkenyl, C2-4 alkynyl, (hetero)aryl, (hetero)cycloalkyl, CN, NO₂, OH and derivs., SH and derivs., CHO and derivs., CONH₂ and derivs., CO₂H and derivs., etc.; R4 is H, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, SO₂R₉, SOR₉, (un)substituted (hetero)cycloalkyl, etc.; R8 (un)substituted 3-8 membered (hetero)cycloalkyl, (un)substituted L-(3-8 membered (hetero)cycloalkyl); L is C1-4 alkylene, C1-4 alkenylene, O, S, NH and derivs., CO, CO₂, OCO, etc.; R9 is (un)substituted C1-4 alkyl, (un)substituted (hetero)aryl, (un)substituted (hetero)cycloalkyl; and their pharmaceutically acceptable salts and prodrugs thereof are claimed. Example compound II was prepared via oxygenation of 1H-pyrrolo[2,3-b]pyridine; the resulting 1H-pyrrolo[2,3-b]pyridine 7-oxide underwent chlorination and deoxygenation with methanesulfonyl chloride to give 4-chloro-1H-pyrrolo[2,3-b]pyridine, which underwent amination with 1-benzyl-N-methyl-piperidine-3-amine to give the corresponding amine, which underwent debenzylation to give N-methyl-N-piperidin-3-yl-N-(1H-pyrrolo[2,3-b]pyridine-4-yl)amine trihydrochloride, which underwent amidation with cyanoacetic acid to give compound II. All the invention compds. were evaluated for their Janus kinase inhibitory activity. The tested compds. that exhibited an IC₅₀ of about 10 μM or less are considered to be active compds.

IT 894359-44-5P

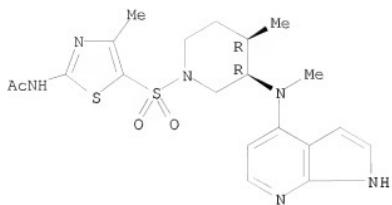
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolo-pyridinyl amines and pyrrolo-pyrimidinyl amines as Janus kinases inhibitors useful in treatment of diseases)

RN 894359-44-5 CAPLUS

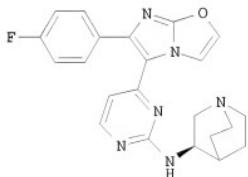
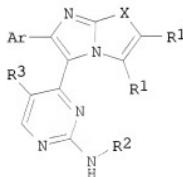
CN Acetamide, N-[4-methyl-5-[(3R,4R)-4-methyl-3-(methyl-1H-pyrrolo[2,3-b]pyridin-4-ylamino)-1-piperidinyl]sulfonyl]-2-thiazolyl]- (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 13 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:380989 CAPLUS
 DOCUMENT NUMBER: 144:432824
 TITLE: Preparation of pyrimidinyl imidazooxazoles and imidazothiazoles as inhibitors of p38 MAP kinase
 INVENTOR(S): Ashwell, Mark; Tandon, Manish; Lapierre, Jean-Marc
 PATENT ASSIGNEE(S): Arqule, Inc., USA
 SOURCE: PCT Int. Appl., 229 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006044869	A1	20060427	WO 2005-US37390	20051019
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2584368	A1	20060427	CA 2005-2584368	20051019
EP 1809636	A1	20070725	EP 2005-815645	20051019
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008517064	T	20080522	JP 2007-537967	20051019
PRIORITY APPLN. INFO.:			US 2004-619876P	P 20041019
OTHER SOURCE(S):	CASREACT 144:432824; MARPAT 144:432824		WO 2005-US37390	W 20051019
GI				



AB The title compds. I [wherein X = O, S, SO, or SO₂; Ar = 2,3-dihydrobenzo[1,4]dioxin-6-yl, benzo[1,3]dioxol-5-yl, or

(un)substituted aryl; R1 = H, CN, CO2H, halo, alkyl, etc.; R2 = (un)substituted alkyl, cycloalkyl, heterocyclyl, or aryl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl, or heteroaryl] or pharmaceutically acceptable salts or prodrugs thereof are prepared as inhibitors of the p38 MAP kinase. For example, the compound II was prepared in a multi-step synthesis. I are useful for the treatment of inflammation and autoimmune disease (no data).

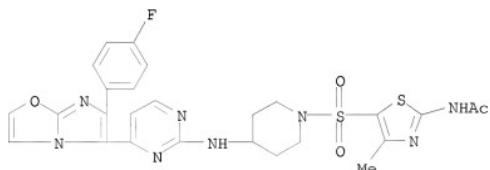
IT 885047-53-0P 885047-92-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrimidinyl imidazooxazoles and imidazothiazoles as inhibitors of p38 MAP kinase)

RN 885047-53-0 CAPLUS

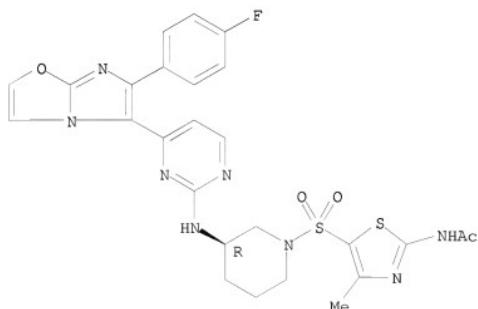
CN Acetanide, N-[5-[(4-[(6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl)-2-pyrimidinyl]amino)-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)



RN 885047-92-7 CAPLUS

CN Acetanide, N-[5-[(3R)-3-[(4-[(6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl)-2-pyrimidinyl]amino)-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)

Absolute stereochemistry.



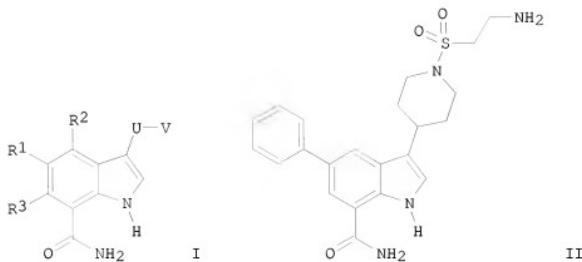
10/070,954

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:298630 CAPLUS
 DOCUMENT NUMBER: 144:350542
 TITLE: Indole derivatives as IKK2 inhibitors and their preparations, pharmaceutical compositions, and use for treatment of diseases associated with inappropriate IKK2 activity such as rheumatoid arthritis, asthma and chronic obstructive pulmonary disease
 INVENTOR(S): Kerns, Jeffrey K.; Lindenmuth, Michael; Lin, Xichen; Nie, Hong; Thomas, Sonia M.
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 220 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006034317	A2	20060330	WO 2005-US33752	20050921
WO 2006034317	A3	20070419		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BS, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2005286795	A1	20060330	AU 2005-286795	20050921
CA 2581180	A1	20060330	CA 2005-2581180	20050921
EP 1793826	A2	20070613	EP 2005-798511	20050921
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101060842	A	20071024	CN 2005-80039763	20050921
JP 2008513500	T	20080501	JP 2007-532632	20050921
US 20070254873	A1	20071101	US 2007-575416	20070316
MX 200703283	A	20070518	MX 2007-3283	20070320
IN 2007DN02158	A	20070803	IN 2007-DN2158	20070320
NO 2007001988	A	20070418	NO 2007-1988	20070418
KR 2007057969	A	20070607	KR 2007-709055	20070420
PRIORITY APPLN. INFO.:			US 2004-611761P	P 20040921
			US 2005-695454P	P 20050630
			WO 2005-US33752	W 20050921

OTHER SOURCE(S): MARPAT 144:350542
 GI



AB The invention is directed to indole carboxamide derivs. of formula I. Compds. of formula I wherein R1 is H, halo or YZ; R2 and R3 are independently H, F or Cl; Y is a bond, C1-6 alkylene, C2-6 alklenylene or C2-6 alkynylene; Z is (un)substituted (hetero)aryl; U is a bond, C1-6 alkylene or C2-6 alklenylene; V is (un)substituted Ph, (un)substituted 5- or 6-membered heteroaryl, (un)substituted 5- to 7-membered heterocycloalkyl, (un)substituted C5-7 cycloalkyl or (un)substituted C5-7 cycloalkenyl; and their pharmaceutically acceptable salts, solvates, or polymorphs thereof are claimed in this invention. The compds. of the invention are inhibitors of IKK2 and can be useful in the treatment of disorders associated with inappropriate IKK2 (also known as IKK α) activity, such as rheumatoid arthritis, asthma, and COPD (chronic obstructive pulmonary disease). Accordingly, the invention is further directed to pharmaceutical compns. comprising a compound of the invention. The invention is still further directed to methods of inhibiting IKK2 activity and treatment of disorders associated therewith using a compound of the invention or a pharmaceutical composition comprising a compound of the invention.

Example compound II was prepared by N-Boc protection of indoline followed by acylation with Me chloroformate to give Me 1-(tert-butoxycarbonyl)indoline-7-carboxylate, which underwent bromination to give 5-bromo derivative, which was deprotected; the resulting Me 5-bromoindoline-7-carboxylate was dehydrated to give the Me 5-bromoindolecarboxylate, which upon hydrolysis gave the 5-bromo-7-indolecarboxylic acid, which underwent cross-coupling with phenylboronic acid; the resulting 5-phenylindole-7-carboxylic acid was converted to the corresponding indolecarboxamide, which underwent condensation with N-benzyl-4-piperidinone to give 3-(4-benzyl-1,2,3,6-tetrahydropyridin-4-yl)-5-phenylindole-7-carboxamide, which was subjected to hydrogenation; the resulting 3-(4-piperidinyl)-5-phenylindole-7-carboxamide was sulfonylated with 2-(1,3-dioxo-1,3-dihydro-2H-isindol-2-yl)ethanesulfonyl chloride to give 3-[1-[2-(1,3-dioxo-1,3-dihydro-2H-isindol-2-yl)ethanesulfonyl]piperidin-4-yl]-5-methyl-1H-indole-7-carboxamide, which was reacted with to give compound II. Addnl. 315 example compds. were prepared by similar methods. All the invention compds. were evaluated for their IKK2 kinase inhibitory activity. From the IKK2 assay, it was determined that example compound II along with several other compds.

have PIC50 values of 5.0 or greater. In the monocyte assay, most of the tested

compound showed IC₅₀ values or less than 10μM.

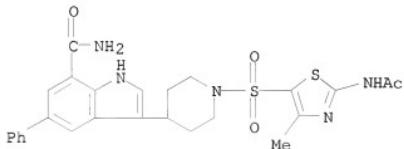
IT 881380-37-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indole derivs. as IKK2 inhibitors and for treatment of diseases associated with inappropriate IKK2 activity such as rheumatoid arthritis, asthma and chronic obstructive pulmonary disease)

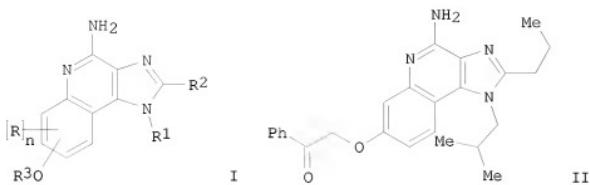
RN 881380-37-6 CAPLUS

CN 1H-Indole-7-carboxamide, 3-[1-[[2-(acetylamino)-4-methyl-5-thiazolyl]sulfonyl]-4-piperidinyl]-5-phenyl- (CA INDEX NAME)



L12 ANSWER 15 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:316318 CAPLUS
 DOCUMENT NUMBER: 142:392406
 TITLE: Preparation of alkoxy substituted imidazoquinolines as immunomodulators
 INVENTOR(S): Lindstrom, Kyle J.; Merrill, Bryon A.; Haraldson, Chad A.; Rice, Michael J.; Kshirsagar, Tushar A.; Heppner, Philip D.; Wurst, Joshua R.; Niwas, Shri; Johannessen, Sarah C.
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
 SOURCE: PCT Int. Appl., 386 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005032484	A2	20050414	WO 2004-US32616	20041001
WO 2005032484	A3	20050630		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004278014	A1	20050414	AU 2004-278014	20041001
CA 2540541	A1	20050414	CA 2004-2540541	20041001
EP 1673087	A2	20060628	EP 2004-794092	20041001
R: AT, BE, CH, LI, CY, BG, CZ				
BR 2004014856	A	20061121	BR 2004-14856	20041001
CN 1897948	A	20070117	CN 2004-80036217	20041001
JP 2007507542	T	20070329	JP 2006-534221	20041001
US 20070060754	A1	20070315	US 2006-595230	20060328
MX 2006PA03705	A	20060620	MX 2006-PA3705	20060331
IN 2006CN01139	A	20070831	IN 2006-CN1139	20060403
PRIORITY APPLN. INFO.:			US 2003-508634P	P 20031003
OTHER SOURCE(S):	MARPAT	142:392406	WO 2004-US32616	W 20041001
GI				



AB The title imidazoquinolines with an alkoxy substituent at the 6-, 7-, 8- or 9-position [I; R = alkyl, alkoxy, OH, etc.; n = 0-1; R₁, R₂ = H, non-interfering substituents; R₃ = ZYR₁ZHet, etc. (Z = alkylene, alkenylene, and alkynylene optionally interrupted with one or more O groups; Y = S, SO, SO₂, (un)substituted SO₂NH, etc.); R₄ = H, alkyl, aryl, etc.; Het = (un)substituted heterocyclyl)], useful as immunomodulators, for inducing or inhibiting cytokine biosynthesis in animals and in the treatment of diseases including viral, and neoplastic (no specific biol. data given), were prepared E.g., a multi-step synthesis of II, was given. Pharmaceutical compns. containing the compds. I are disclosed.

IT 850060-72-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of alkoxy substituted imidazoquinolines as immunomodulators)

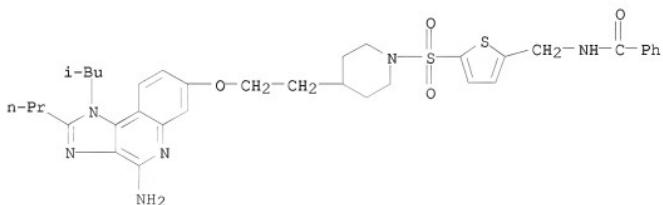
RN 850060-72-9 CAPLUS

CN Benzamide, N-[5-[(4-[2-[(4-amino-1-(2-methylpropyl)-2-propyl-1H-imidazol[4,5-c]quinolin-7-yl)oxy]ethyl]-1-piperidinyl)sulfonyl]-2-thienylmethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CBN 850060-71-8

CRN 650080-71-8
CME C36 H44 N6 Q4 S2

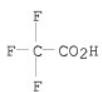


CM 2

CRN 76-05-1

10/070,954

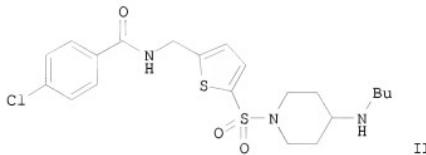
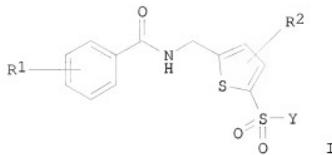
CMF C2 H F3 O2



L12 ANSWER 16 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:259868 CAPLUS
 DOCUMENT NUMBER: 142:341890
 TITLE: Sulfonylamine derivatives for the treatment of diabetes
 INVENTOR(S): Rueckle, Thomas; Vitte, Pierre-Alain; Gotteland,
 Jean-Pierre
 PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth.
 Antilles
 SOURCE: PCT Int. Appl., 116 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200502558	A1	20050324	WO 2004-EP52143	20040913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004271741	A1	20050324	AU 2004-271741	20040913
CA 2534312	A1	20050324	CA 2004-2534312	20040913
EP 1663193	A1	20060607	EP 2004-787131	20040913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007505088	T	20070308	JP 2006-525836	20040913
NO 2006001598	A	20060609	NO 2006-1598	20060407
US 20070043027	A1	20070222	US 2006-571466	20060825
PRIORITY APPLN. INFO.:			EP 2003-102742	A 20030912
			WO 2004-EP52143	W 20040913

OTHER SOURCE(S): MARPAT 142:341890
 GI



AB The present invention is related to the use of sulfonamides I and their geometrical isomers, its enantiomers, diastereomers, racemates, and their pharmaceutically acceptable salts for the manufacture of a medicament for the treatment of metabolic disorders mediated by insulin resistance or hyperglycemia, comprising diabetes type II, inadequate glucose tolerance, insulin resistance, obesity, polycystic ovary syndrome (PCOS) [Y = 4-12 membered saturated cyclic or bicyclic alkyl containing at least one N; R1 = H, alkoxy, alk(en/yn)yl, NH2, S, SO, SO2, halo, etc.; R2 = H, CO2H and derivs., OH, CONH2 and derivs., etc.]. Efficacy of sulfonamide derivs. I in exptl. model of type II diabetes was studied. For instance, using II (50 mg/kg, p.o.), a decrease of 42% in blood glucose level as well as a decrease of 61% in the insulin level was determined in vivo assay in db/db mice compared to the animals treated by the vehicle (10 mg/kg). Oral formulations of sulfonamides I is described.

IT 332415-52-8, 4-Chloro-N-[5-[(4-hydroxy-4-phenylpiperidin-1-yl)sulfonyl]thien-2-yl]methanimide 332415-54-0, N-[5-[(4-Benzoylpiperidin-1-yl)sulfonyl]thien-2-yl]methyl]-4-chlorobenzamide 332415-57-3, 4-Chloro-N-[5-[(4-(2-oxo-2,3-dihydro-1H-benzimidazol-1-yl)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 332415-59-5, N-[5-[(4-Benzylpiperidin-1-yl)sulfonyl]thien-2-yl]methyl]-4-chlorobenzamide 332415-65-3, 4-Chloro-N-[5-[(4-(hydroxydiphenylmethyl)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 332415-75-5, N-[5-[(4-(1H-1,2,3-Benzotriazol-1-yl)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]-4-chlorobenzamide 332415-79-9, 4-Chloro-N-[5-[(4-(2,4-difluorobenzoyl)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 332416-11-2, tert-Butyl [1-[(5-[(4-chlorobenzoyl)amino]methyl)thien-2-yl]sulfonyl]piperidin-4-yl]carbamate 332416-15-6, 4-Chloro-N-[5-[(piperidin-1-ylsulfonyl)thien-2-yl]methyl]benzamide 332416-22-5, 4-Chloro-N-[5-[(3-hydroxy-4-[3-(trifluoromethyl)phenyl]piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 332416-25-8, N-[5-[(4-Benzyloxy)piperidin-

1-yl]sulfonyl]thien-2-yl)methyl]-4-chlorobenzamide 332416-27-0,
 4-Chloro-N-[5-[(4-hydroxypiperidin-1-yl)sulfonyl]thien-2-
 yl)methyl]benzamide 332416-32-7, N-[5-[(4-Benzyl-4-
 hydroxypiperidin-1-yl)sulfonyl]thien-2-yl)methyl]-4-chlorobenzamide
 332416-33-8, 4-Chloro-N-[5-[(4-(3-trifluoromethylsulfonylphenyl)
 aminol)piperidin-1-yl]sulfonyl]thiophen-2-yl)methyl]benzamide
 332416-34-9, N-[5-[(4-(2-tert-Butyl-1H-indol-5-
 yl)aminol)piperidin-1-yl]sulfonyl]thien-2-yl)methyl]-4-chlorobenzamide
 332416-35-0, 4-Chloro-N-[5-[(4-(phenylacetyl)amino)piperidin-1-
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 N-[5-[(4-(2H-1,2,3-Benzotriazol-2-yl)piperidin-1-yl)sulfonyl]thien-2-
 yl)methyl]-4-chlorobenzamide 332421-97-3, 4-Chloro-N-[5-[(4-(4-
 chlorobenzoyl)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide
 406486-95-1, 5-[(3-Methoxybenzoyl)amino]methyl]-2-[(4-[3-
 [(trifluoromethyl)sulfonyl]anilino]piperidin-1-yl)sulfonyl]thiophene-3-
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 methoxybenzoyl)amino]methyl]-2-[(4-[3-[(trifluoromethyl)sulfonyl]anilino]
 piperidin-1-yl)sulfonyl]thiophene-3-carboxamide 406487-02-3,
 N-[4-(Hydroxymethyl)-5-[(4-[3-[(trifluoromethyl)sulfonyl]anilino]piperidi-
 n-1-yl)sulfonyl]thien-2-yl)methyl]-3-methoxybenzamide 406487-03-4
 , 5-[(3-Methoxybenzoyl)amino]methyl]-2-[(4-(octylamino)piperidin-1-
 yl)sulfonyl]thiophene-3-carboxylic acid 406487-04-5,
 N-[4-(Hydrazinocarbonyl)-5-[(4-[3-[(trifluoromethyl)sulfonyl]anilino]piperi-
 din-1-yl)sulfonyl]thien-2-yl)methyl]-3-methoxybenzamide
 406487-05-6, 5-[(3-Methoxybenzoyl)amino]methyl]-2-[(4-[3-
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 carboxamide 406487-06-7, N-[2-(Dimethylamino)ethyl]-5-[(3-
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 piperidin-1-yl)sulfonyl]thiophene-3-carboxamide 406677-95-0,
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 methoxybenzamide 406678-06-6, 3-Methoxy-N-[5-[(4-[(2,3,4,5,6-
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 406678-08-8, N-[5-[(4-[(4-Butoxybenzyl)amino]piperidin-1-
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 2-yl)methyl]benzamide 406678-10-2, 3-Methoxy-N-[5-[(4-[(pyridin-
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 406678-11-3, 3-Methoxy-N-[5-[(4-[(pyridin-2-
 ylmethyl)amino]piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide
 406678-12-4, 3-Methoxy-N-[5-[(4-[(pyridin-3-

ylmethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-13-5, 3-Methoxy-N-[5-[(4-[(quinolin-4-yl)methyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-14-6, N-[5-[(4-[(4-tert-Butylbenzyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl-3-methoxybenzamide 406678-15-7, N-[5-[(4-[(3-Ethoxybenzyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-16-8, 4-Chloro-N-[5-[(4-(hexylamino)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 406678-17-9, 4-Chloro-N-[5-[(4-(heptylamino)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 406678-18-0, 4-Chloro-N-[5-[(4-(pentylamino)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 406678-19-1, 4-Chloro-N-[5-[(4-(butylamino)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 406678-20-4, 4-Chloro-N-[5-[(4-(dodecylamino)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 406678-22-6, 4-Chloro-N-[5-[(4-[(2-cyclohexylethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-23-7, 4-Chloro-N-[5-[(4-[(cyclohexylmethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-24-8, 4-Chloro-N-[5-[(4-[(1R)-1-cyclohexylethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-25-9, N-[5-[(4-[(1R,2R,4S)-Bicyclo[2.2.1]hept-2-yl]amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-4-chlorobenzamide 406678-26-0, 4-Chloro-N-[5-[(4-[(2-propoxylethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-27-1, N-[5-[(4-[(1-Adamantylmethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-4-chlorobenzamide 406678-28-2, 4-Chloro-N-[5-[(4-[(2-(pyridin-2-yl)ethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-29-3, 4-Chloro-N-[5-[(4-[(2-(piperidin-1-yl)ethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-30-6, 4-Chloro-N-[5-[(4-[(2-ethylhexyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-31-7, 4-Chloro-N-[5-[(4-(octylamino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-32-8, N-[5-[(4-(Heptylamino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406678-33-9, 3-Methoxy-N-[5-[(4-(octylamino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-34-0, 3-Methoxy-N-[5-[(4-(pentylamino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-35-1, N-[5-[(4-(Butylamino)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406678-36-2, N-[5-[(4-(Dodecylamino)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406678-37-3, 3-Methoxy-N-[5-[(4-(nonylamino)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 406678-38-4, 3-Methoxy-N-[5-[(4-(decylamino)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 406678-39-5, 3-Methoxy-N-[5-[(4-(ethylamino)piperidin-1-yl)sulfonyl]thien-2-yl]methyl]benzamide 406678-40-8, N-[5-[(4-[(2-Cyclohexylethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406678-41-9, N-[5-[(4-[(1R)-1-Cyclohexylethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406678-42-0, N-[5-[(4-[(1R,2R,4S)-Bicyclo[2.2.1]hept-2-yl]amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406678-43-1, 3-Methoxy-N-[5-[(4-[(2-propoxylethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-44-2, N-[5-[(4-[(1-Adamantylmethyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406678-45-3, N-[5-[(4-[(3,3-Diethoxypropyl)amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406678-46-4,

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 3-Methoxy-N-[[5-[[4-[[2-(pyridin-2-yl)ethyl]amino]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-48-6,
 3-Methoxy-N-[[5-[[4-[[2-(piperidin-1-yl)ethyl]amino]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-49-7,
 N-[[5-[[4-[(2-Ethylhexyl)aminol]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406678-50-0, N-[[5-[[4-(Hexylaminol)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406678-51-1,
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 3-Methoxy-N-[[5-[[4-[[2-(4-methylphenyl)ethyl]amino]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-53-3,
 3-Methoxy-N-[[5-[[4-[[((1S,2R)-2-phenylcyclopropyl)amino]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 406678-55-5,
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(trifluoromethyl)-1H-1,2,3-benzotriazol-1-yl)piperidin-1-yl]sulfonyl]thien-2-yl)methyl]benzamide 830331-35-6 830331-36-7
 830331-37-8, 4-Chloro-N-[(5-[(4-(5-chloro-1H-1,2,3-benzotriazol-1-yl)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 830331-38-9
 , 4-Chloro-N-[(5-[(4-(6-chloro-1H-1,2,3-benzotriazol-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 830331-39-0
 830331-40-3 830331-41-4, N-[(5-[(4-(1H-Benzimidazol-1-yl)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-4-chlorobenzamide
 830331-42-5, 4-Chloro-N-[(5-[(4-(5-nitro-1H-benzimidazol-1-yl)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 830331-43-6
 , 4-Chloro-N-[(5-[(4-(6-nitro-1H-benzimidazol-1-yl)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 830331-45-8
 830331-46-9, 4-Chloro-N-[(5-[(4-(2H-1,2,3-triazol-2-yl)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 830331-47-0
 830331-48-1, 4-Chloro-N-[(5-[(4-(9H-purin-9-yl)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 830331-49-2,
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 848557-18-6, 4-Chloro-N-[(5-[(4-(4-fluorobenzoyl)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848557-26-6,
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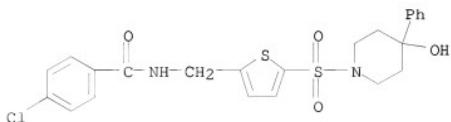
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 848557-55-1, 4-Chloro-N-[5-[(4-(3-methoxyanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848557-56-2,
 4-Chloro-N-[5-[(4-[3-(methylsulfonyl)anilino)piperidin-1-yl]sulfonyl]thien-2-yl)methyl]benzamide 848557-57-3,
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 4-Chloro-N-[5-[(4-(2-propylanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848557-68-6, 4-Chloro-N-[5-[(4-(2,2-dioxido-1,3-dihydrobenzo[c]thien-5-yl)amino)piperidin-1-yl]sulfonyl]thien-2-yl)methyl]benzamide 848557-69-7, 4-Chloro-N-[5-[(4-[2,3-dihydro-1H-inden-5-yl)amino)piperidin-1-yl]sulfonyl]thien-2-yl)methyl]benzamide
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 848557-76-6, 4-Chloro-N-[5-[(4-[4-(trifluoromethyl)pyrimidin-2-yl)amino)piperidin-1-yl]sulfonyl]thien-2-yl)methyl]benzamide
 848557-77-7, 4-Chloro-N-[5-[(4-(3-cyclohexyl-4-hydroxyanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide
 848557-78-8, N-[5-[(4-[3-(Butylamino)sulfonyl]anilino)piperidin-1-yl]sulfonyl]thien-2-yl)methyl]benzamide 848557-79-9,
 4-Chloro-N-[5-[(4-(3-ethylanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848557-80-2, 4-Chloro-N-[5-[(4-(5,6,7,8-tetrahydronaphthalen-1-ylamino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848557-81-3, N-[5-[(4-[3-(Aminosulfonyl)anilino)piperidin-1-yl]sulfonyl]thien-2-yl)methyl]-4-chlorobenzamide 848557-82-4, 4-Chloro-N-[5-[(4-quinolin-5-

ylamino)piperidin-1-yl]sulfonyl]thien-2-yl)methyl]benzamide
 848557-83-5, 4-Chloro-N-[5-[4-(quinolin-8-ylamino)piperidin-1-
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 848557-91-5, 3-Nitro-N-[5-[4-(3-methoxyanilino)piperidin-1-
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 (antidiabetic agent; thiophenesulfonamide derivs. for treatment of diabetes)

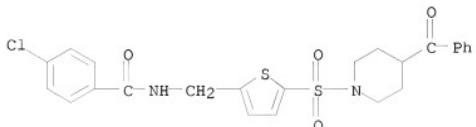
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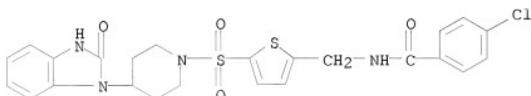


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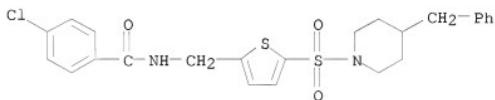
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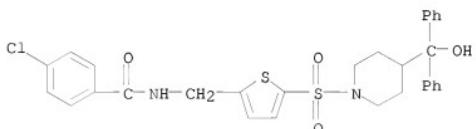
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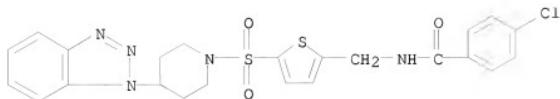
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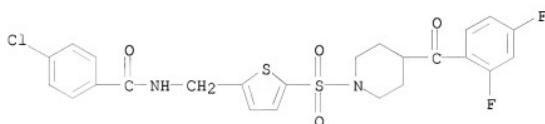
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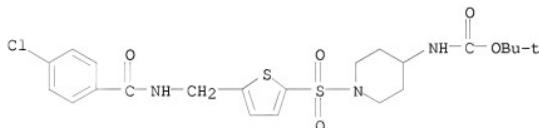
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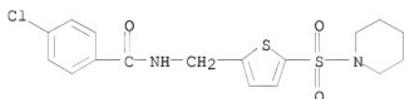
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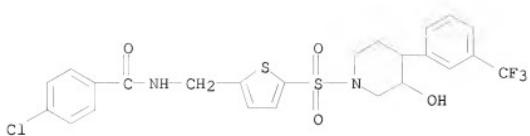
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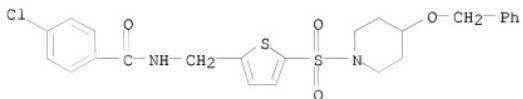
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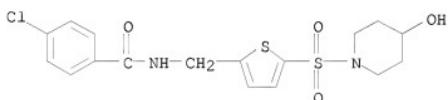
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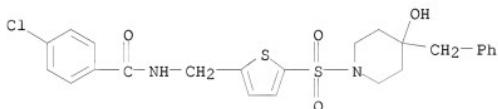
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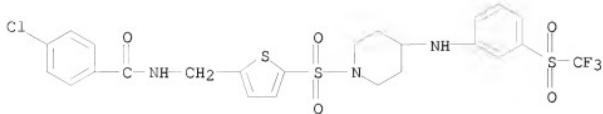
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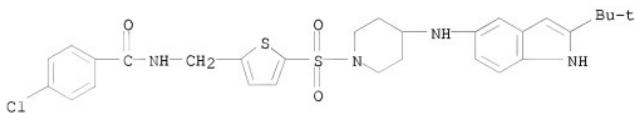
RN 332416-32-7 CAPLUS
CN Benzamide, 4-chloro-N-[{5-[{4-hydroxy-4-(phenylmethyl)-1-piperidinyl}sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



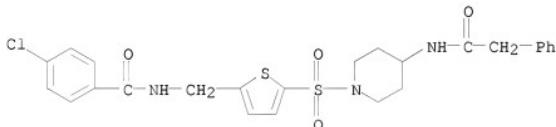
RN 332416-33-8 CAPLUS
CN Benzamide, 4-chloro-N-[{5-[{4-[{3-[(trifluoromethyl)sulfonyl]phenyl}amino]-1-piperidinyl}sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



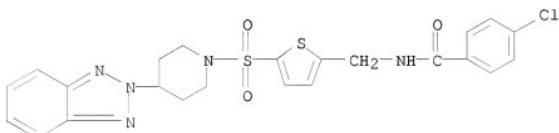
RN 332416-34-9 CAPLUS
CN Benzamide, 4-chloro-N-[{5-[{4-[(2-(1,1-dimethylethyl)-1H-indol-5-yl]amino}-1-piperidinyl]sulfonyl}-2-thienyl]methyl]- (CA INDEX NAME)



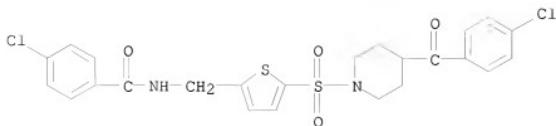
RN 332416-35-0 CAPLUS
CN Benzeneacetamide, N-[{1-[{5-[{(4-chlorobenzoyl)amino}methyl]-2-thienyl]sulfonyl}-4-piperidinyl]methyl]- (CA INDEX NAME)



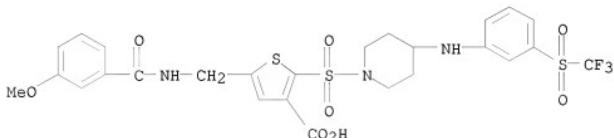
RN 332416-40-7 CAPLUS
CN Benzamide, N-[{5-[{4-(2H-benzotriazol-2-yl)-1-piperidinyl]sulfonyl}-2-thienyl]methyl]-4-chloro- (CA INDEX NAME)



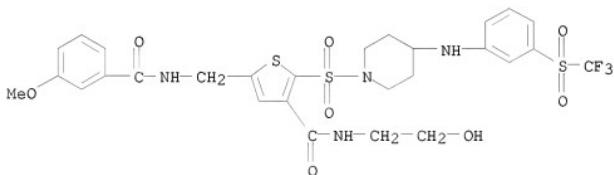
RN 332421-97-3 CAPLUS
CN Benzamide, 4-chloro-N-[{5-[{4-(4-chlorobenzoyl)-1-piperidinyl]sulfonyl}-2-thienyl]methyl]- (CA INDEX NAME)



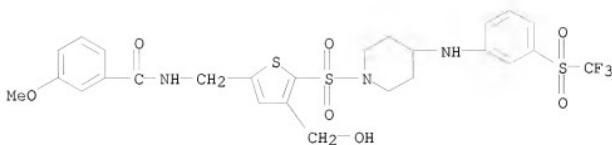
RN 406486-95-1 CAPLUS
CN 3-Thiophene carboxylic acid, 5-[(3-methoxybenzoyl)amino]methyl]-2-[(4-[(3-trifluoromethyl)sulfonyl]phenyl)amino]-1-piperidinylsulfonyl]- (CA INDEX NAME)



RN 406487-01-2 CAPLUS
CN 3-Thiophene carboxamide, N-(2-hydroxyethyl)-5-[(3-methoxybenzoyl)amino]methyl]-2-[(4-[(3-trifluoromethyl)sulfonyl]phenyl)amino]-1-piperidinylsulfonyl]- (CA INDEX NAME)

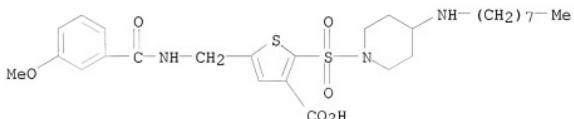


RN 406487-02-3 CAPLUS
CN Benzamide, N-[(4-(hydroxymethyl)-5-[(4-[(3-[(trifluoromethyl)sulfonyl]phenyl)amino]-1-piperidinylsulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



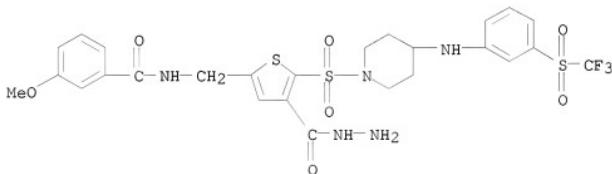
RN 406487-03-4 CAPLUS

CN 3-Thiophenecarboxylic acid, 5-[(3-methoxybenzoyl)amino]methyl]-2-[(4-octylamino)-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



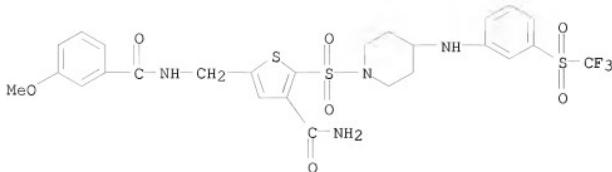
RN 406487-04-5 CAPLUS

CN 3-Thiophenecarboxylic acid, 5-[(3-methoxybenzoyl)amino]methyl]-2-[(4-[(3-[(trifluoromethyl)sulfonyl]phenyl)amino]-1-piperidinyl)sulfonyl]-, hydrazide (CA INDEX NAME)



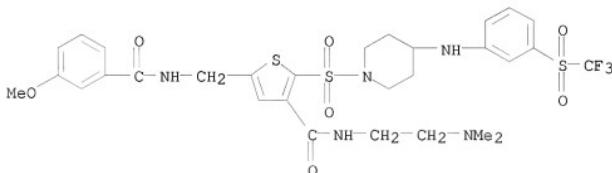
RN 406487-05-6 CAPLUS

CN 3-Thiophenecarboxamide, 5-[(3-methoxybenzoyl)amino]methyl]-2-[(4-[(3-[(trifluoromethyl)sulfonyl]phenyl)amino]-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



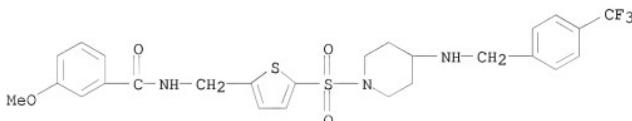
RN 406487-06-7 CAPLUS

CN 3-Thiophenecarboxamide, N-[2-(dimethylamino)ethyl]-5-[(3-methoxybenzoyl)amino]methyl-2-[[4-[(3-[(trifluoromethyl)sulfonyl]phenyl)amino]-1-piperidinylsulfonyl]- (CA INDEX NAME)



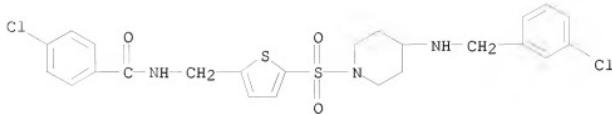
RN 406677-95-0 CAPLUS

CN Benzanide, 3-methoxy-N-[[5-[[4-[[[4-((trifluoromethyl)phenyl)methyl]amino]-1-piperidinylsulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)

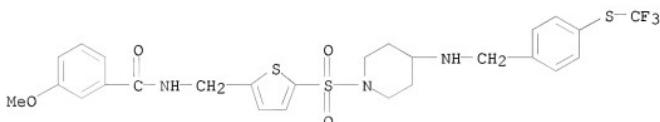


RN 406677-96-1 CAPLUS

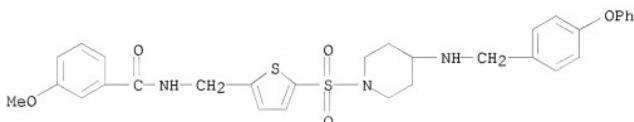
CN Benzanide, 4-chloro-N-[[5-[[4-[(3-chlorophenyl)methyl]amino]-1-piperidinylsulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



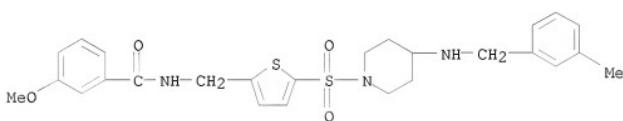
RN 406677-98-3 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[[4-((trifluoromethyl)thio)phenyl]methyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



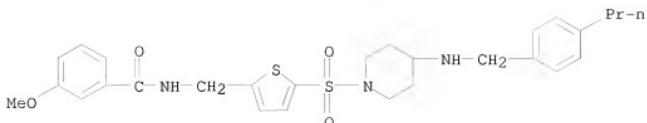
RN 406677-99-4 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[[4-((4-phenoxyphenyl)methyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



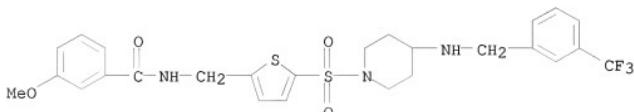
RN 406678-01-1 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[[4-((3-methylphenyl)methyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



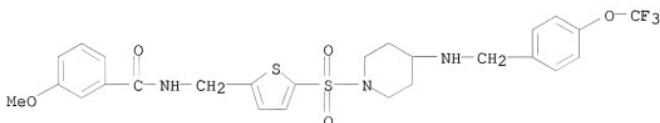
RN 406678-02-2 CAPLUS
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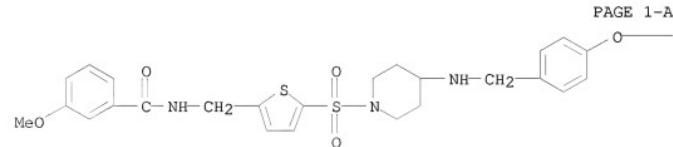
RN 406678-03-3 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[[4-[[3-(trifluoromethyl)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



RN 406678-04-4 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[[4-[[4-(trifluoromethoxy)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



RN 406678-05-5 CAPLUS
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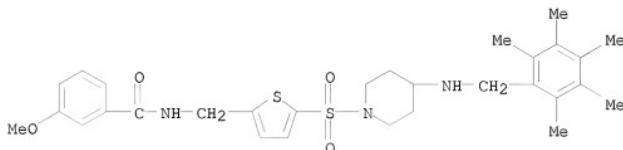


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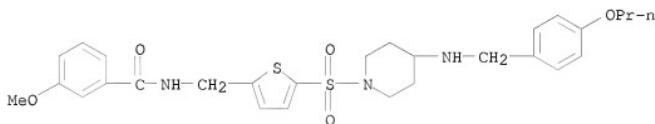
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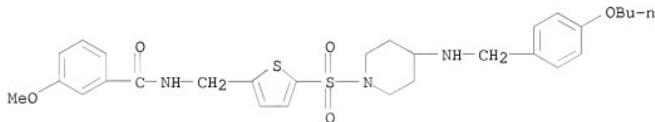
RN 406678-06-6 CAPLUS
CN Benzanide, 3-methoxy-N-[(5-[[4-[(2,3,4,5,6-pentamethylphenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



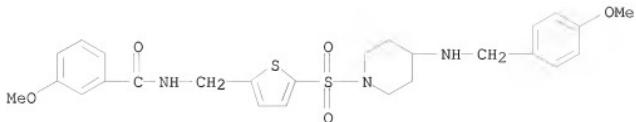
RN 406678-07-7 CAPLUS
CN Benzanide, 3-methoxy-N-[(5-[[4-[(4-propoxypyhenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 406678-08-8 CAPLUS
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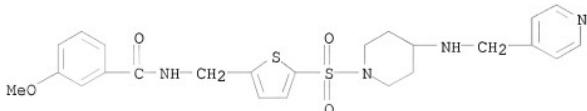


RN 406678-09-9 CAPLUS
CN Benzanide, 3-methoxy-N-[(5-[[4-[(4-methoxyphenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



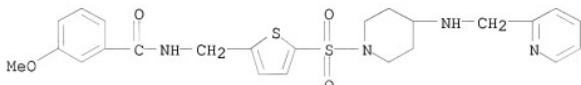
RN 406678-10-2 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[(4-pyridinylmethyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



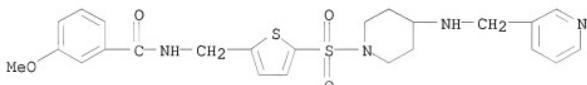
RN 406678-11-3 CAPLUS

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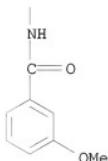
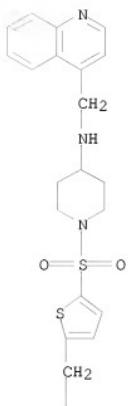
RN 406678-12-4 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[(4-[(3-pyridinylmethyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



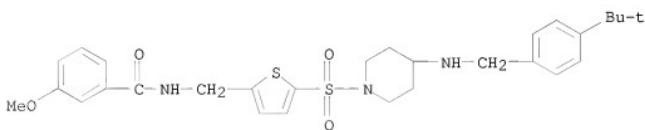
RN 406678-13-5 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[(4-[(4-quinolinylmethyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



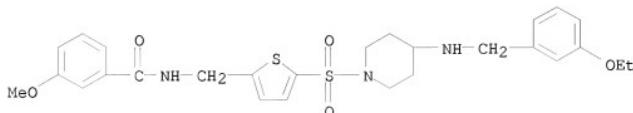
RN 406678-14-6 CAPLUS

CN Benzamide, N-[[5-[[4-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



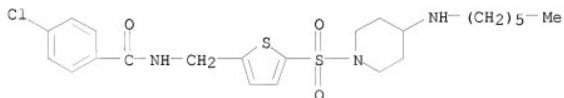
RN 406678-15-7 CAPLUS

CN Benzamide, N-[5-[(4-[(3-ethoxyphenyl)methyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]-3-methoxy- (CA INDEX NAME)



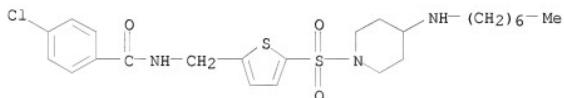
RN 406678-16-8 CAPLUS

CN Benzamide, 4-chloro-N-[5-[(4-(hexylamino)-1-piperidinyl)sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



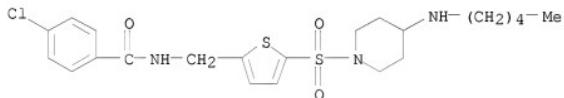
RN 406678-17-9 CAPLUS

CN Benzamide, 4-chloro-N-[5-[(4-(heptylamino)-1-piperidinyl)sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



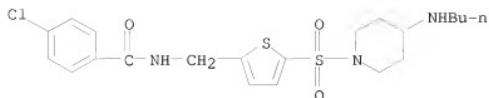
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CN Benzamide, 4-chloro-N-[5-[(4-(pentylamino)-1-piperidinyl)sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)

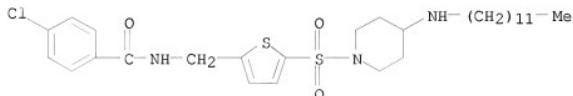


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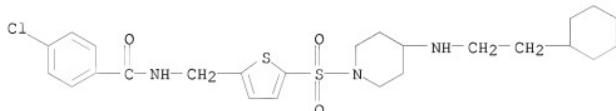
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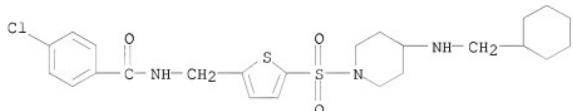
RN 406678-20-4 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-(dodecylamino)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)



RN 406678-22-6 CAPLUS
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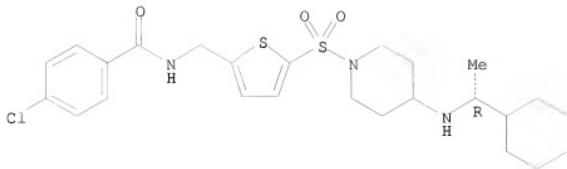


RN 406678-23-7 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(cyclohexylmethyl)amino]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)



RN 406678-24-8 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(1R)-1-cyclohexylethyl]amino]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)

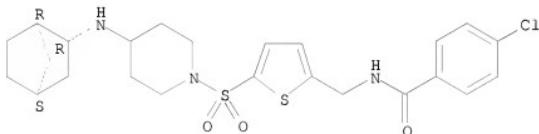
Absolute stereochemistry.



RN 406678-25-9 CAPLUS

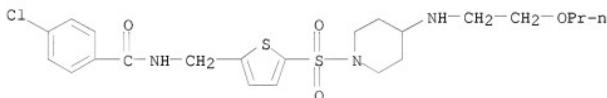
CN Benzamide, N-[(5-[(4-((1R,2R,4S)-bicyclo[2.2.1]hept-2-ylamino)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-4-chloro- (CA INDEX NAME)

Absolute stereochemistry.



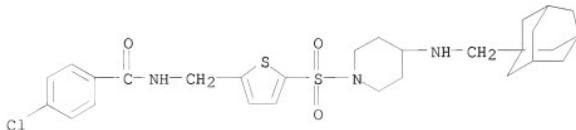
RN 406678-26-0 CAPLUS

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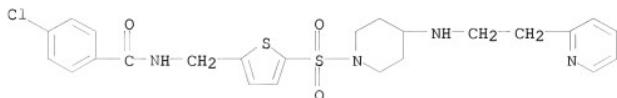
RN 406678-27-1 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[(4-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



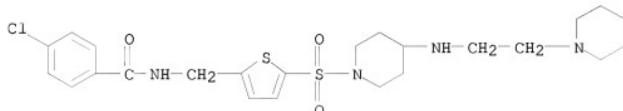
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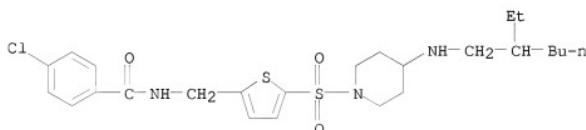
RN 406678-29-3 CAPLUS

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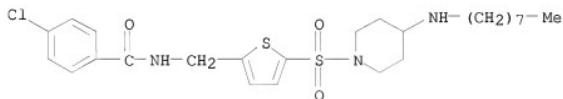
RN 406678-30-6 CAPLUS

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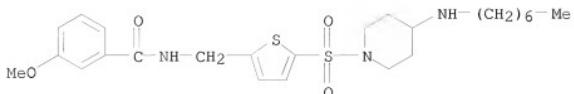
RN 406678-31-7 CAPLUS

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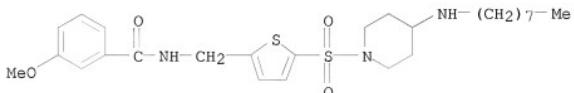


RN 406678-32-8 CAPLUS

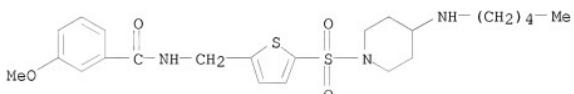
CN Benzamide, N-[(5-[[4-(heptylamino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



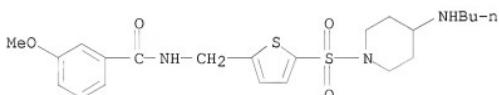
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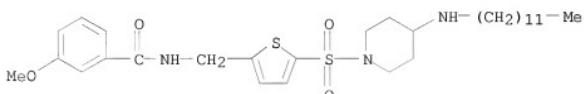
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RN 406678-35-1 CAPLUS
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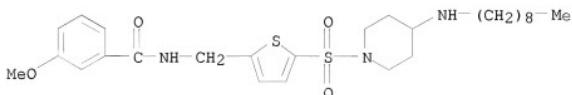


RN 406678-36-2 CAPLUS
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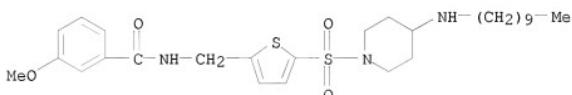
RN 406678-37-3 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[(4-(nonylamino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



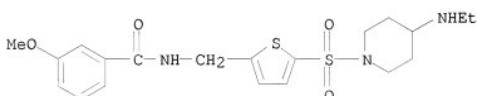
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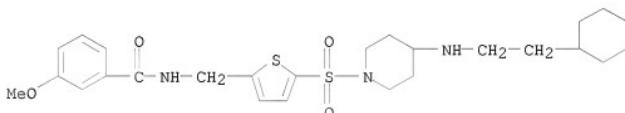
RN 406678-39-5 CAPLUS

CN Benzamide, N-[(5-[(4-(ethylamino)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



RN 406678-40-8 CAPLUS

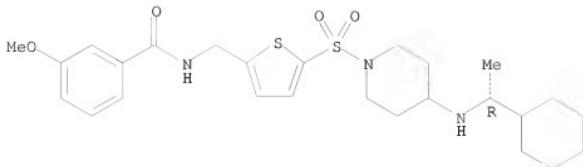
CN Benzamide, N-[(5-[(4-((2-cyclohexylethyl)amino)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



RN 406678-41-9 CAPLUS

CN Benzamide, N-[(5-[(4-[(1R)-1-cyclohexylethyl]amino)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)

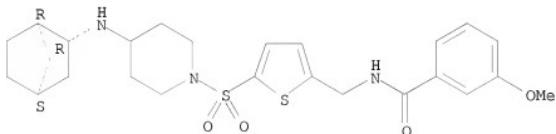
Absolute stereochemistry.



RN 406678-42-0 CAPLUS

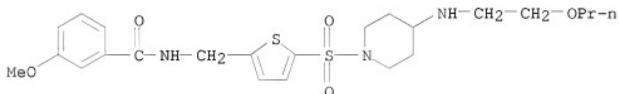
CN Benzanide, N-[5-[4-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-ylamino]-1-piperidinyl]sulfonyl]-2-thienylmethyl]-3-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



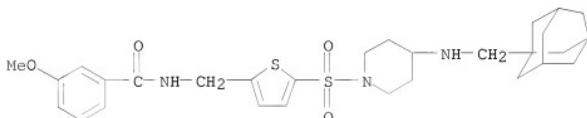
RN 406678-43-1 CAPLUS

CN Benzanide, 3-methoxy-N-[5-[(2-propoxymethyl)amino]-1-piperidinyl]sulfonyl]-2-thienylmethyl- (CA INDEX NAME)



RN 406678-44-2 CAPLUS

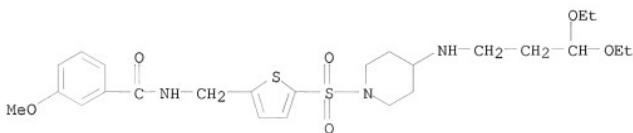
CN Benzanide, 3-methoxy-N-[5-[(4-[(tricyclo[3.3.1.13,7]dec-1-yl)methyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl- (CA INDEX NAME)



RN 406678-45-3 CAPLUS

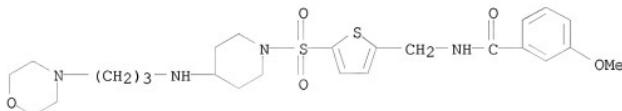
CN Benzanide, N-[5-[4-[(3,3-diethoxypropyl)amino]-1-piperidinyl]sulfonyl]-2-

thienyl]methyl]-3-methoxy- (CA INDEX NAME)



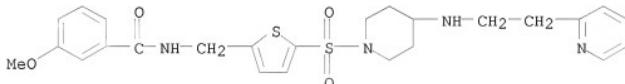
RN 406678-46-4 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[[4-[(3-(4-morpholinyl)propyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



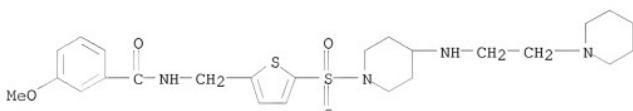
RN 406678-47-5 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[[4-[(2-(2-pyridinyl)ethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



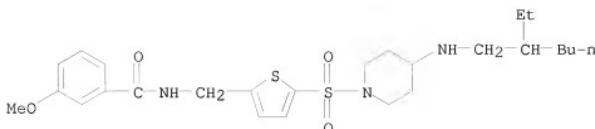
RN 406678-48-6 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[[4-[(2-piperidinyl)ethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)

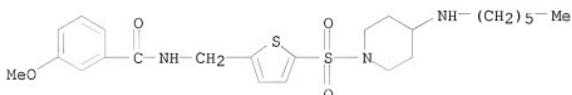


RN 406678-49-7 CAPLUS

CN Benzamide, N-[(5-[[4-[(2-ethylhexyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)

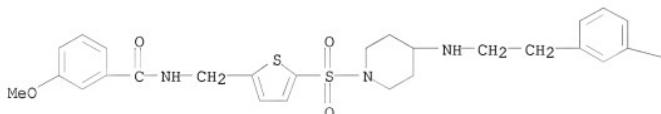


RN 406678-50-0 CAPLUS
 CN Benzanide, N-[5-[[4-(hexylamino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]-3-methoxy- (CA INDEX NAME)



RN 406678-51-1 CAPLUS
 CN Benzanide, 3-methoxy-N-[[5-[[4-[(2-[3-(trifluoromethyl)phenyl]ethyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)

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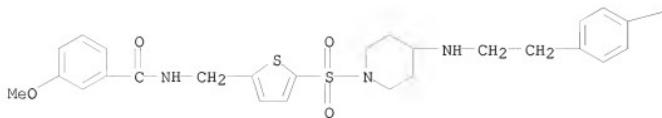


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RN 406678-52-2 CAPLUS
 CN Benzanide, 3-methoxy-N-[[5-[[4-[(2-(4-methylphenyl)ethyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)

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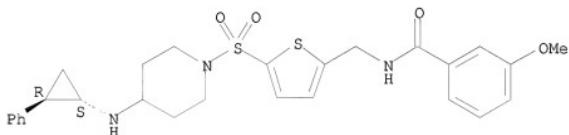
PAGE 1-B

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RN 406678-53-3 CAPLUS

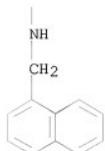
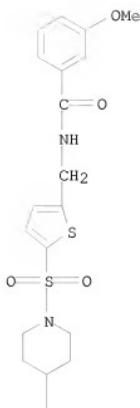
CN Benzamide, 3-methoxy-N-[(5-[(4-[(1S,2R)-2-phenylcyclopropyl]amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

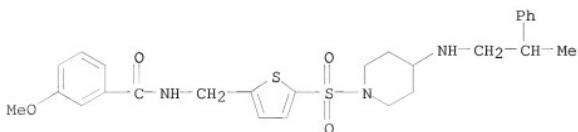


RN 406678-55-5 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[(4-[(1-naphthalenyl)methyl]amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



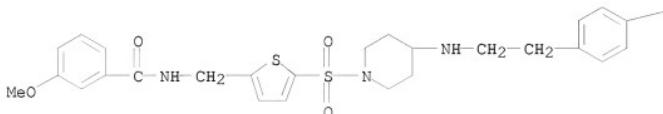
RN 406678-57-7 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[(4-[(2-phenylpropyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



RN 406678-58-8 CAPLUS

CN Benzamide, N-[(5-[(4-[(2-(4-hydroxyphenyl)ethyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)

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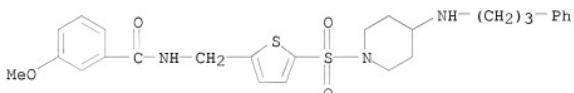


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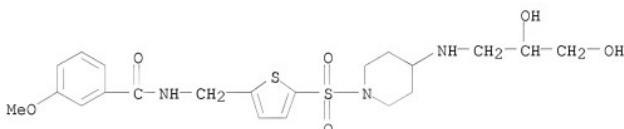
RN 406678-59-9 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[(4-[(3-phenylpropyl)amino]-1-piperidinyl]sulfonyl)-2-thienyl)methyl]- (CA INDEX NAME)



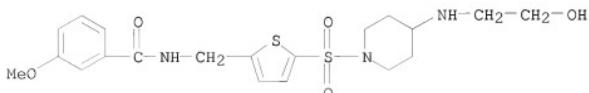
RN 406678-60-2 CAPLUS

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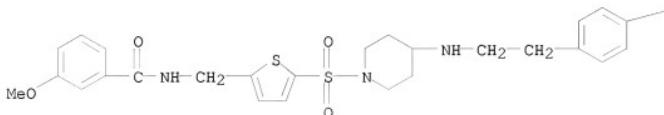
RN 406678-61-3 CAPLUS

CN Benzamide, N-[(5-[(4-[(2-hydroxyethyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



RN 406678-62-4 CAPLUS
 CN Benzamide, N-[5-[(4-[(2-[1,1'-biphenyl]-4-ylethyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)

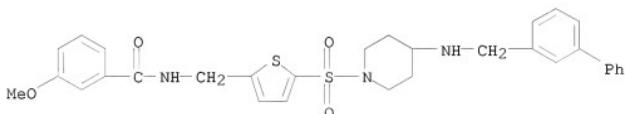
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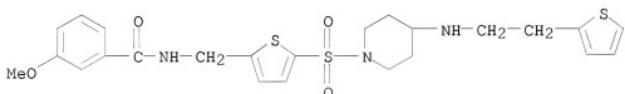
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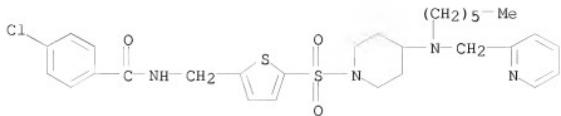
RN 406678-63-5 CAPLUS
 CN Benzamide, N-[5-[(4-[(1,1'-biphenyl]-3-ylmethyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



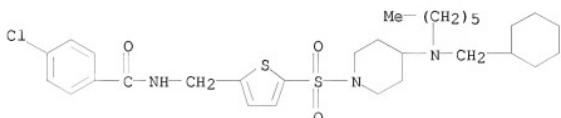
RN 406678-64-6 CAPLUS
 CN Benzamide, 3-methoxy-N-[5-[(4-[(2-thienyl)ethyl]amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



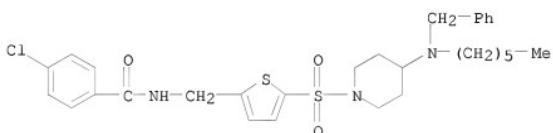
RN 406678-92-0 CAPLUS
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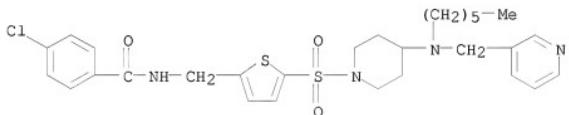
RN 406678-93-1 CAPLUS
 CN Benzanide, 4-chloro-N-[{5-[{4-[(cyclohexylmethyl)hexylamino]-1-piperidinyl}sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



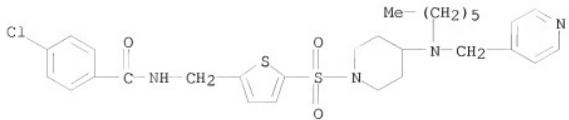
RN 406678-94-2 CAPLUS
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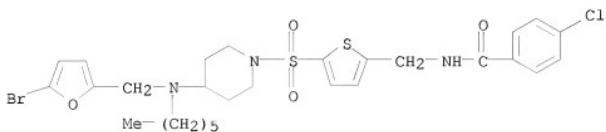
RN 406678-95-3 CAPLUS
 CN Benzanide, 4-chloro-N-[{5-[{4-[hexyl(3-pyridinylmethyl)amino]-1-piperidinyl}sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



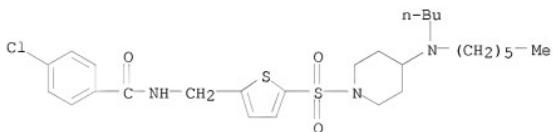
RN 406678-96-4 CAPLUS
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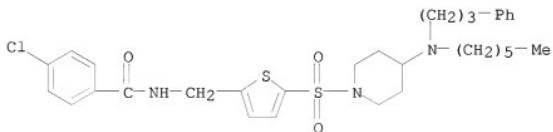
RN 406678-97-5 CAPLUS
CN Benzamide, N-[(5-[(4-[(5-bromo-2-furanyl)methyl]hexylamino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-4-chloro- (CA INDEX NAME)



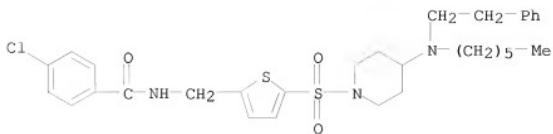
RN 406678-98-6 CAPLUS
CN Benzamide, N-[(5-[(4-(butylhexylamino)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-4-chloro- (CA INDEX NAME)



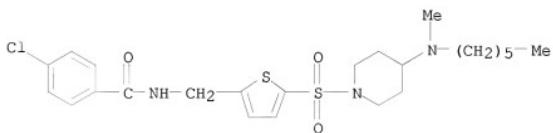
RN 406678-99-7 CAPLUS
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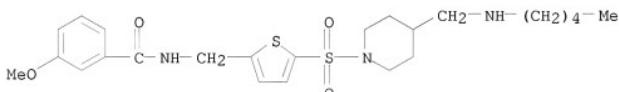
RN 406679-00-3 CAPLUS
CN Benzamide, 4-chloro-N-[(5-[(4-hexyl(2-phenylethyl)amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



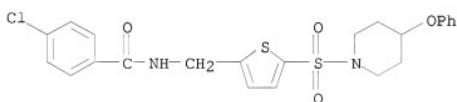
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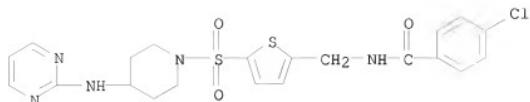
RN 406679-30-9 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[(4-[(pentylamino)methyl]-1-piperidinyl]sulfonyl)-2-thienyl)methyl]- (CA INDEX NAME)



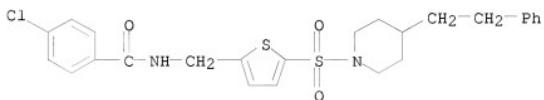
RN 830331-16-3 CAPLUS
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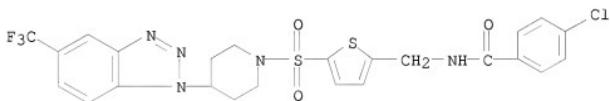
RN 830331-19-6 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-(2-pyrimidinylamino)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



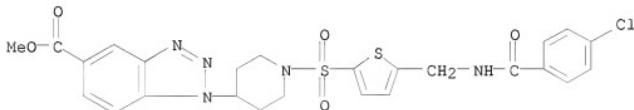
RN 830331-20-9 CAPLUS
 CN Benzamide, 4-chloro-N-[{5-[{4-(2-phenylethyl)-1-piperidinyl}sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



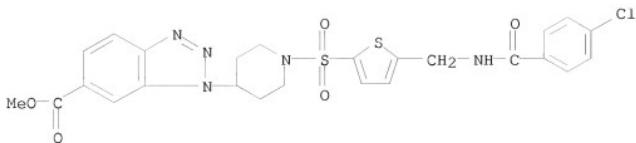
RN 830331-34-5 CAPLUS
 CN Benzamide, 4-chloro-N-[{5-[{4-[5-(trifluoromethyl)-1H-benzotriazol-1-yl]-1-piperidinyl}sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



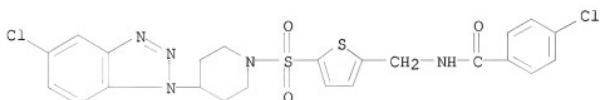
RN 830331-35-6 CAPLUS
 CN 1H-Benzotriazole-5-carboxylic acid, 1-[{5-[{4-(4-chlorobenzoyl)amino]methyl}-2-thienyl}sulfonyl]-4-piperidinyl-, methyl ester (CA INDEX NAME)



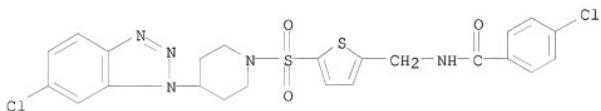
RN 830331-36-7 CAPLUS
 CN 1H-Benzotriazole-6-carboxylic acid, 1-[{5-[{4-(4-chlorobenzoyl)amino]methyl}-2-thienyl}sulfonyl]-4-piperidinyl-, methyl ester (CA INDEX NAME)



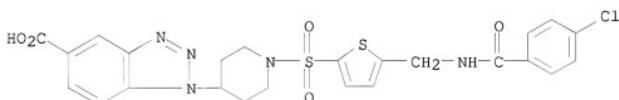
RN 830331-37-8 CAPLUS
CN Benzanide, 4-chloro-N-[(5-[(4-(5-chloro-1H-benzotriazol-1-yl)-1-piperidinyl]sulfonyl]2-thienyl)methyl]- (CA INDEX NAME)



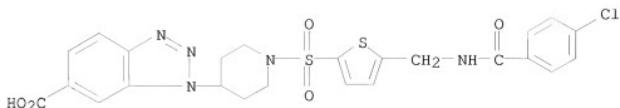
RN 830331-38-9 CAPLUS
CN Benzanide, 4-chloro-N-[(5-[(4-(6-chloro-1H-benzotriazol-1-yl)-1-piperidinyl]sulfonyl)2-thienyl)methyl]- (CA INDEX NAME)



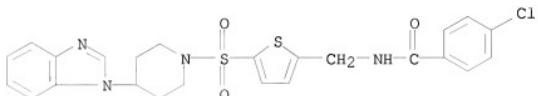
RN 830331-39-0 CAPLUS
CN 1H-Benzotriazole-5-carboxylic acid, 1-[1-[(5-[(4-chlorobenzoyl)amino]methyl)-2-thienyl]sulfonyl]-4-piperidinyl- (CA INDEX NAME)



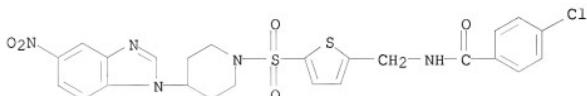
RN 830331-40-3 CAPLUS
CN 1H-Benzotriazole-6-carboxylic acid, 1-[1-[(5-[(4-chlorobenzoyl)amino]methyl)-2-thienyl]sulfonyl]-4-piperidinyl- (CA INDEX NAME)



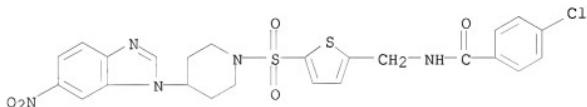
RN 830331-41-4 CAPLUS
 CN Benzamide, N-[5-[(4-(1H-benzimidazol-1-yl)-1-piperidinyl)sulfonyl]-2-thienylmethyl]-4-chloro- (CA INDEX NAME)



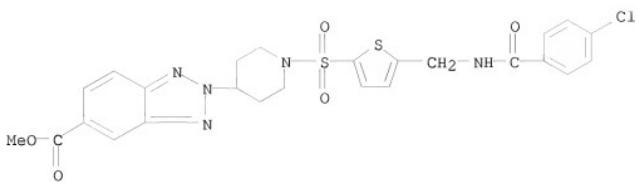
RN 830331-42-5 CAPLUS
 CN Benzamide, 4-chloro-N-[[5-[(4-(5-nitro-1H-benzimidazol-1-yl)-1-piperidinyl)sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



RN 830331-43-6 CAPLUS
 CN Benzamide, 4-chloro-N-[[5-[(4-(6-nitro-1H-benzimidazol-1-yl)-1-piperidinyl)sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)

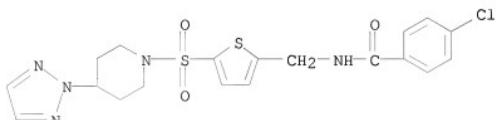


RN 830331-45-8 CAPLUS
 CN 2H-Benzotriazole-5-carboxylic acid, 2-[1-[[5-[(4-chlorobenzoyl)amino]methyl]-2-thienylsulfonyl]-4-piperidinyl]-, methyl ester (CA INDEX NAME)



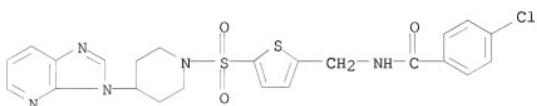
RN 830331-46-9 CAPLUS

CN Benzamide, 4-chloro-N-[{5-[{4-(2H-1,2,3-triazol-2-yl)-1-piperidinyl}sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



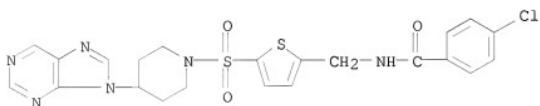
RN 830331-47-0 CAPLUS

CN Benzamide, 4-chloro-N-[{5-[{4-(3H-imidazo[4,5-b]pyridin-3-yl)-1-piperidinyl}sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



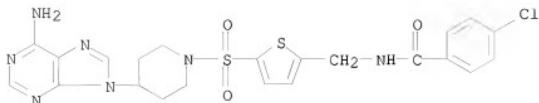
RN 830331-48-1 CAPLUS

CN Benzamide, 4-chloro-N-[{5-[{4-(9H-purin-9-yl)-1-piperidinyl}sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)

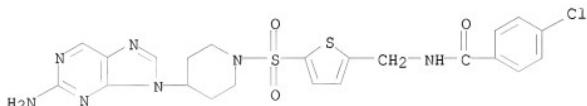


RN 830331-49-2 CAPLUS

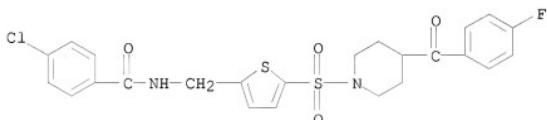
CN Benzamide, N-[{5-[{4-(6-amino-9H-purin-9-yl)-1-piperidinyl}sulfonyl]-2-thienyl}methyl]-4-chloro- (CA INDEX NAME)



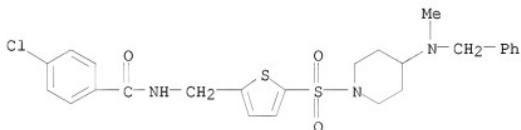
RN 830331-50-5 CAPLUS
 CN Benzamide, N-[5-[(4-(2-amino-9H-purin-9-yl)-1-piperidinylsulfonyl)-2-thienyl]methyl]-4-chloro- (CA INDEX NAME)



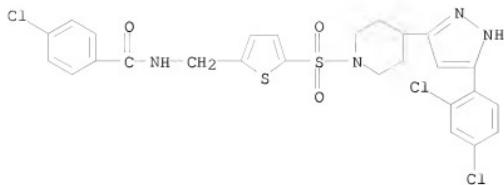
RN 848557-18-6 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-(4-fluorobenzoyl)-1-piperidinylsulfonyl)-2-thienyl]methyl)- (CA INDEX NAME)



RN 848557-26-6 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[methyl(phenylmethyl)amino]-1-piperidinylsulfonyl)-2-thienyl]methyl)- (CA INDEX NAME)

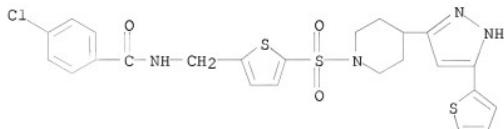


RN 848557-27-7 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[5-(2,4-dichlorophenyl)-1H-pyrazol-3-yl]-1-piperidinylsulfonyl)-2-thienyl]methyl)- (CA INDEX NAME)



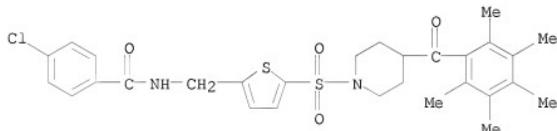
RN 848557-28-8 CAPLUS

CN Benzanide, 4-chloro-N-[(5-[(4-[5-(2-thienyl)-1H-pyrazol-3-yl]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



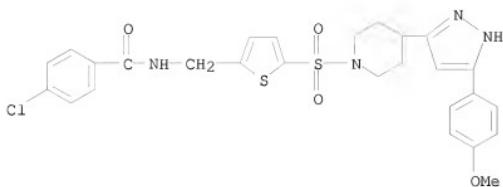
RN 848557-29-9 CAPLUS

CN Benzanide, 4-chloro-N-[(5-[(4-(2,3,4,5,6-pentamethylbenzoyl)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



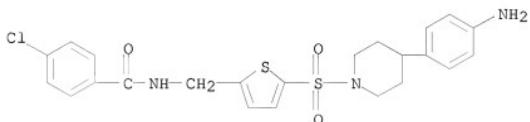
RN 848557-31-3 CAPLUS

CN Benzanide, 4-chloro-N-[(5-[(4-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



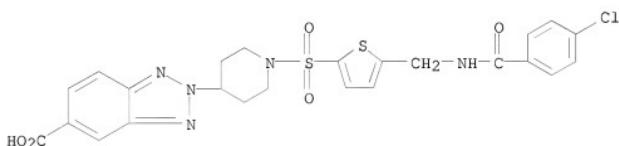
RN 848557-32-4 CAPLUS

CN Benzamide, N-[(5-[(4-(4-aminophenyl)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-4-chloro- (CA INDEX NAME)



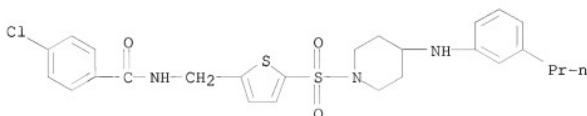
RN 848557-36-8 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-[1-[(5-[(4-chlorobenzoyl)amino]methyl)-2-thienylsulfonyl]-4-piperidinyl]- (CA INDEX NAME)



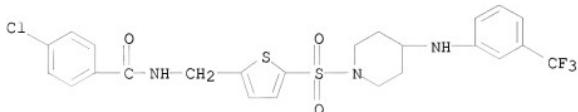
RN 848557-37-9 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[(4-[(3-propylphenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



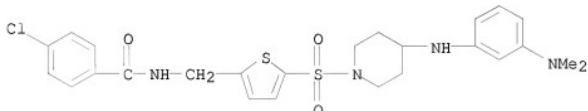
RN 848557-38-0 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[[4-[(trifluoromethyl)phenyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



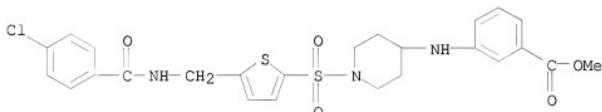
RN 848557-39-1 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[[4-[(dimethylamino)phenyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



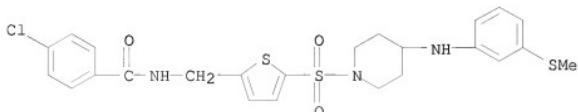
RN 848557-40-4 CAPLUS

CN Benzoic acid, 3-[(1-[(5-[[4-chlorobenzoyl]amino]methyl]-2-thienyl)sulfonyl]-4-piperidinyl]amino]-, methyl ester (CA INDEX NAME)



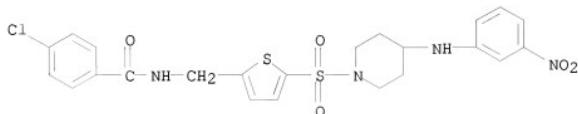
RN 848557-41-5 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[[4-[[3-(methylthio)phenyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



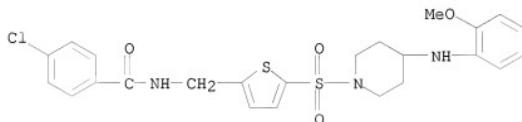
RN 848557-42-6 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[[4-[(3-nitrophenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



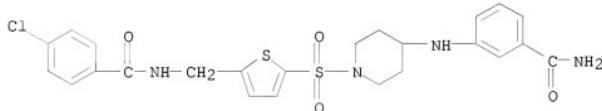
RN 848557-43-7 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[[4-[(2-methoxyphenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



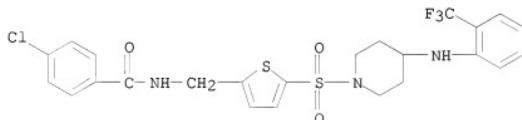
RN 848557-44-8 CAPLUS

CN Benzamide, N-[(5-[[4-[(3-(aminocarbonyl)phenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-4-chloro- (CA INDEX NAME)



RN 848557-45-9 CAPLUS

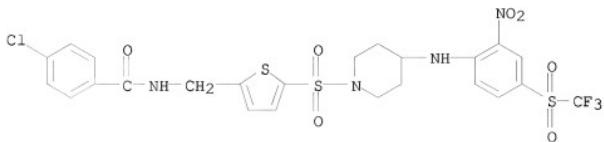
CN Benzamide, 4-chloro-N-[(5-[[4-[[2-(trifluoromethyl)phenyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



RN 848557-46-0 CAPLUS

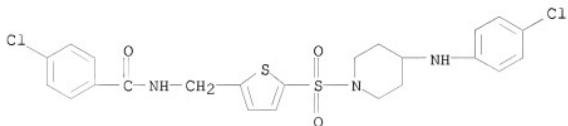
CN Benzamide, 4-chloro-N-[(5-[[4-[[2-nitro-4-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)

1]amino]-1-piperidinylsulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



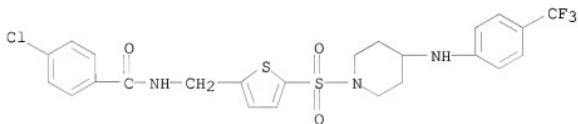
RN 848557-47-1 CAPLUS

CN Benzamide, 4-chloro-N-[5-[(4-((4-chlorophenyl)amino)-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



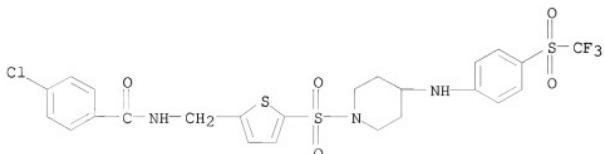
RN 848557-48-2 CAPLUS

CN Benzamide, 4-chloro-N-[5-[(4-((trifluoromethyl)phenyl)amino)-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



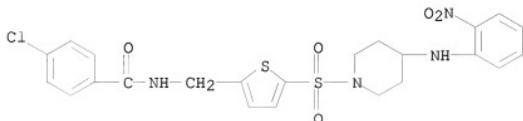
RN 848557-49-3 CAPLUS

CN Benzamide, 4-chloro-N-[5-[(4-((trifluoromethyl)sulfonyl)phenyl)amino)-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



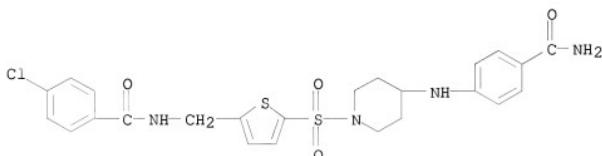
RN 848557-50-6 CAPLUS

CN Benzamide, 4-chloro-N-[5-[(4-[(2-nitrophenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



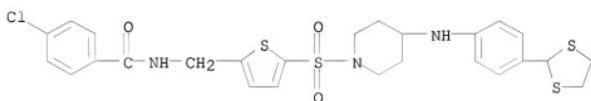
RN 848557-51-7 CAPLUS

CN Benzamide, N-[[5-[(4-[(4-(aminocarbonyl)phenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-4-chloro- (CA INDEX NAME)



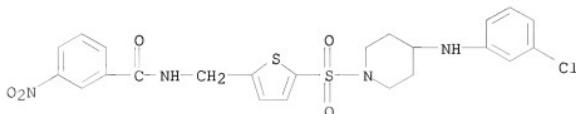
RN 848557-52-8 CAPLUS

CN Benzamide, 4-chloro-N-[5-[(4-[(1,3-dithiolan-2-yl)phenyl]amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



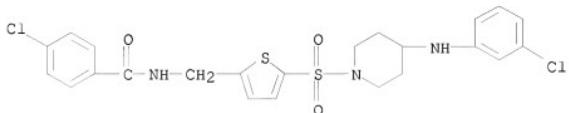
RN 848557-53-9 CAPLUS

CN Benzamide, N-[[5-[(4-[(3-chlorophenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-nitro- (CA INDEX NAME)

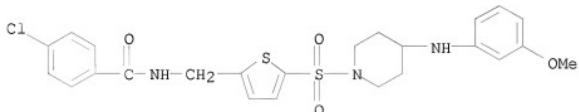


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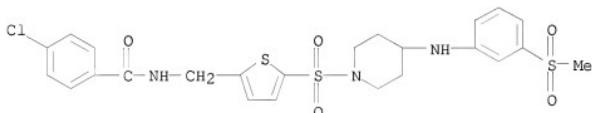
RN 848557-54-0 CAPLUS
CN Benzamide, 4-chloro-N-[5-[[4-[(3-chlorophenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



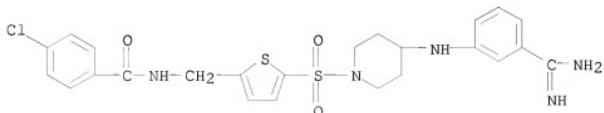
RN 848557-55-1 CAPLUS
CN Benzamide, 4-chloro-N-[5-[[4-[(3-methoxyphenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 848557-56-2 CAPLUS
CN Benzamide, 4-chloro-N-[5-[[4-[(3-(methylsulfonyl)phenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



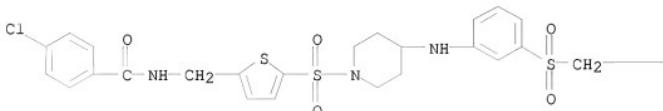
RN 848557-57-3 CAPLUS
CN Benzamide, N-[[5-[[4-[(3-(aminoiminomethyl)phenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-4-chloro- (CA INDEX NAME)



RN 848557-58-4 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[[4-[(3-[(2-hydroxyethyl)sulfonyl]phenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)

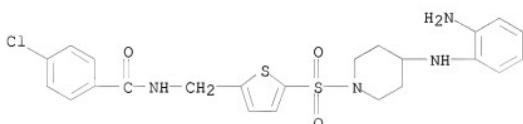
PAGE 1-A



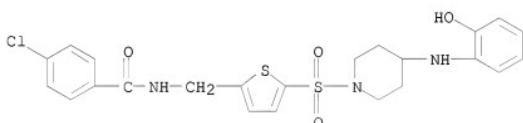
PAGE 1-B

—CH₂—OH

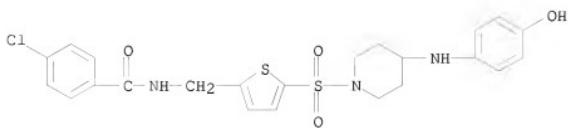
RN 848557-59-5 CAPLUS
CN Benzamide, N-[(5-[[4-[(2-aminophenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-4-chloro- (CA INDEX NAME)



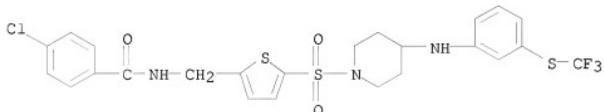
RN 848557-60-8 CAPLUS
CN Benzamide, 4-chloro-N-[(5-[[4-[(2-hydroxyphenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



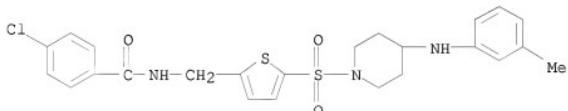
RN 848557-61-9 CAPLUS
CN Benzamide, 4-chloro-N-[(5-[[4-[(4-hydroxyphenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



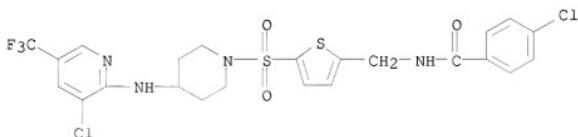
RN 848557-62-0 CAPLUS
CN Benzanide, 4-chloro-N-[(5-[[4-[(3-[(trifluoromethyl)thio]phenyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



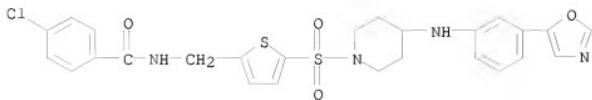
RN 848557-63-1 CAPLUS
CN Benzanide, 4-chloro-N-[(5-[[4-[(3-methylphenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



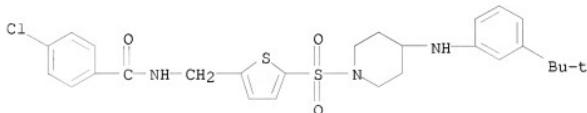
RN 848557-64-2 CAPLUS
CN Benzanide, 4-chloro-N-[(5-[[4-[(3-chloro-5-(trifluoromethyl)-2-piperidinyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



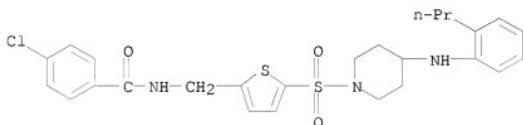
RN 848557-65-3 CAPLUS
CN Benzanide, 4-chloro-N-[(5-[[4-[(3-(5-oxazolyl)phenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



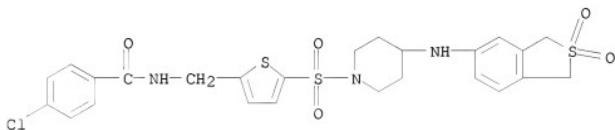
RN 848557-66-4 CAPLUS
 CN Benzanide, 4-chloro-N-[(5-[[4-[(3-(1,1-dimethylethyl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



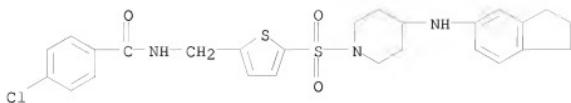
RN 848557-67-5 CAPLUS
 CN Benzanide, 4-chloro-N-[(5-[[4-[(2-propylphenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



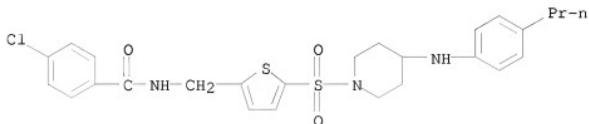
RN 848557-68-6 CAPLUS
 CN Benzanide, 4-chloro-N-[(5-[[4-[(1,3-dihydro-2,2-dioxidobenzo[c]thien-5-yl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



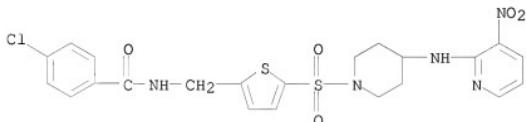
RN 848557-69-7 CAPLUS
 CN Benzanide, 4-chloro-N-[(5-[[4-[(2,3-dihydro-1H-inden-5-yl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



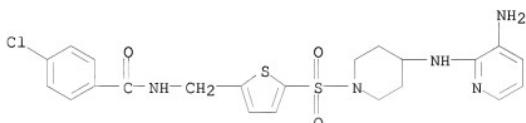
RN 848557-70-0 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(4-propylphenyl)amino]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)



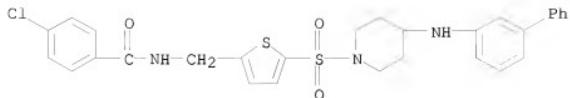
RN 848557-71-1 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(3-nitro-2-pyridinyl)amino]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)



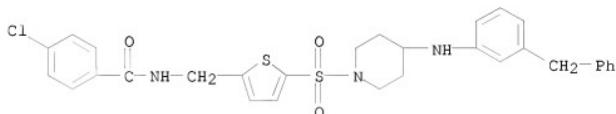
RN 848557-72-2 CAPLUS
 CN Benzamide, N-[(5-[(4-[(3-amino-2-pyridinyl)amino]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]-4-chloro- (CA INDEX NAME)



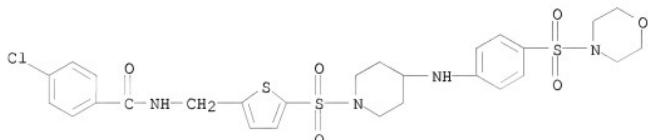
RN 848557-73-3 CAPLUS
 CN Benzamide, N-[(5-[(4-[(1,1'-biphenyl)-3-ylamino]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]-4-chloro- (CA INDEX NAME)



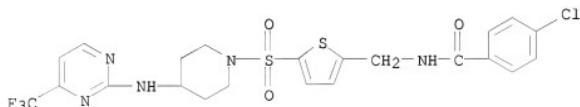
RN 848557-74-4 CAPLUS
CN Benzamide, 4-chloro-N-[(5-[(4-[(3-phenylmethyl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



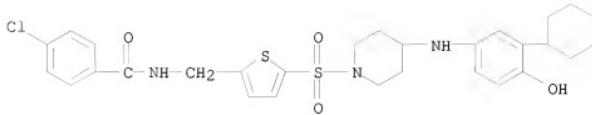
RN 848557-75-5 CAPLUS
CN Benzamide, 4-chloro-N-[(5-[(4-[(4-morpholinylsulfonyl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



RN 848557-76-6 CAPLUS
CN Benzamide, 4-chloro-N-[(5-[(4-[(4-(trifluoromethyl)-2-pyrimidinyl]amino)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]- (CA INDEX NAME)

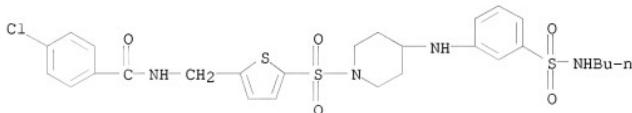


RN 848557-77-7 CAPLUS
CN Benzamide, 4-chloro-N-[(5-[(4-[(3-cyclohexyl-4-hydroxyphenyl]amino)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]- (CA INDEX NAME)



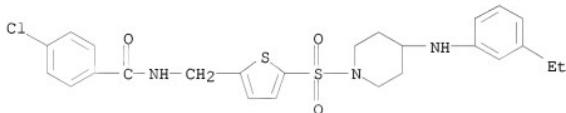
RN 848557-78-8 CAPLUS

CN Benzamide, N-[(5-[(4-[(3-((butylamino)sulfonyl)phenyl]amino)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]-4-chloro- (CA INDEX NAME)



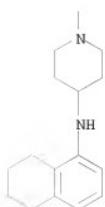
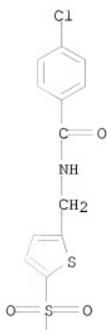
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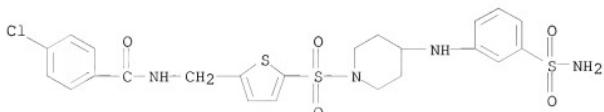


RN 848557-80-2 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[(4-[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)

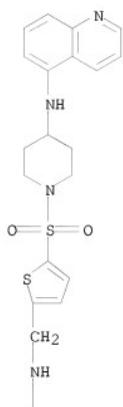


RN 848557-81-3 CAPLUS
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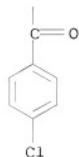


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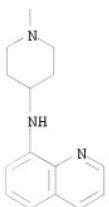
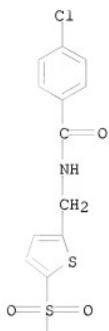
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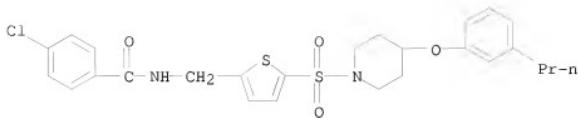
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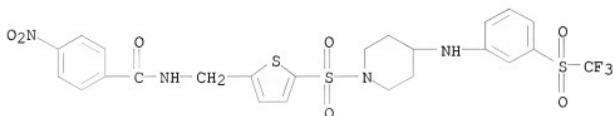
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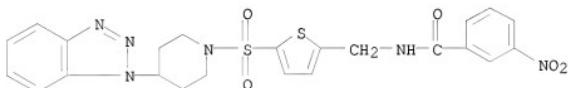
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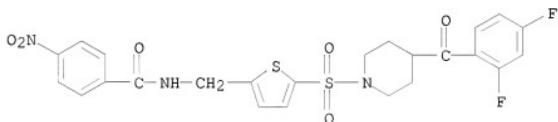
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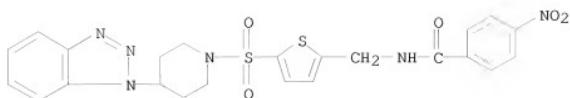
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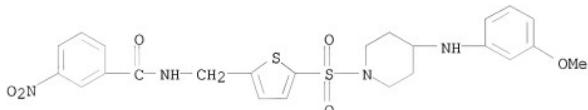
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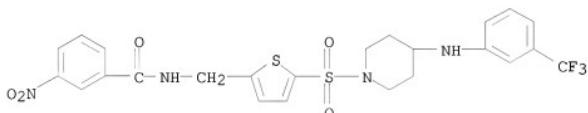
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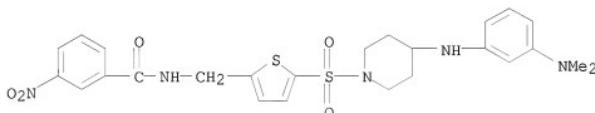
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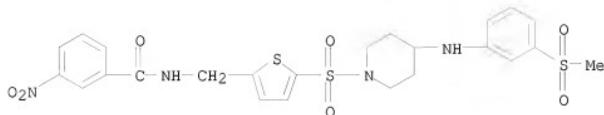
RN 848557-92-6 CAPLUS
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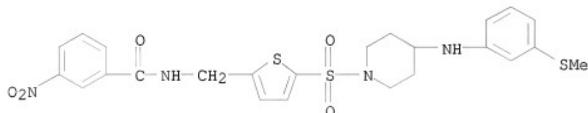
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CN Benzamide, N-[{5-[{4-[{(3-(dimethylamino)phenyl)amino]-1-piperidinyl}sulfonyl]-2-thienyl}methyl]-3-nitro- (CA INDEX NAME)



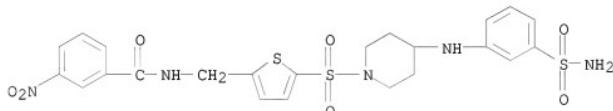
RN 848557-94-8 CAPLUS
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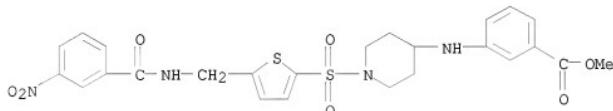
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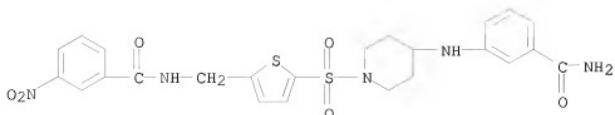
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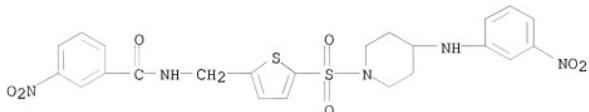
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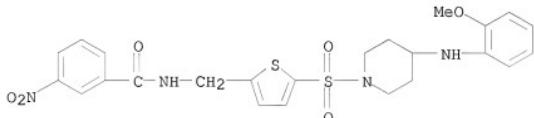
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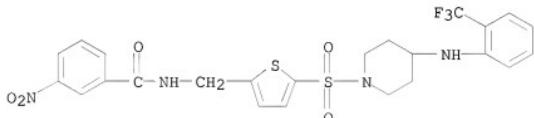
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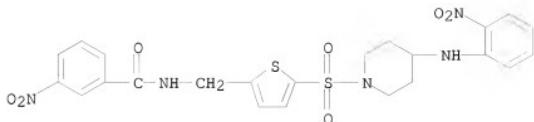
RN 848558-00-9 CAPLUS
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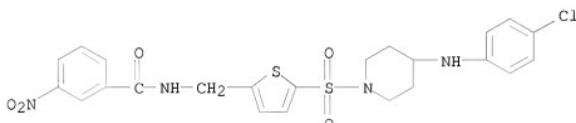
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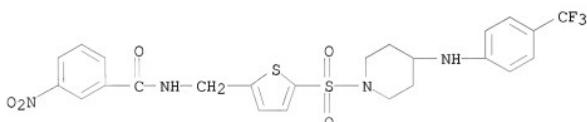
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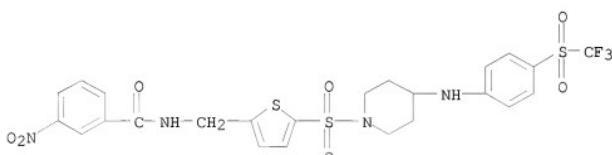
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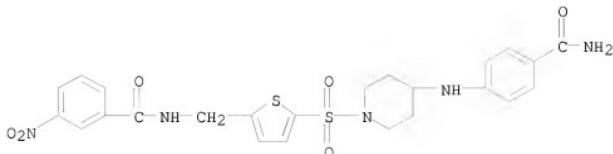
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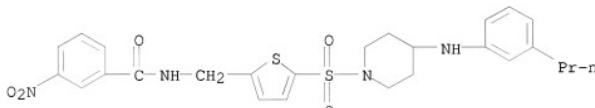
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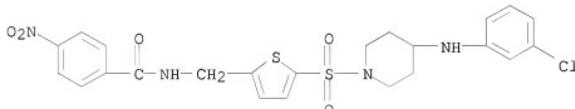
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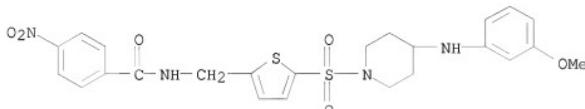
RN 848558-07-6 CAPLUS
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RN 848558-08-7 CAPLUS
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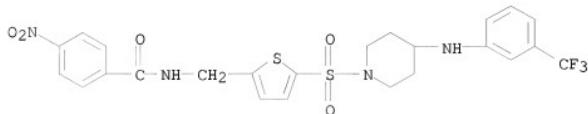


RN 848558-09-8 CAPLUS
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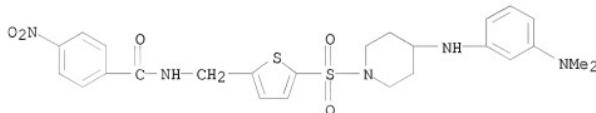
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piperidinylsulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



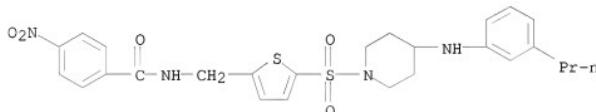
RN 848558-11-2 CAPLUS

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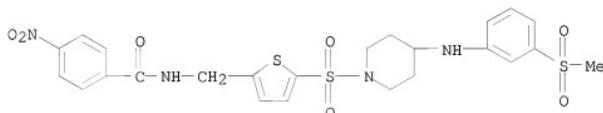
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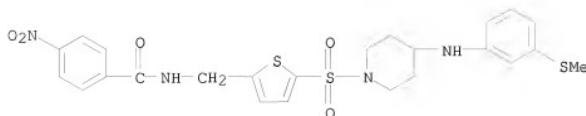
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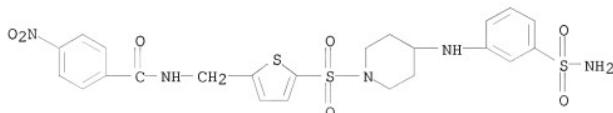
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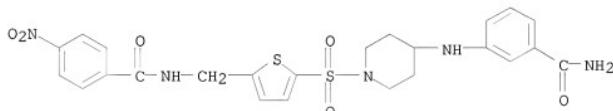
RN 848558-15-6 CAPLUS

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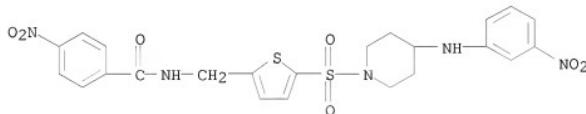
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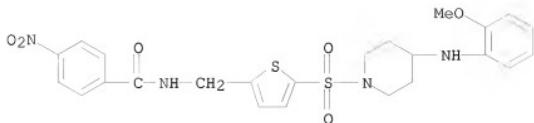
RN 848558-17-8 CAPLUS

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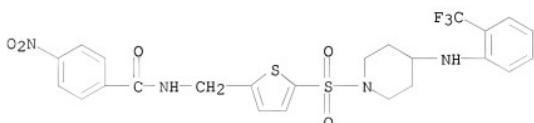
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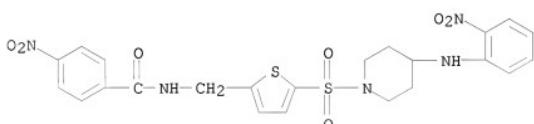
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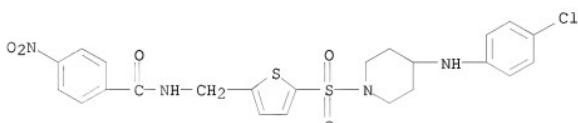
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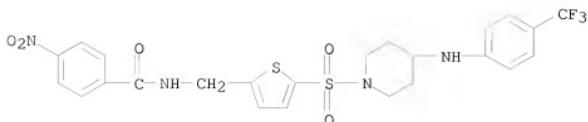
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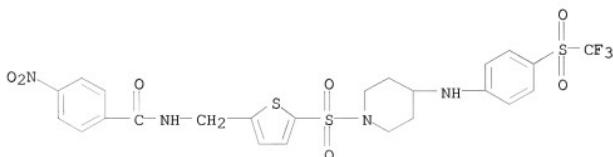


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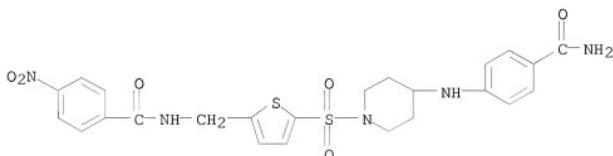
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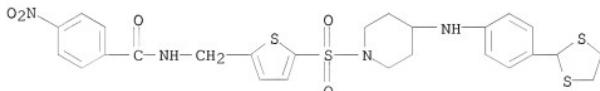
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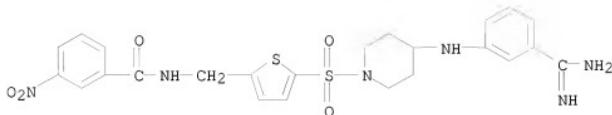
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RN 848558-25-8 CAPLUS
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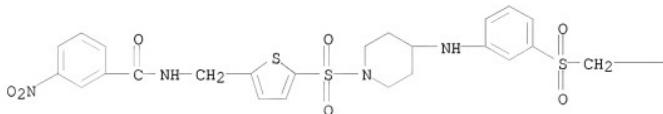


RN 848558-26-9 CAPLUS
 CN Benzamide, N-[(5-[[4-((3-(aminoiminomethyl)phenyl)amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]-3-nitrobenzyl (CA INDEX NAME)



RN 848558-27-0 CAPLUS
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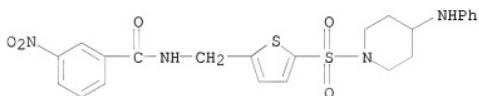
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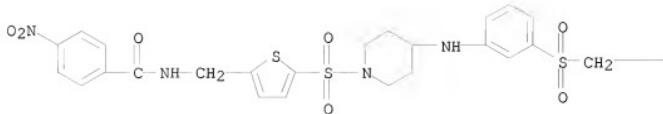
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RN 848558-29-2 CAPLUS
CN Benzamide, N-[5-[(4-[(3-[(2-hydroxyethyl)sulfonyl]phenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-4-nitro- (CA INDEX NAME)

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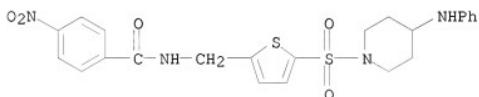


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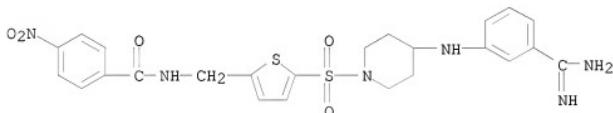
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CN Benzamide, 4-nitro-N-[{5-[{4-(phenylamino)-1-piperidinyl]sulfonyl}-2-thienyl]methyl]- (CA INDEX NAME)



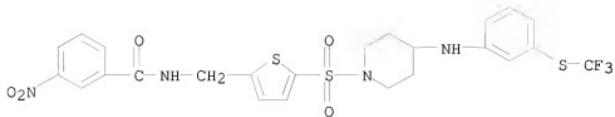
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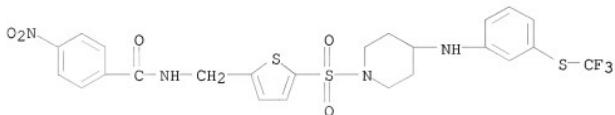


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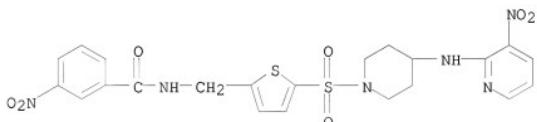
CN Benzamide, 3-nitro-N-[{5-[{4-[{3-[(trifluoromethyl)thio]phenyl}amino}-1-piperidinyl]sulfonyl}-2-thienyl]methyl]- (CA INDEX NAME)



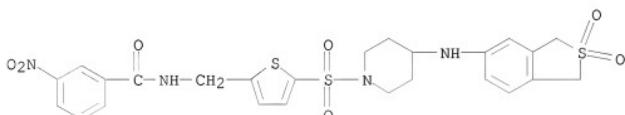
RN 848558-33-8 CAPLUS
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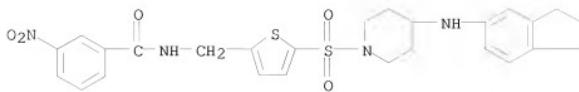
RN 848558-34-9 CAPLUS
 CN Benzamide, 3-nitro-N-[(5-[(4-[(3-nitro-2-pyridinyl)amino]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)



RN 848558-35-0 CAPLUS
 CN Benzamide, N-[(5-[(4-[(1,3-dihydro-2,2-dioxido-benzo[c]thien-5-yl)amino]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]-3-nitro- (CA INDEX NAME)



RN 848558-36-1 CAPLUS
 CN Benzamide, N-[(5-[(4-[(2,3-dihydro-1H-inden-5-yl)amino]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]-3-nitro- (CA INDEX NAME)



- IT 848558-37-2, 3-Nitro-N-[(5-[(4-(2-propylanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-38-3,
 3-Nitro-N-[(5-[(4-(4-propylanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-39-4, N-[(5-[(4-(3-tert-Butylanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-3-nitrobenzamide 848558-40-7, 3-Nitro-N-[(5-[(4-[3-(1,3-oxazol-5-yl)anilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-41-8, 3-Nitro-N-[(5-[(4-(2-phenylethyl)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-42-9, N-[(5-[(4-[(3-Chloro-5-(trifluoromethyl)pyridin-2-yl)amino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-43-0, N-[(5-[(4-[(1,1'-Biphenyl)-3-yl)amino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-3-nitrobenzamide 848558-44-1, N-[(5-[(4-(3-Benzylanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-3-nitrobenzamide 848558-45-2, 3-Nitro-N-[(5-[(4-(3-(morpholin-4-yl)sulfonyl)anilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-46-3, 3-Nitro-N-[(5-[(4-((3-propylphenyl)oxy)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-47-4, 4-Nitro-N-[(5-[(4-(pyrimidin-2-ylamino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-48-5, N-[(5-[(4-(3-Aminopyridin-2-yl)amino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-4-nitrobenzamide 848558-49-6, 4-Nitro-N-[(5-[(4-((3-nitropyridin-2-yl)amino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-50-9, N-[(5-[(4-[(2,3-Dihydro-1H-inden-5-yl)amino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-4-nitrobenzamide 848558-51-0, 4-Nitro-N-[(5-[(4-(2-propylanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-52-1, 4-Nitro-N-[(5-[(4-(4-propylanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-53-2, N-[(5-[(4-(3-tert-Butylanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-4-nitrobenzamide 848558-54-3, 4-Nitro-N-[(5-[(4-(3-(1,3-oxazol-5-yl)anilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-55-4, 4-Nitro-N-[(5-[(4-(2-phenylethyl)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-56-5, N-[(5-[(4-((3-Chloro-5-(trifluoromethyl)pyridin-2-yl)amino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-4-nitrobenzamide 848558-57-6, N-[(5-[(4-((1,1'-Biphenyl)-3-yl)amino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-4-nitrobenzamide 848558-58-7, N-[(5-[(4-(3-Benzylanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-4-nitrobenzamide 848558-59-8, 4-Nitro-N-[(5-[(4-(3-(morpholin-4-yl)sulfonyl)anilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-60-1, N-[(5-[(4-(2-Aminoanilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-3-nitrobenzamide 848558-61-2, 3-Nitro-N-[(5-[(4-(pyrimidin-2-ylamino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-62-3, N-[(5-[(4-((3-Aminopyridin-2-yl)amino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-3-nitrobenzamide 848558-63-4, N-[(5-[(4-[(2-Nitro-4-((trifluoromethyl)sulfonyl)anilino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-3-methoxybenzamide 848558-65-6, 3-Nitro-N-[(5-[(4-(trifluoromethyl)pyrimidin-2-yl)amino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]benzamide 848558-66-7,

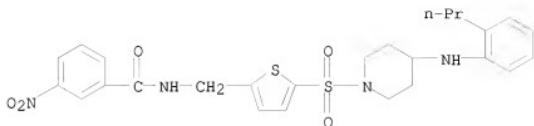
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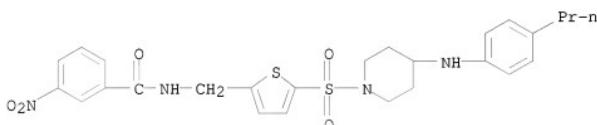
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 4-Chloro-N-[5-[1-[4-[3-(trifluoromethyl)anilino)piperidin-1-yl]sulfonyl]-2-furyl]methyl]benzamide
 848559-34-2, 4-Chloro-N-[5-[1-[4-[3-(dimethylamino)anilino)piperidin-1-yl]sulfonyl]-2-furyl]methyl]benzamide
 848559-35-3, 4-Chloro-N-[5-[1-[4-[3-(methylsulfonyl)anilino)piperidin-1-yl]sulfonyl]-2-furyl]methyl]benzamide
 848559-36-4,
 4-Chloro-N-[5-[1-[4-[3-(methylsulfonyl)anilino)piperidin-1-yl]sulfonyl]-2-furyl]methyl]benzamide 848559-37-5, N-[1-[4-[3-(Aminosulfonyl)anilino)piperidin-1-yl]sulfonyl]-2-furyl]methyl]-4-chlorobenzamide 848559-38-6, Methyl 3-[1-[5-[1-[4-(chlorobenzoyl)amino]methyl]-2-furyl]sulfonyl]piperidin-4-yl]amino]benzoate
 848559-39-7, 3-[1-[5-[1-[4-(Chlorobenzoyl)amino]methyl]-2-furyl]sulfonyl]piperidin-4-yl]amino]benzamide 848559-40-0,
 4-Chloro-N-[5-[1-[4-(3-nitroanilino)piperidin-1-yl]sulfonyl]-2-furyl]methyl]benzamide 848559-41-1, 4-Chloro-N-[5-[1-(2-methoxyanilino)piperidin-1-yl]sulfonyl]-2-furyl]methyl]benzamide
 848559-42-2, 4-Chloro-N-[5-[1-[2-(trifluoromethyl)anilino)piperidin-1-yl]sulfonyl]-2-furyl]methyl]benzamide 848559-43-3,
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 4-Nitro-N-[5-[1-[3-[1-(trifluoromethyl)sulfonyl]anilino)piperidin-1-yl]sulfonyl]-2-furyl]methyl]benzamide 848559-55-7,
 4-Chloro-N-[5-[1-[4-(1,3-thiazol-2-ylamino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 848559-56-8, 4-Chloro-N-[5-[1-[4-[3-(1H-imidazol-1-yl)propyl]amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide 848559-57-9, N-[5-[1-[4-[3-(1H-Imidazol-1-yl)propyl]amino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 848559-58-0, N-[5-[1-[4-[1,1'-Biphenyl]-4-yl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxybenzamide 848559-59-1, 4-Chloro-N-[5-[1-[4-[2-[(trifluoromethyl)sulfonyl]amino]ethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]benzamide 848559-60-4, 4-Chloro-N-[5-[1-(propylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]benzamide 848559-61-5, 4-Chloro-N-[5-[1-[4-[1-(trifluoromethyl)sulfonyl]benzyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]benzamide 848559-62-6, 4-Chloro-N-[5-[1-[4-[1-(3,4-dihydroxybenzyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]benzamide 848559-63-7
 848559-64-8 848559-65-9, [[1-[5-[1-[4-(Chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]-4-piperidinyl](hexyl)amino]acetic acid 848559-68-2,
 N-[5-[1-[4-[2-(Butylamino)ethyl]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-

3-methoxybenzamide 848559-69-3, N-[5-[(4-
Butylanilino)methyl]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-
methoxybenzamide 848559-70-6, 3-Methoxy-N-[5-[(4-[methyl]-4-
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Bis(trifluoromethyl)benzyl]amino)-1-piperidinyl]sulfonyl]-2-
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N-[5-[(4-[(4-(Ethylsulfonyl)benzyl]amino)-1-piperidinyl]sulfonyl]-2-
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3-Methoxy-N-[5-[(4-[(3-[(trifluoromethyl)sulfonyl]benzyl]amino)-1-
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848559-78-4, N-[5-[(4-[(4-(Benziloxy)benzyl]amino)-1-
piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxybenzamide
848559-79-5, N-[5-[(4-[(Mesitylmethyl)amino)-1-
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848559-80-6, N-[5-[(4-[(4-Chlorobenzyl)amino]-1-
piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxybenzamide
848559-81-9, N-[5-[(4-[(4-Ethylbenzyl)amino]-1-
piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxybenzamide
848559-82-0, 3-Methoxy-N-[5-[(4-[(4-pentylbenzyl)amino)-1-
piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848559-83-1,
3-Methoxy-N-[5-[(4-[(1-[(4-(trifluoromethyl)phenylethyl]amino)-1-
piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848559-84-2,
3-Methoxy-N-[5-[(4-[(4-methylbenzyl)amino)-1-piperidinyl]sulfonyl]-2-
thienyl)methyl]benzamide 848559-85-3, N-[5-[(4-[(4-
Butylbenzyl)amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-
methoxybenzamide 848559-86-4, N-[5-[(4-[(4-
Isopropylbenzyl)amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-
methoxybenzamide 848559-87-5, N-[5-[(4-[(4-
Isobutylbenzyl)amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-
methoxybenzamide 848559-88-6, 848559-89-7,
N-[5-[(4-[(2,3-Dihydro-1,4-benzodioxin-6-ylmethyl)amino]-1-
piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxybenzamide
848559-90-0, N-[5-[(4-[(2,3-Dihydrobenzo[b]furan-5-
yl)methyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-
methoxybenzamide 848559-91-1, 4-Chloro-N-[5-[(4-[(4-
propylbenzyl)amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide
848559-92-2, 4-Chloro-N-[5-[(4-[(4-(trifluoromethoxy)benzyl)amino)-1-
piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848559-93-3
, 4-Chloro-N-[5-[(4-[(difluoromethoxy)benzyl]amino)-1-
piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848559-94-4,
4-Chloro-N-[5-[(4-[(4-propoxybenzyl)amino)-1-piperidinyl]sulfonyl]-2-
thienyl)methyl]benzamide 848559-95-5, N-[5-[(4-[(4-
Butoxybenzyl)amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-4-
chlorobenzamide 848559-96-6, 4-Chloro-N-[5-[(4-[(4-
quinolinylmethyl)amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide
848559-97-7, N-[5-[(4-[(4-tert-Butylbenzyl)amino)-1-
piperidinyl]sulfonyl]-2-thienyl)methyl]-4-chlorobenzamide
848559-98-8, 4-Chloro-N-[5-[(4-[(4-phenoxybenzyl)amino)-1-

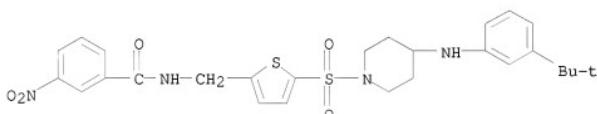
piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848559-99-9,
 4-Chloro-N-[[5-[(4-[(trifluoromethyl)sulfonyl]benzyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-00-9,
 4-Chloro-N-[[5-[(4-[(trifluoromethyl)benzyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-01-0,
 3-Methoxy-N-[[5-[(4-[(2-(trifluoromethyl)benzyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-02-1,
 3-Methoxy-N-[[5-[(4-[(6-(trifluoromethyl)-3-pyridinyl)methyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-03-2,
 N-[[5-[(Benzylamino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-04-3, 3-Methoxy-N-[[5-[(4-[(trifluoromethyl)phenyl]propyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-05-4, 3-Methoxy-N-[[5-[(4-[(1-methyl-1-[4-(trifluoromethyl)phenylethyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-06-5, 4-Chloro-N-[[5-[(1-[(4-(trifluoromethyl)phenylethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-07-6
 , 4-Chloro-N-[[5-[(4-[(1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-10-1,
 4-Chloro-N-[[5-[(3-[(4-(trifluoromethyl)benzyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-11-2,
 4-Chloro-N-[[5-[(3-[(hexylamino)methyl]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-14-5, 4-Chloro-N-[[5-[(3-[(4-(trifluoromethyl)benzyl)amino)methyl]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-15-6, 2-Oxo-N-[[5-[(4-(trifluoromethyl)benzyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-1,2-dihydro-3-pyridinecarboxamide 848560-16-7,
 N-[[5-[(4-(Hexylamino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-2-oxo-1,2-dihydro-3-pyridinecarboxamide 848560-17-8, N-[[5-[(4-(Hexylamino)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]-2-hydroxybenzamide 848560-18-9, 2-Hydroxy-N-[[5-[(4-(trifluoromethyl)benzyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]benzamide 848560-19-0
 , N-[[5-[(4-(Hexylamino)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]-2-thioxo-1,2-dihydro-3-pyridinecarboxamide 848560-20-3,
 2-Thioxo-N-[[5-[(4-(trifluoromethyl)benzyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-1,2-dihydro-3-pyridinecarboxamide 848560-21-4, N-[[5-[(4-(Butylamino)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]-2-oxo-1,2-dihydro-3-pyridinecarboxamide 848560-22-5, N-[[5-[(4-[Ethyl-4-(trifluoromethyl)benzyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxybenzamide 848560-23-6 848560-25-8, Ethyl 2-[(4-(hexylamino)piperidin-1-yl)sulfonyl]-5-[(3-methoxybenzoyl)amino]methyl]thiophene-3-carboxylate 848560-26-9, N-[[5-[(4-(Hexylamino)piperidin-1-yl)sulfonyl]-4-(trimethylsilyl)thien-2-yl)methyl]-3-methoxybenzamide 848560-27-0, N-[[5-[(4-(Hexylamino)piperidin-1-yl)sulfonyl]-4-(hydroxy(phenyl)methyl)thien-2-yl)methyl]-3-methoxybenzamide 848560-28-1, 5-[(3-Methoxybenzoylamino)methyl]-2-[(4-(trifluoromethylbenzyl)aminol)piperidin-1-yl]sulfonyl]thiophene-3-carboxylic acid ethyl ester 848560-29-2, N-[(4-Chloro-5-[(4-(hexylamino)piperidin-1-yl)sulfonyl]thien-2-yl)methyl]-3-methoxybenzamide
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (antidiabetic agent; thiophenesulfonamide derivs. for treatment of diabetes)
 RN 848558-37-2 CAPLUS
 CN Benzamide, 3-nitro-N-[[5-[(2-propylphenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



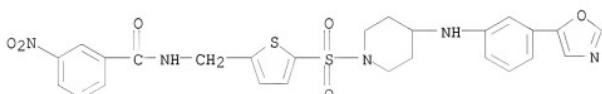
RN 848558-38-3 CAPLUS
 CN Benzamide, 3-nitro-N-[5-[(4-(4-propylphenyl)amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



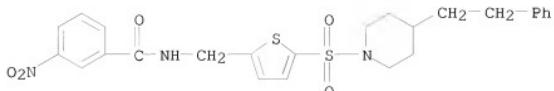
RN 848558-39-4 CAPLUS
 CN Benzamide, N-[5-[(4-[(3-(1,1-dimethylethyl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]-3-nitro- (CA INDEX NAME)



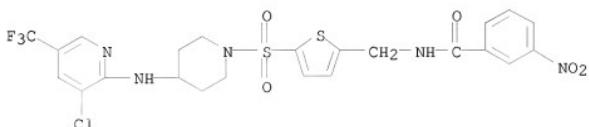
RN 848558-40-7 CAPLUS
 CN Benzamide, 3-nitro-N-[5-[(4-[(3-(5-oxazolyl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



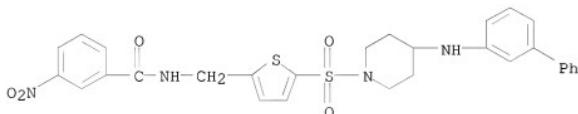
RN 848558-41-8 CAPLUS
 CN Benzamide, 3-nitro-N-[5-[(4-(2-phenylethyl)-1-piperidinyl)sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



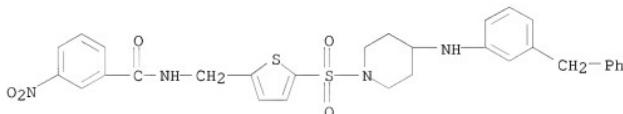
RN 848558-42-9 CAPLUS
 CN Benzamide, N-[5-[(4-[(3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-nitro- (CA INDEX NAME)



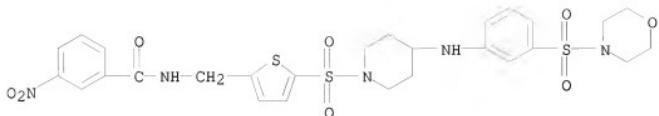
RN 848558-43-0 CAPLUS
 CN Benzamide, N-[5-[(4-((1,1'-biphenyl)-3-ylamino)-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-nitro- (CA INDEX NAME)



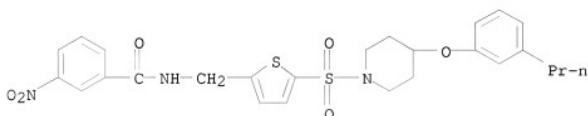
RN 848558-44-1 CAPLUS
 CN Benzamide, 3-nitro-N-[(5-[(4-[(phenylmethyl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



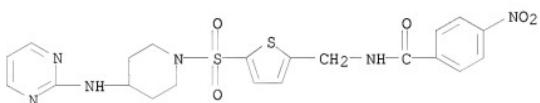
RN 848558-45-2 CAPLUS
 CN Benzamide, N-[5-[(4-[(3-(4-morpholinylsulfonyl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-nitro- (CA INDEX NAME)



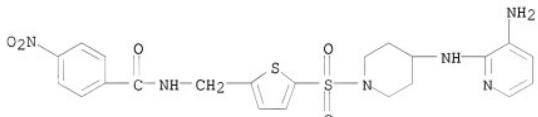
RN 848558-46-3 CAPLUS
CN Benzamide, 3-nitro-N-[(5-[(4-(3-propylphenoxy)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



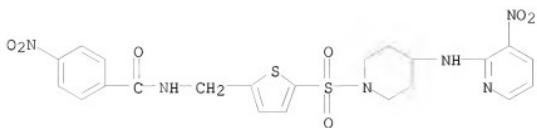
RN 848558-47-4 CAPLUS
CN Benzamide, 4-nitro-N-[(5-[(4-(2-pyrimidinylamino)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



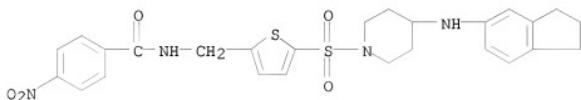
RN 848558-48-5 CAPLUS
CN Benzamide, N-[(5-[(4-[(3-amino-2-pyridinyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-4-nitro- (CA INDEX NAME)



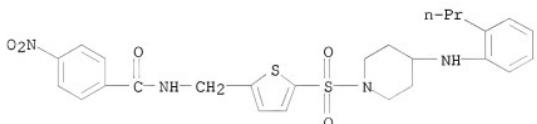
RN 848558-49-6 CAPLUS
CN Benzamide, 4-nitro-N-[(5-[(4-[(3-nitro-2-pyridinyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



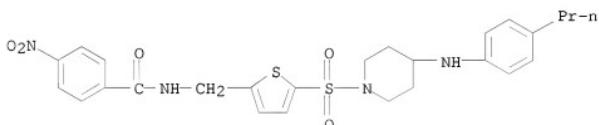
RN 848558-50-9 CAPLUS
CN Benzamide, N-[{5-[4-[(2,3-dihydro-1H-inden-5-yl)amino]-1-piperidinyl]sulfonyl}-2-thienyl]methyl]-4-nitro- (CA INDEX NAME)



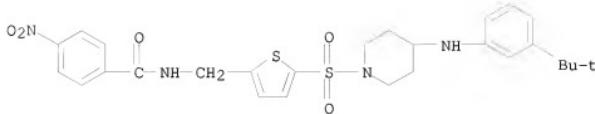
RN 848558-51-0 CAPLUS
CN Benzamide, 4-nitro-N-[{5-[4-[(2-propylphenyl)amino]-1-piperidinyl]sulfonyl}-2-thienyl]methyl- (CA INDEX NAME)



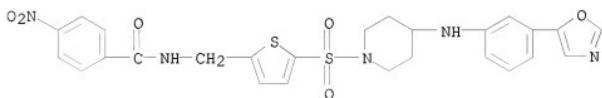
RN 848558-52-1 CAPLUS
CN Benzamide, 4-nitro-N-[{5-[4-[(4-propylphenyl)amino]-1-piperidinyl]sulfonyl}-2-thienyl]methyl- (CA INDEX NAME)



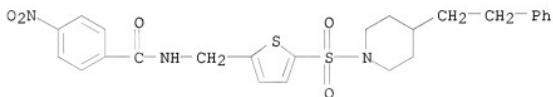
RN 848558-53-2 CAPLUS
CN Benzamide, N-[{5-[4-[(3-(1,1-dimethylethyl)phenyl)amino]-1-piperidinyl]sulfonyl}-2-thienyl]methyl]-4-nitro- (CA INDEX NAME)



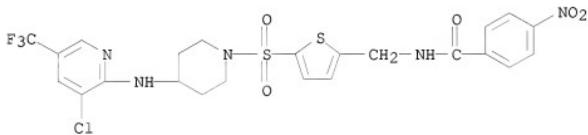
RN 848558-54-3 CAPLUS
 CN Benzamide, 4-nitro-N-[(5-[(4-[(3-(5-oxazolyl)phenyl]amino)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)



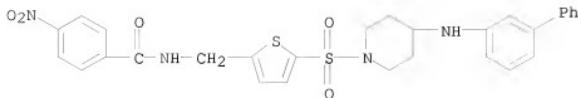
RN 848558-55-4 CAPLUS
 CN Benzamide, 4-nitro-N-[(5-[(4-(2-phenylethyl)-1-piperidinyl)sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)



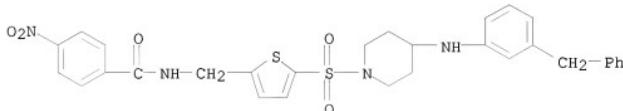
RN 848558-56-5 CAPLUS
 CN Benzamide, N-[(5-[(4-[(3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]-4-nitro- (CA INDEX NAME)



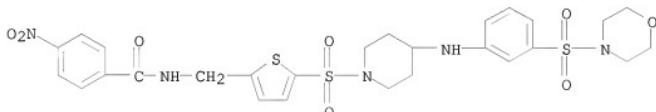
RN 848558-57-6 CAPLUS
 CN Benzamide, N-[(5-[(4-([1,1'-biphenyl]-3-ylamino)-1-piperidinyl)sulfonyl)-2-thienyl]methyl)-4-nitro- (CA INDEX NAME)



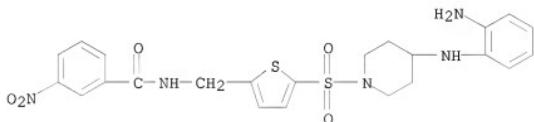
RN 848558-58-7 CAPLUS
CN Benzamide, 4-nitro-N-[5-[(4-[(3-(phenylmethyl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



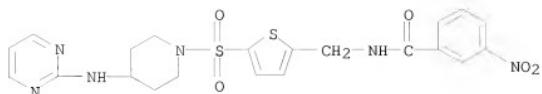
RN 848558-59-8 CAPLUS
CN Benzamide, N-[[5-[(4-[(3-(4-morpholinylsulfonyl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-4-nitro- (CA INDEX NAME)



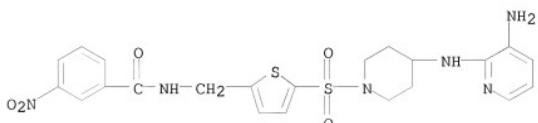
RN 848558-60-1 CAPLUS
CN Benzamide, N-[[5-[(4-[(2-aminophenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-nitro- (CA INDEX NAME)



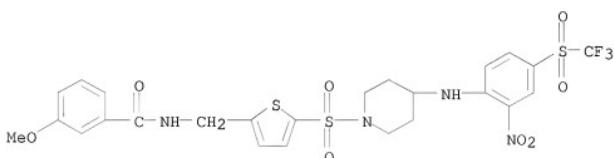
RN 848558-61-2 CAPLUS
CN Benzamide, 3-nitro-N-[[5-[(4-(2-pyrimidinylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



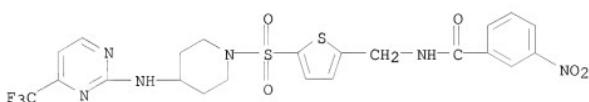
RN 848558-62-3 CAPLUS
 CN Benzamide, N-[5-[(4-[(3-amino-2-pyridinyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-nitro- (CA INDEX NAME)



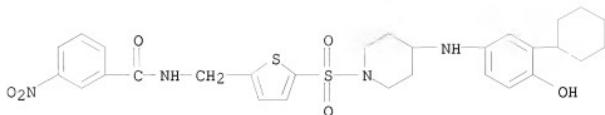
RN 848558-63-4 CAPLUS
 CN Benzamide, 3-methoxy-N-[[5-[(4-[(2-nitro-4-[(trifluoromethyl)sulfonyl]phenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 848558-65-6 CAPLUS
 CN Benzamide, 3-nitro-N-[[5-[(4-[(trifluoromethyl)-2-pyrimidinyl]amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)

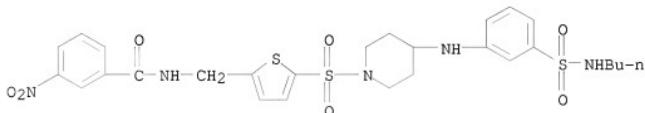


RN 848558-66-7 CAPLUS
 CN Benzamide, N-[[5-[(4-[(3-cyclohexyl-4-hydroxyphenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-nitro- (CA INDEX NAME)



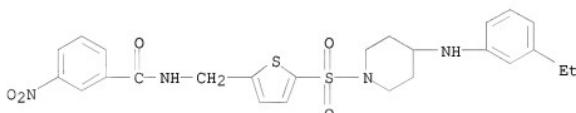
RN 848558-67-8 CAPLUS

CN Benzamide, N-[(5-[(4-[(3-[(butylamino)sulfonyl]phenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-3-nitro- (CA INDEX NAME)



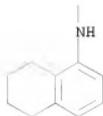
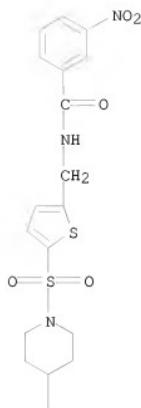
RN 848558-68-9 CAPLUS

CN Benzamide, N-[(5-[(4-[(3-ethylphenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-3-nitro- (CA INDEX NAME)

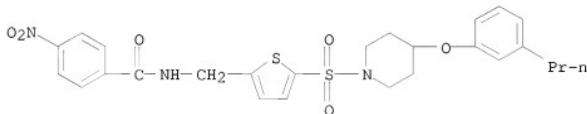


RN 848558-69-0 CAPLUS

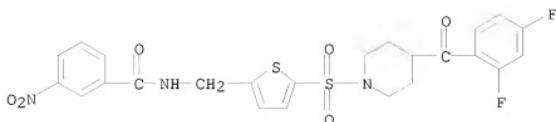
CN Benzamide, 3-nitro-N-[(5-[(4-[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



RN 848558-70-3 CAPLUS
 CN Benzamide, 4-nitro-N-[5-[4-(3-propylphenoxy)-1-piperidinyl]sulfonyl]-2-thienylmethyl- (CA INDEX NAME)

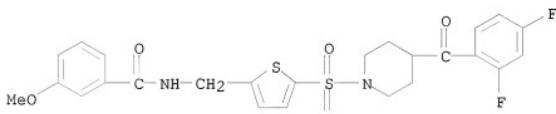


RN 848558-71-4 CAPLUS
 CN Benzamide, N-[(5-[(4-(2,4-difluorobenzoyl)-1-piperidinyl)sulfonyl]-2-thienylmethyl)-3-nitro- (CA INDEX NAME)



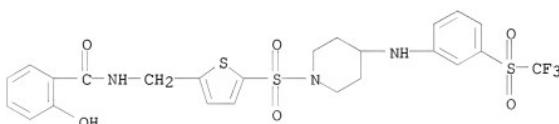
RN 848558-72-5 CAPLUS

CN Benzamide, N-[(5-[(4-(2,4-difluorobenzoyl)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



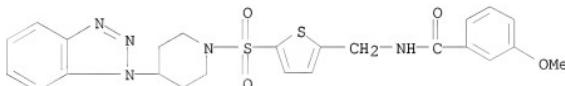
RN 848558-73-6 CAPLUS

CN Benzamide, 2-hydroxy-N-[(5-[(4-[(trifluoromethyl)sulfonyl]phenyl]amino)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]- (CA INDEX NAME)



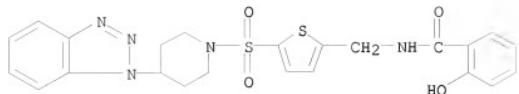
RN 848558-74-7 CAPLUS

CN Benzamide, N-[(5-[(4-(1H-benzotriazol-1-yl)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)

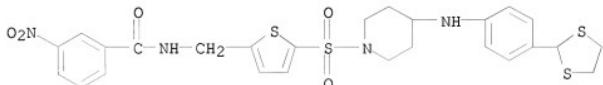


RN 848558-75-8 CAPLUS

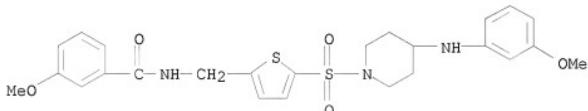
CN Benzamide, N-[(5-[(4-(1H-benzotriazol-1-yl)-1-piperidinyl]sulfonyl)-2-thienyl)methyl]-2-hydroxy- (CA INDEX NAME)



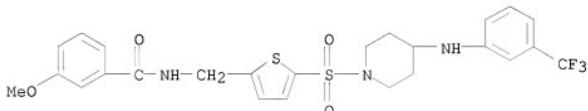
RN 848558-76-9 CAPLUS
 CN Benzamide, N-[5-[(4-[(1,3-dithiolan-2-yl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]-3-nitro- (CA INDEX NAME)



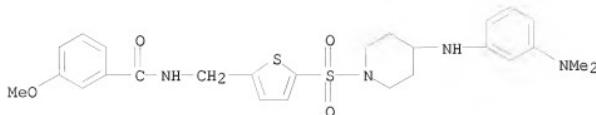
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 CN Benzamide, 3-methoxy-N-[(5-[(4-[(3-methoxyphenyl)amino]-1-piperidinyl]sulfonyl)-2-thienylmethyl]- (CA INDEX NAME)



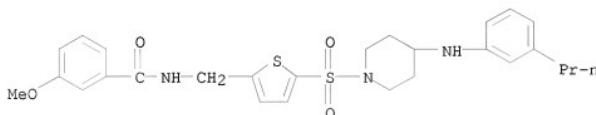
RN 848558-78-1 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[(4-[(3-(trifluoromethyl)phenyl)amino]-1-piperidinyl]sulfonyl)-2-thienylmethyl]- (CA INDEX NAME)



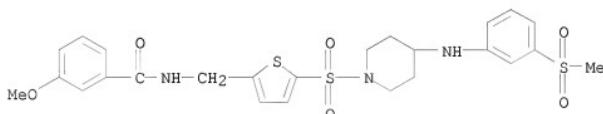
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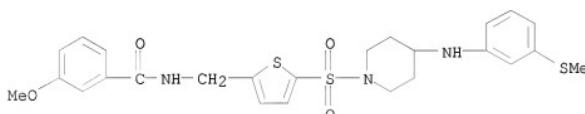
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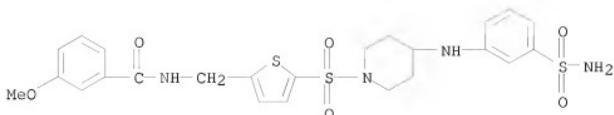
RN 848558-81-6 CAPLUS
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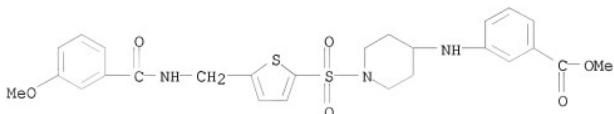
RN 848558-82-7 CAPLUS
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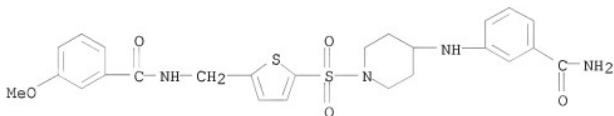
RN 848558-83-8 CAPLUS
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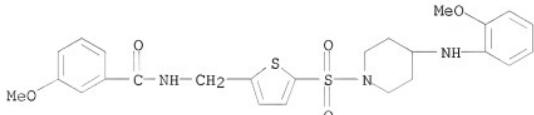
RN 848558-84-9 CAPLUS
 CN Benzoic acid, 3-[[1-[[5-[(3-methoxybenzoyl)amino]methyl]-2-thienyl]sulfonyl]-4-piperidinyl]amino]-, methyl ester (CA INDEX NAME)



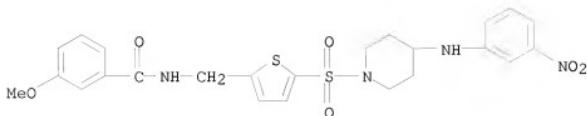
RN 848558-85-0 CAPLUS
 CN Benzanide, N-[[5-[[4-[(3-aminocarbonyl)phenyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



RN 848558-86-1 CAPLUS
 CN Benzanide, 3-methoxy-N-[[5-[[4-[(2-methoxyphenyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)

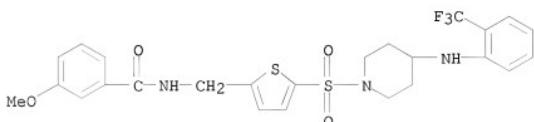


RN 848558-87-2 CAPLUS
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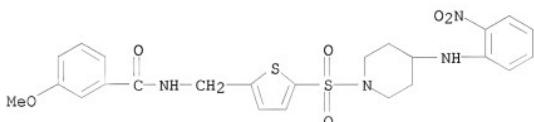
RN 848558-88-3 CAPLUS

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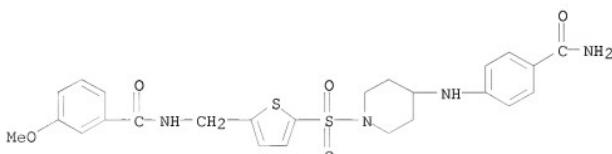
RN 848558-89-4 CAPLUS

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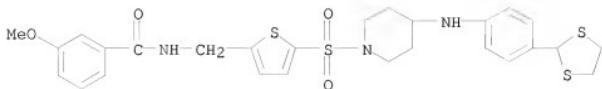
RN 848558-90-7 CAPLUS

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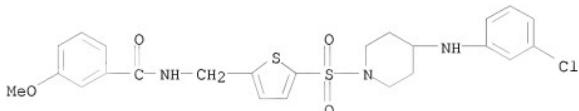


RN 848558-91-8 CAPLUS

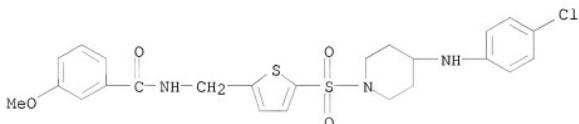
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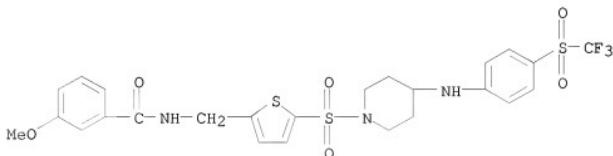
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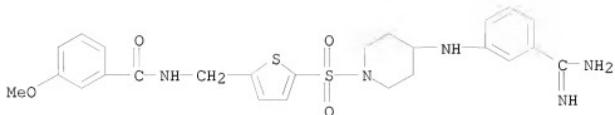
RN 848558-93-0 CAPLUS
 CN Benzanide, N-[(5-[(4-(4-chlorophenyl)amino)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



RN 848558-94-1 CAPLUS
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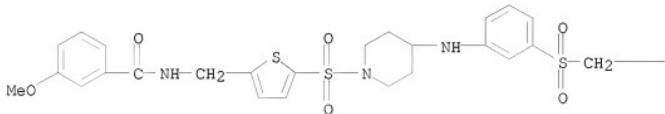
RN 848558-95-2 CAPLUS
 CN Benzanide, N-[(5-[(3-(aminoiminomethyl)phenyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



RN 848558-96-3 CAPLUS

CN Benzamide, N-[(5-[(4-[(3-[(2-hydroxyethyl)sulfonyl]phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)

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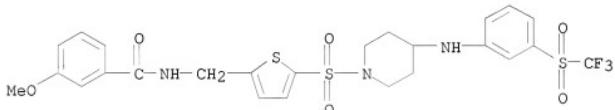


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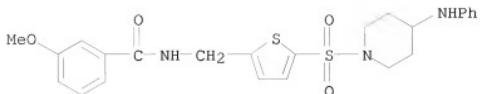
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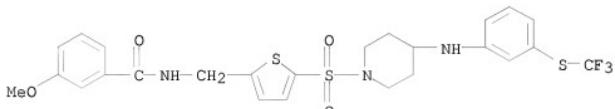


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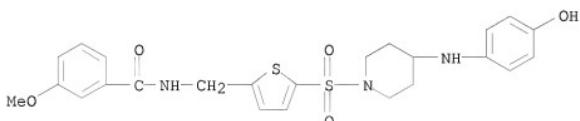
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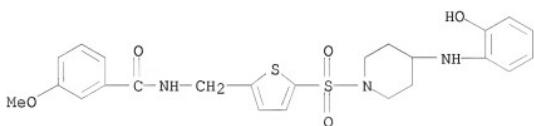
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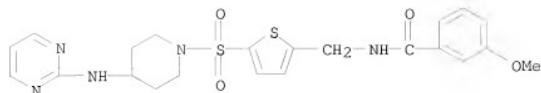
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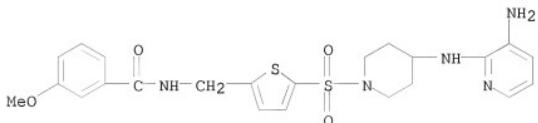
RN 848559-01-3 CAPLUS
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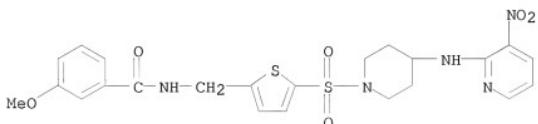
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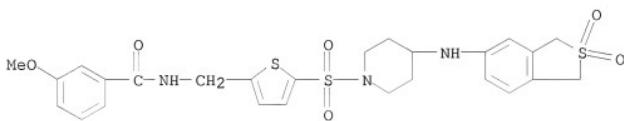
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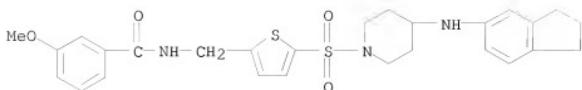
RN 848559-04-6 CAPLUS
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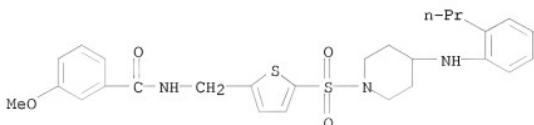
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 CN Benzamide, N-[[5-[(4-[(1,3-dihydro-2,2-dioxidothieno[3,2-c]thien-5-yl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



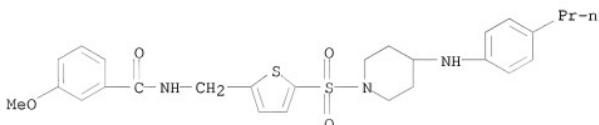
RN 848559-06-8 CAPLUS
 CN Benzamide, N-[[5-[(4-[(2,3-dihydro-1H-inden-5-yl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



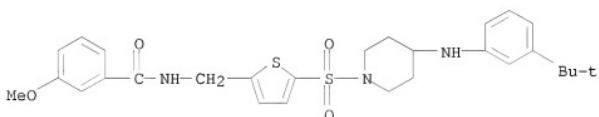
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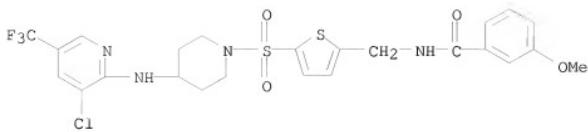
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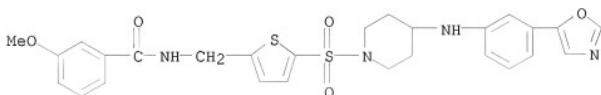
RN 848559-09-1 CAPLUS
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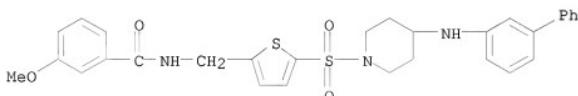
RN 848559-10-4 CAPLUS
CN Benzamide, N-[(5-[(4-[(3-chloro-5-(trifluoromethyl)-2-pyridinyl)amino]-1-piperidinyl)sulfonyl)-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



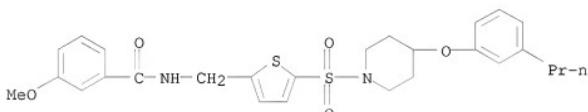
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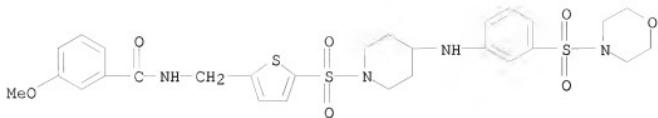
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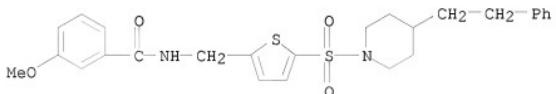
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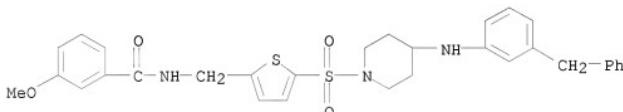
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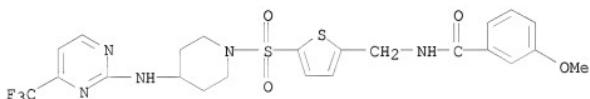
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CN Benzamide, 3-methoxy-N-[(5-[(4-(2-phenylethyl)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



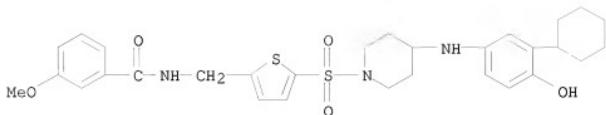
RN 848559-16-0 CAPLUS
CN Benzamide, 3-methoxy-N-[(5-[(4-[(3-phenylmethyl)phenyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



RN 848559-18-2 CAPLUS
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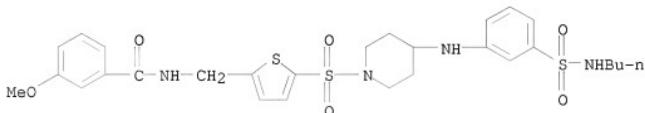


RN 848559-19-3 CAPLUS
CN Benzamide, N-[(5-[(4-[(3-cyclohexyl-4-hydroxyphenyl)amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



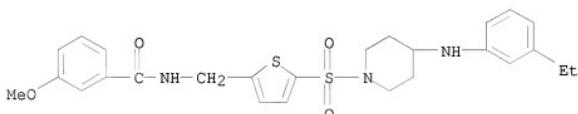
RN 848559-20-6 CAPLUS

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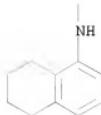
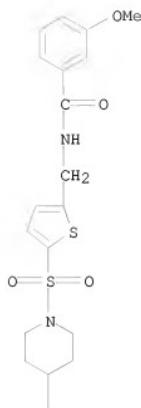
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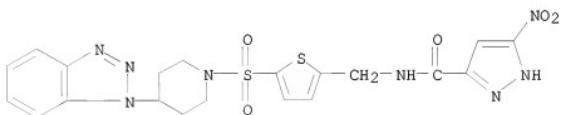


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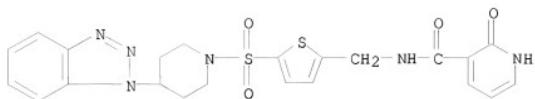
CN Benzamide, 3-methoxy-N-[(5-[(4-[(5,6,7,8-tetrahydro-1-naphthalenyl)amino)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)



RN 848559-23-9 CAPLUS
 CN 1H-Pyrazole-3-carboxamide, N-[5-[[4-(1H-benzotriazol-1-yl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-5-nitro- (CA INDEX NAME)

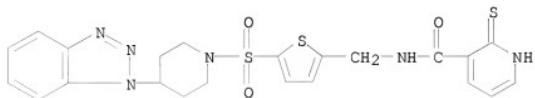


RN 848559-24-0 CAPLUS
 CN 3-Pyridinecarboxamide, N-[5-[[4-(1H-benzotriazol-1-yl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-1,2-dihydro-2-oxo- (CA INDEX NAME)



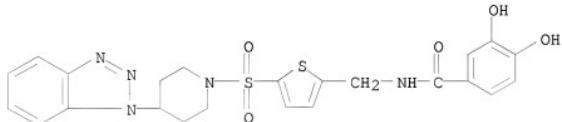
RN 848559-25-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[(5-[(4-(1H-benzotriazol-1-yl)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-1,2-dihydro-2-thioxo- (CA INDEX NAME)



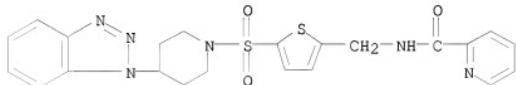
RN 848559-26-2 CAPLUS

CN Benzamide, N-[(5-[(4-(1H-benzotriazol-1-yl)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-3,4-dihydroxy- (CA INDEX NAME)



RN 848559-27-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[(5-[(4-(1H-benzotriazol-1-yl)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)

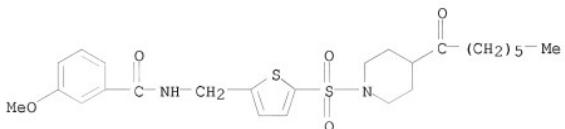


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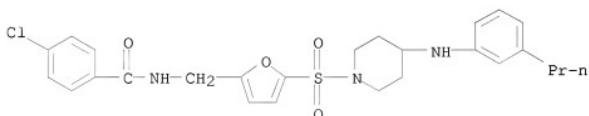
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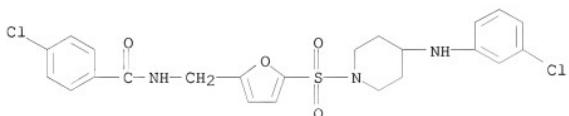
RN 848559-29-5 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[(4-(1-oxoheptyl)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



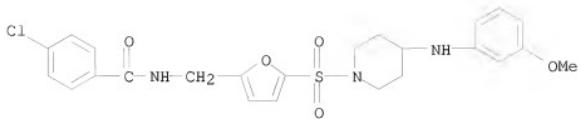
RN 848559-30-8 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(3-propylphenyl)amino]-1-piperidinyl)sulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



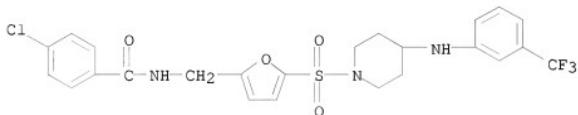
RN 848559-31-9 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(3-chlorophenyl)amino]-1-piperidinyl)sulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



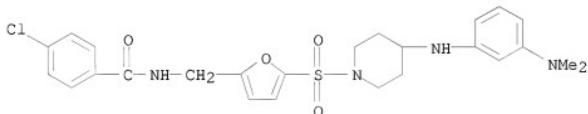
RN 848559-32-0 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(3-methoxyphenyl)amino]-1-piperidinyl)sulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



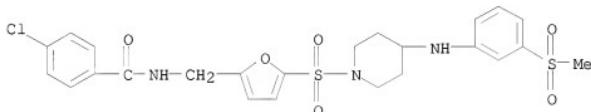
RN 848559-33-1 CAPLUS
 CN Benzamide, 4-chloro-N-[{5-[{4-[{3-(trifluoromethyl)phenyl]amino}-1-piperidinyl]sulfonyl}-2-furanyl]methyl]- (CA INDEX NAME)



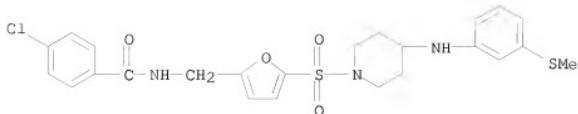
RN 848559-34-2 CAPLUS
 CN Benzamide, 4-chloro-N-[{5-[{4-[{3-(dimethylamino)phenyl]amino}-1-piperidinyl]sulfonyl}-2-furanyl]methyl]- (CA INDEX NAME)



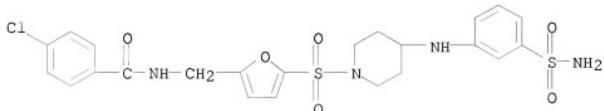
RN 848559-35-3 CAPLUS
 CN Benzamide, 4-chloro-N-[{5-[{4-[{3-(methylsulfonyl)phenyl]amino}-1-piperidinyl]sulfonyl}-2-furanyl]methyl]- (CA INDEX NAME)



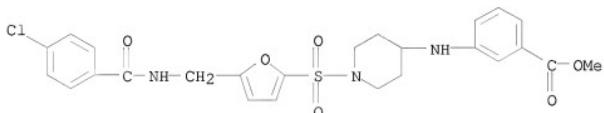
RN 848559-36-4 CAPLUS
 CN Benzamide, 4-chloro-N-[{5-[{4-[{3-(methylthio)phenyl]amino}-1-piperidinyl]sulfonyl}-2-furanyl]methyl]- (CA INDEX NAME)



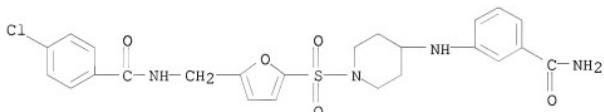
RN 848559-37-5 CAPLUS
CN Benzamide, N-[(5-[(4-[(3-(aminosulfonyl)phenyl)amino]-1-piperidinyl)sulfonyl]-2-furanyl)methyl]-4-chloro- (CA INDEX NAME)



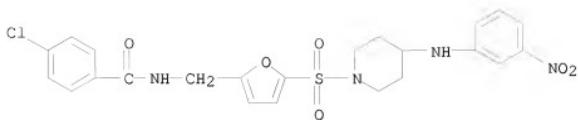
RN 848559-38-6 CAPLUS
CN Benzoic acid, 3-[(1-[(5-[(4-chlorobenzoyl)amino]methyl)-2-furanyl]sulfonyl]-4-piperidinylamino]-, methyl ester (CA INDEX NAME)



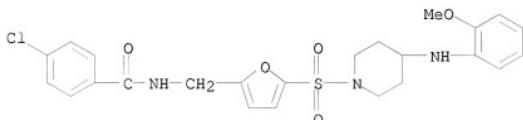
RN 848559-39-7 CAPLUS
CN Benzamide, N-[(5-[(4-[(aminocarbonyl)phenyl]amino]-1-piperidinyl)sulfonyl]-2-furanyl)methyl]-4-chloro- (CA INDEX NAME)



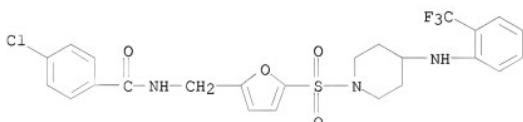
RN 848559-40-0 CAPLUS
CN Benzamide, 4-chloro-N-[(5-[(4-[(3-nitrophenyl)amino]-1-piperidinyl)sulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



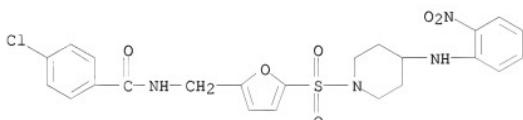
RN 848559-41-1 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(2-methoxyphenyl)amino]-1-piperidinyl)sulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



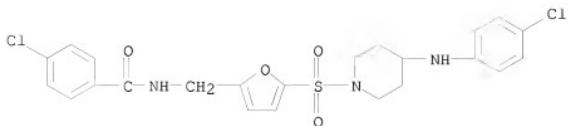
RN 848559-42-2 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(2-(trifluoromethyl)phenyl)amino]-1-piperidinyl)sulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



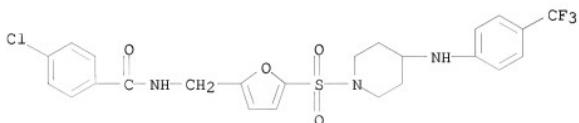
RN 848559-43-3 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(2-nitrophenyl)amino]-1-piperidinyl)sulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



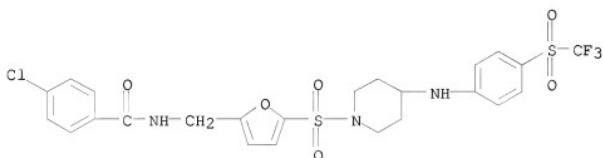
RN 848559-44-4 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-[(4-chlorophenyl)amino]-1-piperidinyl)sulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



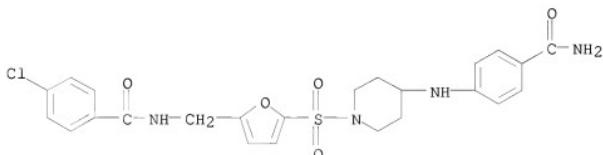
RN 848559-45-5 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[[4-(trifluoromethyl)phenyl]amino]-1-piperidinyl)sulfonyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 848559-46-6 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[[4-((trifluoromethyl)sulfonyl)phenyl]amino]-1-piperidinyl)sulfonyl]-2-furanyl]methyl]- (CA INDEX NAME)

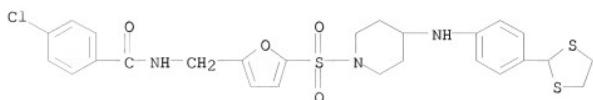


RN 848559-47-7 CAPLUS
 CN Benzamide, N-[(5-[[4-((aminocarbonyl)phenyl]amino)-1-piperidinyl)sulfonyl]-2-furanyl]methyl]-4-chloro- (CA INDEX NAME)



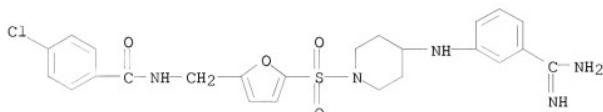
RN 848559-48-8 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[[4-((1,3-dithiolan-2-yl)amino)-1-piperidinyl)sulfonyl]-2-furanyl]methyl]-

piperidinylsulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



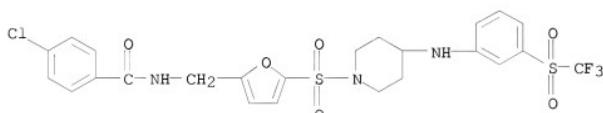
RN 848559-49-9 CAPLUS

CN Benzamide, N-[5-[(4-[(3-(aminomethyl)phenyl]amino)-1-piperidinylsulfonyl]-2-furanyl)methyl]-4-chloro- (CA INDEX NAME)



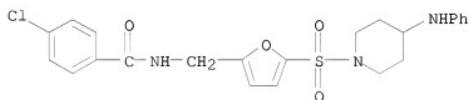
RN 848559-50-2 CAPLUS

CN Benzamide, 4-chloro-N-[[5-[(4-[(trifluoromethyl)sulfonyl]phenyl]amino)-1-piperidinylsulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



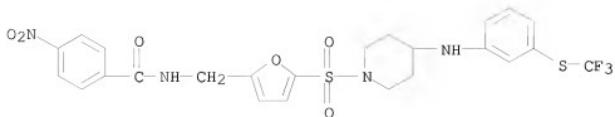
RN 848559-51-3 CAPLUS

CN Benzamide, 4-chloro-N-[[5-[(4-(phenylamino)-1-piperidinylsulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)

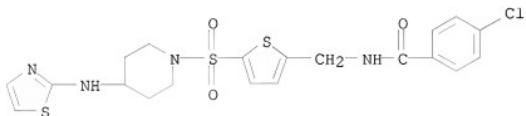


RN 848559-52-4 CAPLUS

CN Benzamide, 4-nitro-N-[[5-[(4-[(trifluoromethyl)thio]phenyl]amino)-1-piperidinylsulfonyl]-2-furanyl)methyl]- (CA INDEX NAME)



RN 848559-55-7 CAPLUS
CN Benzamide, 4-chloro-N-[(5-[(4-(2-thiazolylamino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



RN 848559-56-8 CAPLUS
CN Benzamide, 4-chloro-N-[(5-[(4-[(3-(1H-imidazol-1-yl)propyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)

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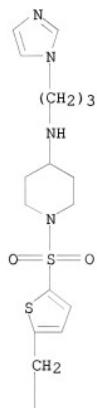
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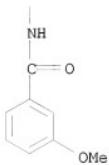


RN 848559-57-9 CAPLUS

CN Benzamide, N-[5-[[4-[[3-(1H-imidazol-1-yl)propyl]amino]-1-piperidinyl]sulfonyl]-2-thienylmethyl]-3-methoxy- (CA INDEX NAME)

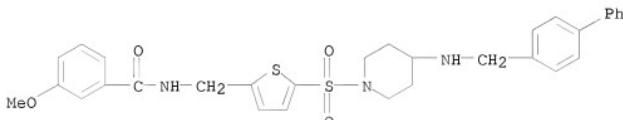
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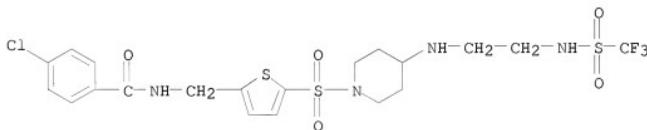
RN 848559-58-0 CAPLUS

CN Benzamide, N-[[5-[(4-((1,1'-biphenyl)-4-ylmethyl)amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]-3-methoxy- (CA INDEX NAME)



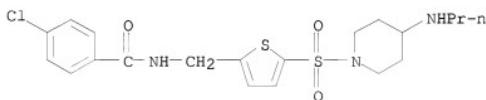
RN 848559-59-1 CAPLUS

CN Benzamide, 4-chloro-N-[[5-[(4-[(2-[(trifluoromethyl)sulfonyl]amino)ethyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



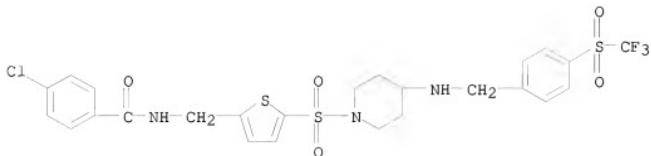
RN 848559-60-4 CAPLUS

CN Benzamide, 4-chloro-N-[[5-[(4-(propylamino)-1-piperidinyl)sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)

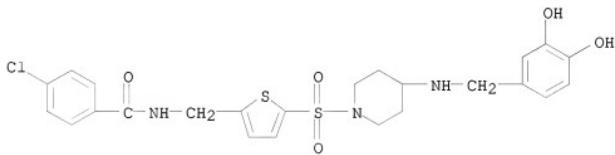


RN 848559-61-5 CAPLUS

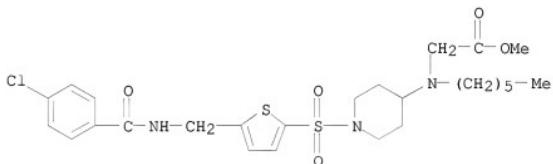
CN Benzamide, 4-chloro-N-[[5-[(4-[(trifluoromethyl)sulfonyl]phenyl)methyl]amino)-1-piperidinyl]sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



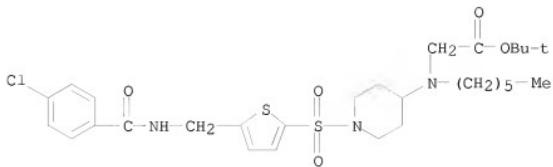
RN 848559-62-6 CAPLUS
CN Benzamide, 4-chloro-N-[{5-[{[4-[(3,4-dihydroxyphenyl)methyl]amino]-1-piperidinyl}sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



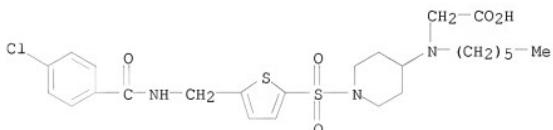
RN 848559-63-7 CAPLUS
CN Glycine, N-[1-{[5-[{[(4-chlorobenzoyl)amino]methyl}-2-thienylsulfonyl]-4-piperidinyl}-N-hexyl-, methyl ester (CA INDEX NAME)



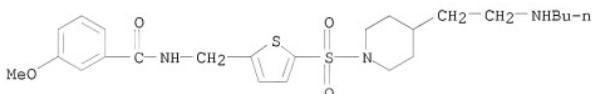
RN 848559-64-8 CAPLUS
CN Glycine, N-[1-{[5-[{[(4-chlorobenzoyl)amino]methyl}-2-thienylsulfonyl]-4-piperidinyl}-N-hexyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



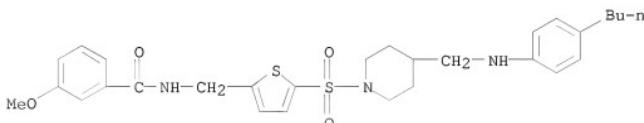
RN 848559-65-9 CAPLUS
CN Glycine, N-[1-[5-[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]-4-piperidinyl-N-hexyl- (CA INDEX NAME)



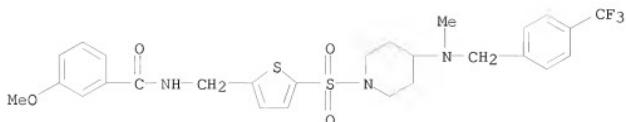
RN 848559-68-2 CAPLUS
CN Benzamide, N-[5-[[4-[2-(butylamino)ethyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



RN 848559-69-3 CAPLUS
CN Benzamide, N-[5-[(4-[(4-butylphenyl)amino]methyl]-1-piperidinylsulfonyl)-2-thienylmethyl]-3-methoxy- (CA INDEX NAME)

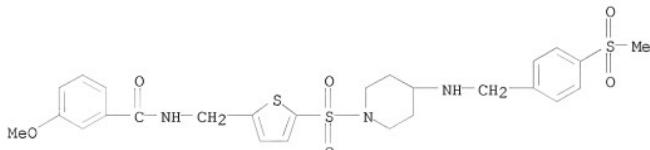


RN 848559-70-6 CAPLUS
CN Benzamide, 3-methoxy-N-[5-[[4-[methyl[4-(trifluoromethyl)phenyl]methyl]amino]methyl]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



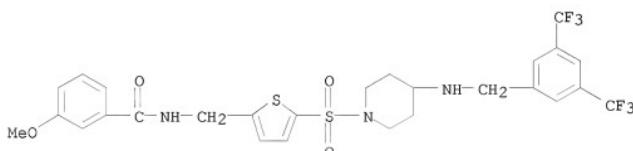
RN 848559-71-7 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[[4-[[4-(methylsulfonyl)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



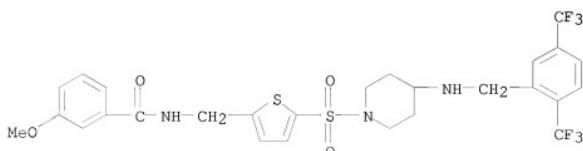
RN 848559-72-8 CAPLUS

CN Benzamide, N-[(5-[[4-[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



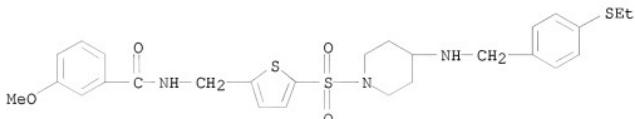
RN 848559-73-9 CAPLUS

CN Benzamide, N-[(5-[[4-[[2,5-bis(trifluoromethyl)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



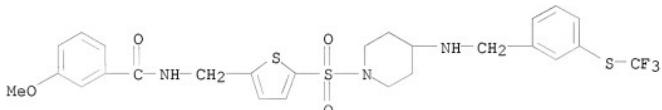
RN 848559-74-0 CAPLUS

CN Benzamide, N-[5-[(4-[[4-(ethylthio)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



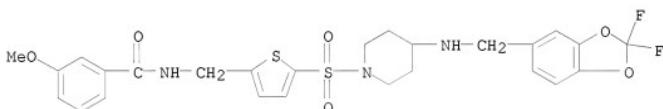
RN 848559-75-1 CAPLUS

CN Benzamide, 3-methoxy-N-[5-[(4-[[3-[(trifluoromethyl)thio]phenyl]methyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



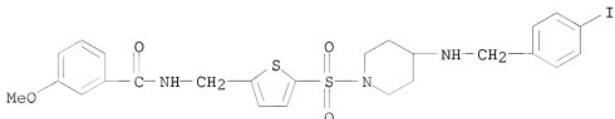
RN 848559-76-2 CAPLUS

CN Benzamide, N-[5-[(4-[(2,2-difluoro-1,3-benzodioxol-5-yl)methyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



RN 848559-77-3 CAPLUS

CN Benzamide, N-[5-[(4-[(4-iodophenyl)methyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)

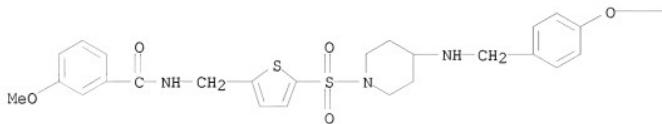


RN 848559-78-4 CAPLUS

CN Benzamide, 3-methoxy-N-[5-[(4-[(4-phenylmethoxy)phenyl]amino)-1-

piperidinylsulfonyl]-2-thienylmethyl]- (CA INDEX NAME)

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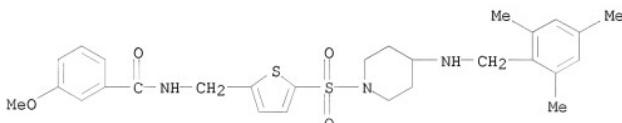


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--CH₂--Ph

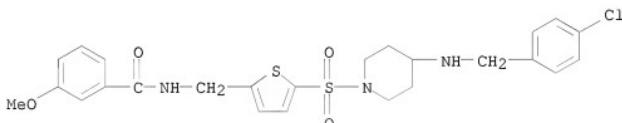
RN 848559-79-5 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[(4-[(2,4,6-trimethylphenyl)methyl]amino)-1-piperidinylsulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



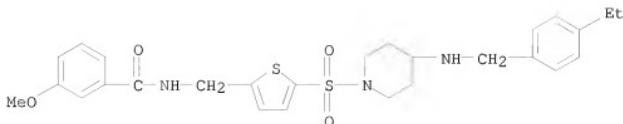
RN 848559-80-8 CAPLUS

CN Benzamide, N-[(5-[(4-[(4-chlorophenyl)methyl]amino)-1-piperidinylsulfonyl]-2-thienylmethyl]-3-methoxy- (CA INDEX NAME)



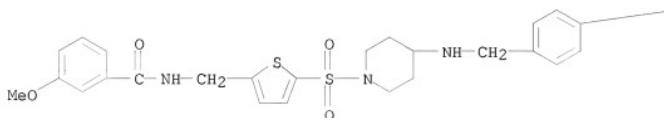
RN 848559-81-9 CAPLUS

CN Benzamide, N-[(5-[(4-[(4-ethylphenyl)methyl]amino)-1-piperidinylsulfonyl]-2-thienylmethyl]-3-methoxy- (CA INDEX NAME)



RN 848559-82-0 CAPLUS
CN Benzamide, 3-methoxy-N-[(5-[(4-[(4-pentylphenyl)methyl]amino)-1-piperidinylsulfonyl]-2-thienylmethyl]- (CA INDEX NAME)

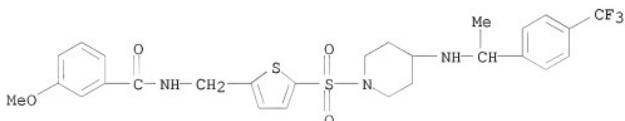
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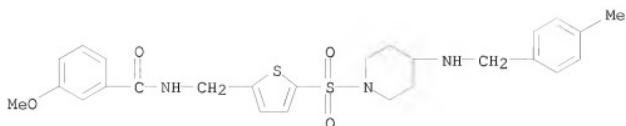
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—(CH₂)₄—Me

RN 848559-83-1 CAPLUS
CN Benzamide, 3-methoxy-N-[(5-[(4-[(1-[4-(trifluoromethyl)phenyl]ethyl]amino)-1-piperidinylsulfonyl]-2-thienylmethyl]- (CA INDEX NAME)

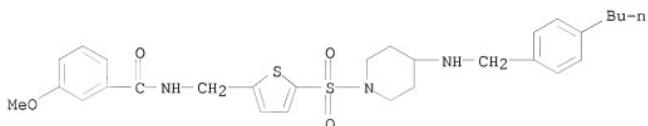


RN 848559-84-2 CAPLUS
CN Benzamide, 3-methoxy-N-[(5-[(4-[(4-methylphenyl)methyl]amino)-1-piperidinylsulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



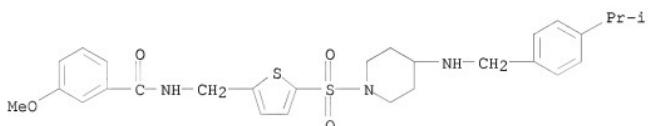
BN 848559-85-3 CAPLUS

CN Benzamide, N-[[5-[[4-[(4-butylphenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



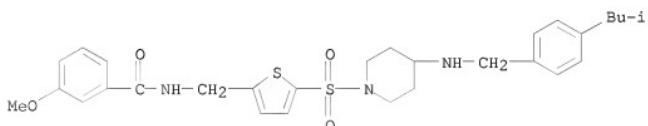
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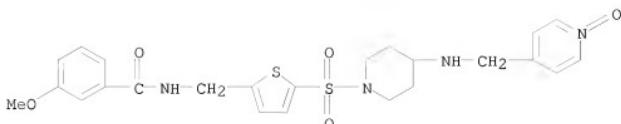
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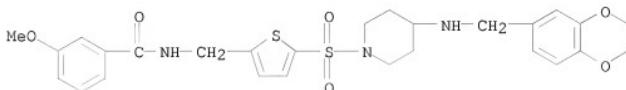


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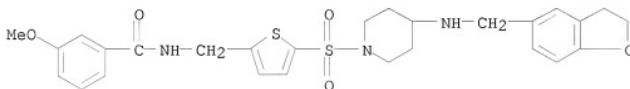
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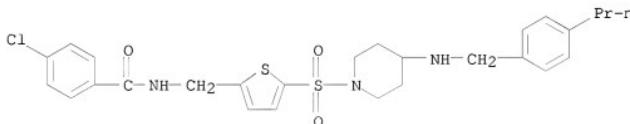
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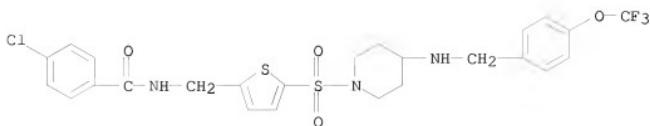
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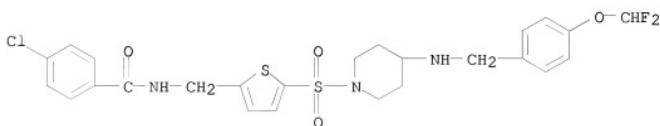
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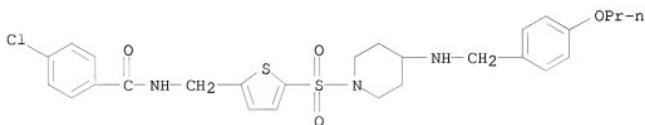
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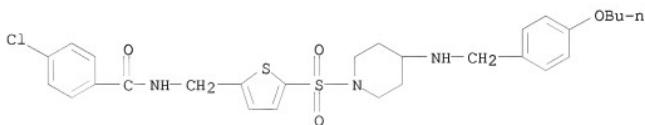
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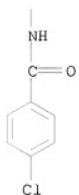
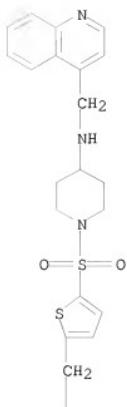
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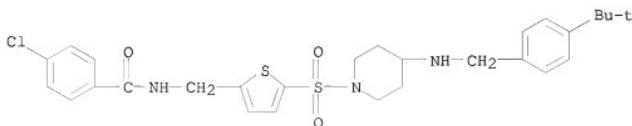
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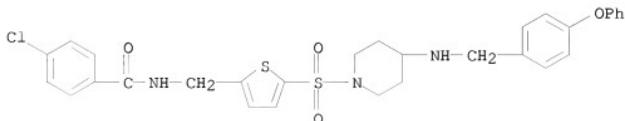


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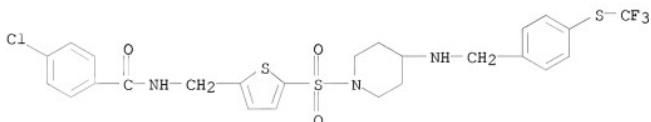


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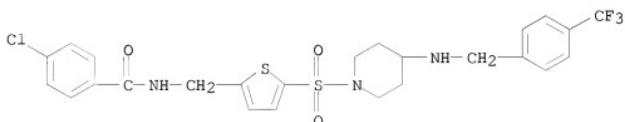
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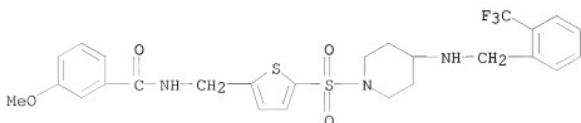
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RN 848560-00-9 CAPLUS
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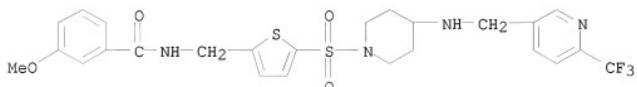


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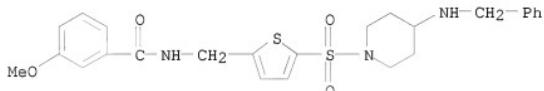
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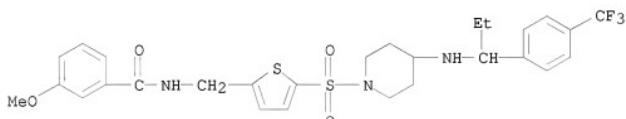
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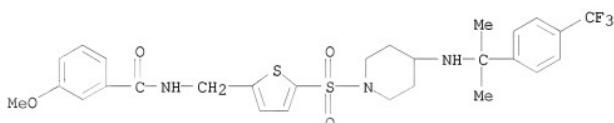
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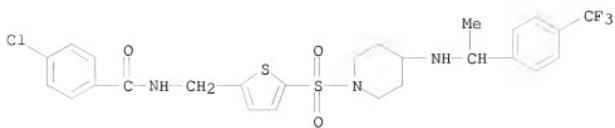
RN 848560-05-4 CAPLUS

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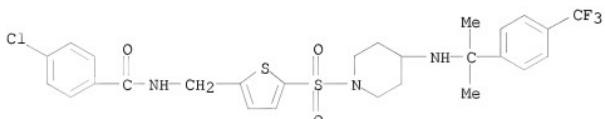
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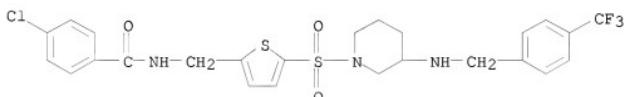
RN 848560-07-6 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[{4-[(1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl]amino}-1-piperidinyl]sulfonyl}-2-thienyl)methyl]- (CA INDEX NAME)



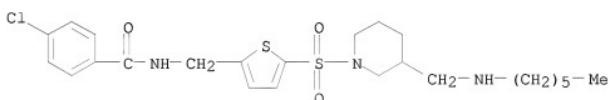
BN 848560-10-1 CAPLUS

RN 64550-10-1 CAS#
CN Benzanide, 4-chloro-N-[(5-[(3-[(4-(trifluoromethyl)phenyl]methyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



BN 848560-11-2 CAPIJIS

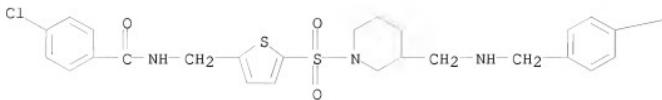
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BN 848560-14-5 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[[3-[[[4-(trifluoromethyl)phenyl]methyl]amino]methyl]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)

PAGE 1-A

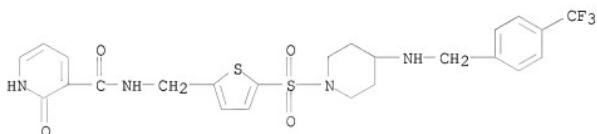


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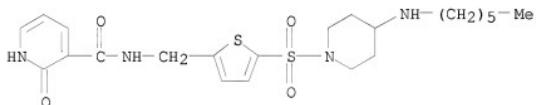
RN 848560-15-6 CAPLUS

CN 3-Pyridinecarboxamide, 1,2-dihydro-2-oxo-N-[(5-[(4-(trifluoromethyl)phenyl)methyl]amino)-1-piperidinylsulfonyl]-2-thienylmethyl- (CA INDEX NAME)



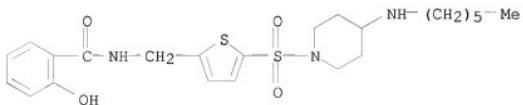
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CN 3-Pyridinecarboxamide, N-[(5-[(4-(hexylamino)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-1,2-dihydro-2-oxo- (CA INDEX NAME)

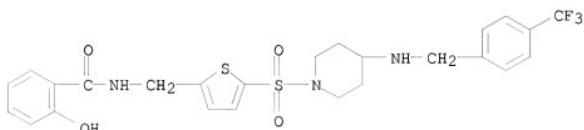


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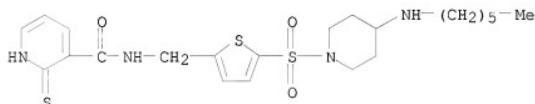
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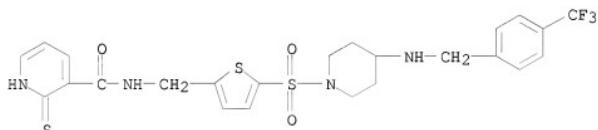
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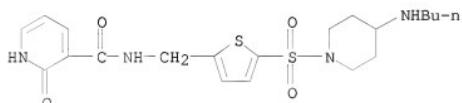
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 CN 3-Pyridinecarboxamide, N-[{5-[{4-(hexylamino)-1-piperidinyl}sulfonyl]-2-thienyl]methyl]-1,2-dihydro-2-thioxo- (CA INDEX NAME)



RN 848560-20-3 CAPLUS
 CN 3-Pyridinecarboxamide, 1,2-dihydro-2-thioxo-N-[{5-[{4-[{4-(trifluoromethyl)phenyl]methyl}amino]-1-piperidinyl}sulfonyl]-2-thienyl]methyl- (CA INDEX NAME)



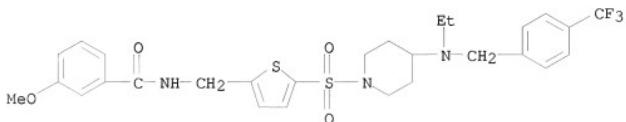
RN 848560-21-4 CAPLUS
 CN 3-Pyridinecarboxamide, N-[{5-[{4-(butylamino)-1-piperidinyl}sulfonyl]-2-thienyl]methyl]-1,2-dihydro-2-oxo- (CA INDEX NAME)



RN 848560-22-5 CAPLUS
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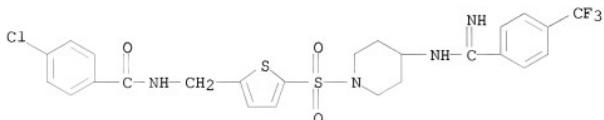
10/070,954

piperidinylsulfonyl]-2-thienylmethyl]-3-methoxy- (CA INDEX NAME)



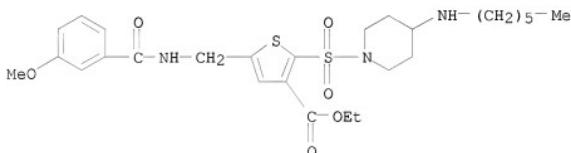
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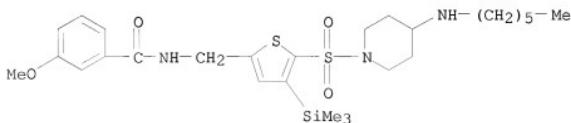
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CN 3-Thiophencarboxylic acid, 2-[(4-(hexylamino)-1-piperidinyl)sulfonyl]-5-[(3-methoxybenzoyl)amino]methyl-, ethyl ester (CA INDEX NAME)



RN 848560-26-9 CAPLUS

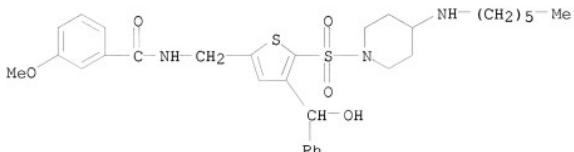
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RN 848560-27-0 CAPLUS

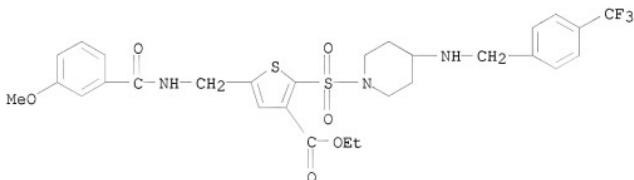
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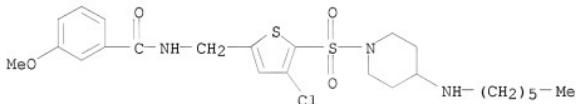
RN 848560-28-1 CAPLUS

CN 3-Thiophencarboxylic acid, 5-[(3-methoxybenzoyl)amino]methyl]-2-[[4-[[[4-(trifluoromethyl)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-, ethyl ester (CA INDEX NAME)



RN 848560-29-2 CAPLUS

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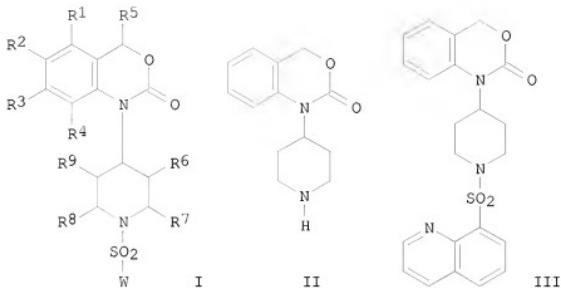
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THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:141065 CAPLUS
 DOCUMENT NUMBER: 142:240439
 TITLE: Preparation of benzoxazinone-derived piperidinesulfonamides as inhibitors of serotonin receptor 5-HT₆ for the treatment of food intake disorders and other diseases
 INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Fisas Escasany, Maria Angeles
 PATENT ASSIGNEE(S): Laboratorios del Este S. A., Spain; Torrens Jover, Antoni; Mas Prio, Josep
 SOURCE: PCT Int. Appl., 267 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014589	A1	20050217	WO 2004-EP8507	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP 1648886	A1	20060426	EP 2004-741318	20040729
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NO 2006000969	A	20060411	NO 2006-969	20060228
US 20070032482	A1	20070208	US 2006-566404	20060907
PRIORITY APPLN. INFO.:			ES 2003-1812	A 20030730
			WO 2004-EP8507	W 20040729

OTHER SOURCE(S): MARPAT 142:240439
 GI



AB Title compds. I [wherein R1 - R4 = H, halo, (un)substituted alkyl, cycloalkyl, heterocyclyl, (hetero)aryl, nitro, cyano, alkoxy, ester, thioether, sulfonyl or amino; R5 = H, (un)substituted alkyl, cycloalkyl or heterocyclyl; R6 - R9 = H, (un)substituted alkyl, cycloalkyl, heterocyclyl, cyano or ester; W = (un)substituted alkyl, cycloalkyl, heterocyclyl, (hetero)aryl, amino or carbonyl; etc., and stereoisomers, racemates, salts or solvates thereof] were prepared as inhibitors of serotonin receptor 5-HT₆, via reaction of 4-benzoxazinone-substituted piperidines or their salts with sulfonyl chlorides. For example, treatment of II·HCl with quinoline-8-sulfonyl chloride in the presence of DIPEA in DCM gave III in 69% yield, which showed inhibition against serotonin receptor 5-HT₆ (K_i = 152 nM). Therefore, I and medicaments thereof are useful in the treatment and/or prophylaxis of disorders that are at least partially mediated via 5-HT₆ receptors, such as food intake disorders.

IT

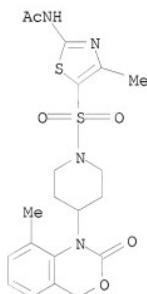
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 N-[5-[[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl]sulfonyl]-4-methylthiazol-2-yl]acetamide 844689-42-5P,
 N-[5-[[4-(8-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl]sulfonyl]-4-methylthiazol-2-yl]acetamide 844690-54-6P,
 N-[5-[[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl]sulfonyl]naphthalen-1-yl]acetamide 844690-57-9P,
 N-[5-[[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl]sulfonyl]naphthalen-1-yl]acetamide 844690-60-4P,
 N-[5-[[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl]sulfonyl]naphthalen-1-yl]acetamide 844690-63-7P,

N-[5-[(4-(8-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl)sulfonyl]naphthalen-1-yl]acetamide 844690-79-5P,
 1-[5-[(4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl)sulfonyl]naphthalen-1-yl]pyrrolidine-2,5-dione 844690-85-3P,
 1-[5-[(4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl)sulfonyl]naphthalen-1-yl]pyrrolidine-2,5-dione 844690-91-1P,
 1-[5-[(4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl)sulfonyl]naphthalen-1-yl]pyrrolidine-2,5-dione 844690-97-7P,
 1-[5-[(4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl)sulfonyl]naphthalen-1-yl]pyrrolidine-2,5-dione 844691-03-8P,
 1-[5-[(4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)piperidin-1-yl)sulfonyl]naphthalen-1-yl]pyrrolidine-2,5-dione
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (sulfonylpiperidinyl)benzoxazinones as 5-HT6 receptor inhibitors)

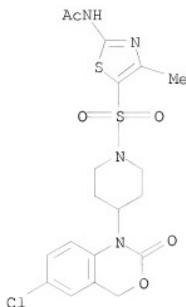
RN 844688-73-9 CAPLUS

CN Acetamide, N-[4-methyl-5-[(4-(8-methyl-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-2-thiazolyl]- (CA INDEX NAME)



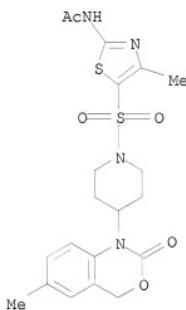
RN 844688-74-0 CAPLUS

CN Acetamide, N-[5-[(4-(6-chloro-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)



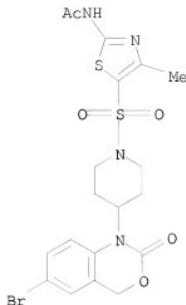
RN 844688-75-1 CAPLUS

CN Acetamide, N-[4-(6-methyl-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]sulfonyl]-2-thiazolyl]- (CA INDEX NAME)



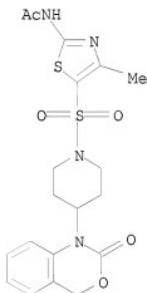
RN 844688-76-2 CAPLUS

CN Acetamide, N-[5-{[4-(6-bromo-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]sulfonyl}-4-methyl-2-thiazolyl]- (CA INDEX NAME)



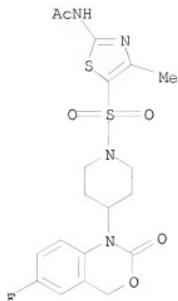
RN 844688-95-5 CAPLUS

CN Acetamide, N-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]sulfonyl]-2-thiazolyl]- (CA INDEX NAME)



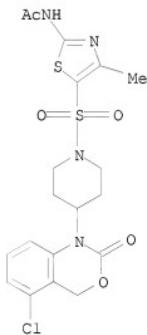
RN 844689-07-2 CAPLUS

CN Acetamide, N-[5-[(4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)



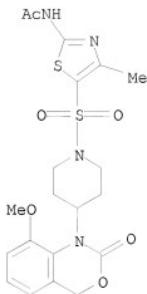
RN 844689-31-2 CAPLUS

CN Acetamide, N-[5-[(4-(5-chloro-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)



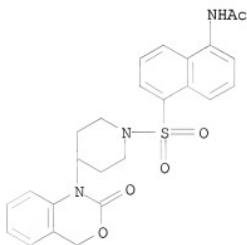
RN 844689-42-5 CAPLUS

CN Acetamide, N-[5-[(4-(8-methoxy-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)



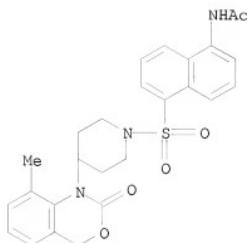
RN 844690-54-6 CAPLUS

CN Acetamide, N-[5-[(4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



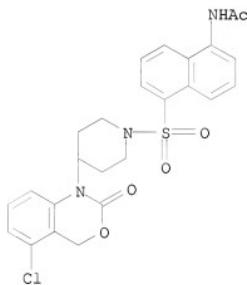
RN 844690-57-9 CAPLUS

CN Acetamide, N-[5-[(4-(8-methyl-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



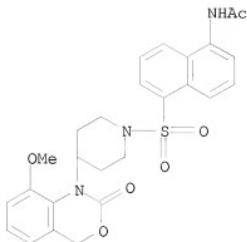
RN 844690-60-4 CAPLUS

CN Acetamide, N-[5-[(4-(5-chloro-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



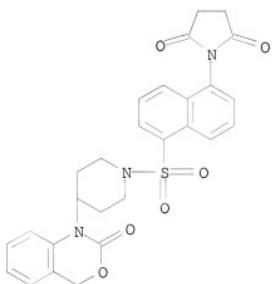
RN 844690-63-7 CAPLUS

CN Acetamide, N-[5-[(4-(8-methoxy-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



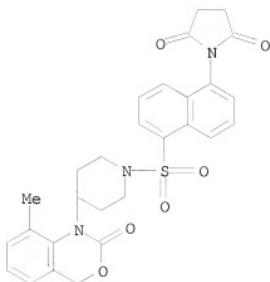
RN 844690-79-5 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[5-[(4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-1-naphthalenyl] - (CA INDEX NAME)



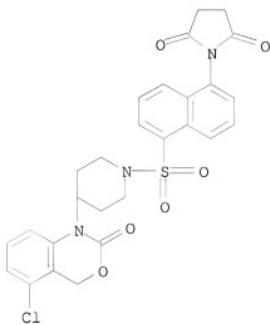
RN 844690-85-3 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[5-[(4-(8-methyl-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



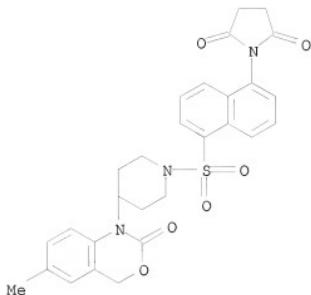
RN 844690-91-1 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[5-[(4-(5-chloro-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



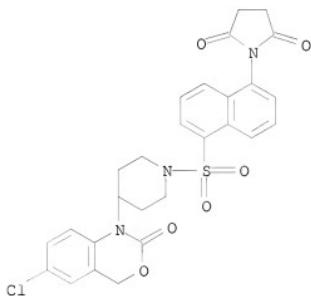
RN 844690-97-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[5-[(4-(6-methyl-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 844691-03-8 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[5-[(4-(6-chloro-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



REFERENCE COUNT:

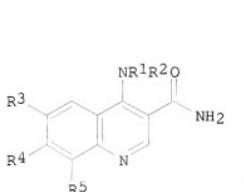
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THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

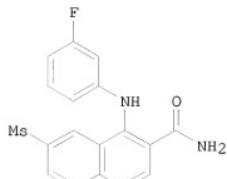
L12 ANSWER 18 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:1037099 CAPLUS
 DOCUMENT NUMBER: 142:23205
 TITLE: Preparation of quinoline derivatives as phosphodiesterase inhibitors
 INVENTOR(S): Baldwin, Ian Robert; Barker, Michael David; Dean, Anthony William; Eldred, Colin David; Evans, Brian; Gough, Sharon Lisa; Guntrip, Stephen Barry; Hamblin, Julie Nicole; Holman, Stuart; Jones, Paul; Lindvall, Mike Kristian; Lunness, Christopher James; Redfern, Tracy Jane; Redgrave, Alison Judith; Robinson, John Edward; Woodrow, Michael
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 243 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103998	A1	20041202	WO 2004-EP5494	20040519
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004240759	A1	20041202	AU 2004-240759	20040519
CA 2526228	A1	20041202	CA 2004-2526228	20040519
EP 1633748	A1	20060315	EP 2004-733799	20040519
EP 1633748	B1	20080305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010477	A	20060530	BR 2004-10477	20040519
CN 1823063	A	20060823	CN 2004-80020651	20040519
JP 2007501264	T	20070125	JP 2006-529889	20040519
AT 388148	T	20080315	AT 2004-733799	20040519
NO 2005005421	A	20051220	NO 2005-5421	20051116
US 20070142373	A1	20070621	US 2005-557079	20051117
MX 2005PA12466	A	20060130	MX 2005-PA12466	20051118
IN 2005KN02416	A	20061013	IN 2005-KN2416	20051129
US 20060178416	A1	20060810	US 2006-349677	20060208
US 20070049570	A1	20070301	US 2006-349701	20060208
PRIORITY APPLN. INFO.:			GB 2003-11688	A 20030521
			GB 2003-26187	A 20031110
			WO 2004-EP5494	W 20040519
			US 2005-557079	A1 20051117

OTHER SOURCE(S): MARPAT 142:23205
 GI



I



II

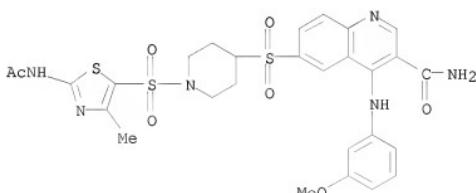
AB Title compds. represented by the formula I (wherein R₁ = (un)substituted (cyclo)alkyl, (hetero)aryl, cycloalkylalkyl, etc.; R₂ = H or alkyl; R₃ = H, (un)substituted SONalkyl, 2-oxopyrrolidin-1-yl, cycloalkyl, etc.; R₄ = H or SONalkyl; R₅ = H, halo, alkyl, alkoxy; n = 0-2; and pharmaceutically acceptable salts thereof) were prepared as phosphodiesterase inhibitors. For example, reaction of 4-chloro-6-(methylsulfonyl)-3-quinolinecarboxamide with 3-fluoroaniline gave II. Selected prepared compds. were tested for inhibition of PDE4B (human recombinant) enzyme and PDE5 with pIC50 values in the range of 6.0-11.7 and 4.5-7.0, resp. Thus, I and their pharmaceutical compns. are useful as phosphodiesterase inhibitors, especially PDE4 inhibitors, for the prophylaxis or treatment of a clin. condition, such as inflammatory and/or allergic diseases (no data).

IT 801311-83-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline derivs. as phosphodiesterase inhibitors for the treatment of inflammatory diseases)

RN 801311-83-1 CAPLUS

CN 3-Quinolinecarboxamide, 6-[{1-[{2-(acetylamino)-4-methyl-5-thiazolyl]sulfonyl}-4-piperidinyl}sulfonyl]-4-[(3-methoxyphenyl)amino]-
(CA INDEX NAME)



REFERENCE COUNT:

4

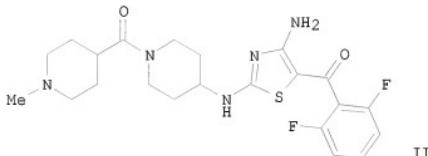
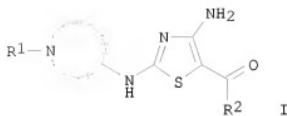
THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/070,954

L12 ANSWER 19 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:718536 CAPLUS
 DOCUMENT NUMBER: 141:243546
 TITLE: Preparation of N-heterocyclyl-substituted
 amino-thiazole derivatives as protein kinase
 inhibitors
 INVENTOR(S): Alegria, Larry Andrew; Chong, Wesley Kwan Mung; Chu,
 Shaosong; Duvadie, Rohit Kumar; Li, Lin; Romines,
 William Henry, III; Yang, Yi
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: PCT Int. Appl., 307 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074283	A1	20040902	WO 2004-IB433	20040209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2516234	A1	20040902	CA 2004-2516234	20040209
EP 1597256	A1	20051123	EP 2004-709302	20040209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007618	A	20060221	BR 2004-7618	20040209
JP 2006518368	T	20060810	JP 2006-502453	20040209
US 20050101595	A1	20050512	US 2004-783887	20040220
MX 2005PA08878	A	20051005	MX 2005-PA8878	20050819
PRIORITY APPLN. INFO.:			US 2003-448843P	P 20030221
			WO 2004-IB433	W 20040209

OTHER SOURCE(S): MARPAT 141:243546
 GI



AB The title aminothiazole compds. with N-containing cycloalkyl at the 2-amino position [I; N-containing heterocycl = (un)substituted N-containing 3-10 membered heterocycl; R1 = H, alkyl, alkenyl, alkoxy, etc.; R2 = (un)substituted alkyl, cycloalkyl, alkoxy, aryl, 4-10 membered heterocycl] and their pharmaceutically acceptable prodrugs or salts which modulate and/or inhibit the cell proliferation and activity of protein kinases, were prepared. Thus, reacting [4-amino-2-(piperidin-4-ylamino)thiazol-5-yl](2,6-difluorophenyl)methanone (preparation given) with 1-methylpiperidine-4-carboxylic acid afforded 65% II which showed Ki of 0.46 μ M against CDK2, Ki of 0.13 μ M against CDK4, and IC50 of >5 μ M in HCT-116 assay for cell growth inhibition. Biol. data were given for over 1100 compds. I. The pharmaceutical compns. comprising the compound I are claimed.

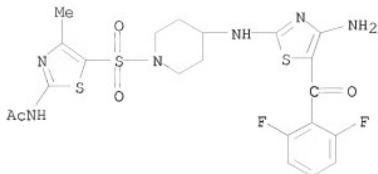
IT 750577-16-3P 750577-40-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-heterocycl-substituted amino-thiazole derivs. as protein kinase inhibitors)

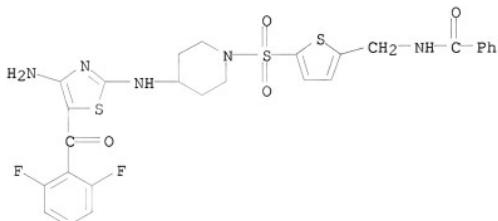
RN 750577-16-3 CAPLUS

CN Acetamide, N-[5-[[4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)



RN 750577-40-3 CAPLUS

CN Benzamide, N-[15-[(4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl)amino]-1-piperidinylsulfonyl]-2-thienylmethyl- (CA INDEX NAME)



REFERENCE COUNT:

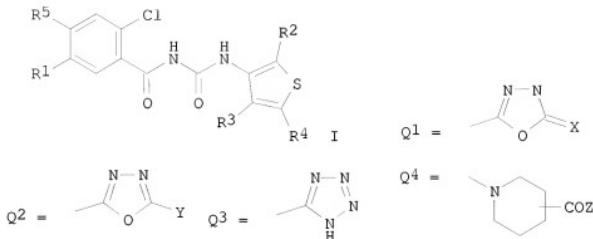
3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:696365 CAPLUS
 DOCUMENT NUMBER: 141:225301
 TITLE: Preparation of 3-(benzoylureido)thiophenes as glycogen phosphorylase inhibitors.
 INVENTOR(S): Schoenafinger, Karl; Defossa, Elisabeth; Von Roedern, Erich; Kaderait, Dieter; Herling, Andreas; Burger, Hans-Joerg; Klabunde, Thomas; Wendt, Karl-Ulrich
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
 SOURCE: PCT Int. Appl., 38 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072060	A1	20040826	WO 2004-EP993	20040204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AI, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10306502	A1	20040909	DE 2003-10306502	20030217
DE 10306502	B4	20050317		
AU 2004212054	A1	20040826	AU 2004-212054	20040204
CA 2516269	A1	20040826	CA 2004-2516269	20040204
EP 1597247	A1	20051123	EP 2004-707896	20040204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007552	A	20060214	BR 2004-7552	20040204
CN 1751036	A	20060322	CN 2004-80004400	20040204
JP 2006517933	T	20060803	JP 2006-501724	20040204
US 20040198742	A1	20041007	US 2004-780344	20040217
US 7196114	B2	20070327		
ZA 2005005487	A	20060830	ZA 2005-5487	20050707
MX 2005PA08331	A	20050930	MX 2005-PA8331	20050805
IN 2005CN01906	A	20070302	IN 2005-CN1906	20050811
NO 2005004168	A	20051111	NO 2005-4168	20050907
PRIORITY APPLN. INFO.:			DE 2003-10306502	A 20030217
			US 2003-487502P	P 20030715
			WO 2004-EP993	A 20040204

OTHER SOURCE(S): MARPAT 141:225301
 GI



AB Title compds. [I; R₁ = H, F, Cl, Br; R₂ = R₁, alkyl, CF₃, OCF₃, NO₂, cyano, alkoxy, alkylcarbonyl, CO₂H, CONH₂, alkylsulfonyl A, etc.; R₃ = H, alkyl, alkylsulfonyl, (substituted) alkylphenyl, Ph, phenylsulfonyl, etc.; R₄ = H, alkyl, alkoxy carbonyl alkylsulfonyl, (substituted) alkylphenyl, piperidinylsulfonyl, piperazinylsulfonyl; R₅ = F, Cl, Br; A = Q₁-Q₄; X = O, NH; Y = OH, NH₂; Z = OH, alkoxy, NH₂, alkylamino, dialkylamino, were prepared Thus, 5-(3-aminothiophen-2-yl)-3H-[1,3,4]-oxadiazol-2-one hydrochloride (preparation given) and 2-chloro-4,5-difluorobenzoyl isocyanate were stirred 3 h in MeCN to give 1-(2-chloro-4,5-difluorobenzoyl)-3-(2-(5-oxo-4,5-dihydro-[1,3,4]-oxadiazol-2-yl)thiophen-3-yl)urea. This inhibited glycogen phosphorylase a with IC₅₀ = 0.03 μM.

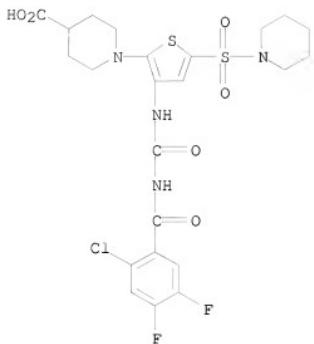
IT 745835-24-9P 745835-33-OP

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(benzoylureido)thiophenes as glycogen phosphorylase inhibitors)

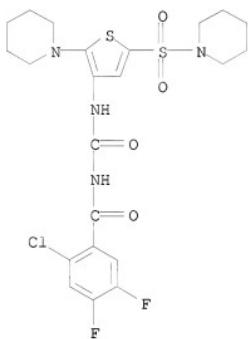
RN 745835-24-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(2-chloro-4,5-difluorobenzoyl)amino]carbonyl]amino]-5-(1-piperidinylsulfonyl)-2-thienyl]- (CA INDEX NAME)



RN 745835-33-0 CAPLUS

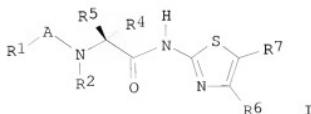
CN Benzamide, 2-chloro-4,5-difluoro-N-[(2-(1-piperidinyl)-5-(1-piperidinylsulfonyl)-3-thienyl]amino]carbonyl]- (CA INDEX NAME)



L12 ANSWER 21 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:333704 CAPLUS
 DOCUMENT NUMBER: 140:339631
 TITLE: Preparation of amino acid thiazolylamides for treatment of neurodegenerative disorders
 INVENTOR(S): Chen, Yuhpyng Liang; Corman, Michael Leon
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 117 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033439	A1	20040422	WO 2003-IB4330	20030929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2501803	A1	20040422	CA 2003-2501803	20030929
AU 2003265068	A1	20040504	AU 2003-265068	20030929
EP 1551815	A1	20050713	EP 2003-807933	20030929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014611	A	20050726	BR 2003-14611	20030929
CN 1688557	A	20051026	CN 2003-824010	20030929
JP 2006504796	T	20060209	JP 2005-501002	20030929
US 20040152747	A1	20040805	US 2003-682686	20031008
NL 1024499	A1	20040413	NL 2003-1024499	20031009
NL 1024499	C2	20041013		
MX 2005PA02420	A	20051005	MX 2005-PA2420	20050302
IN 2005DN00884	A	20070119	IN 2005-DN884	20050307
NO 2005002223	A	20050704	NO 2005-2223	20050506
ZA 2005002841	A	20060329	ZA 2005-2841	20060117
PRIORITY APPLN. INFO.:				
		US 2002-417400P	P	20021009
		US 2003-463209	A	20030617
		US 2003-509059P	A	20030617
		WO 2003-IB4330	W	20030929

OTHER SOURCE(S): MARPAT 140:339631
 GI



AB The invention provides compds. I [A is COCO, carbonylimino, C(O)Z, C(S)Z, C(:NR)Z, or SO₂, where Z is CH₂, CH(OH), acyloxymethylene, CH(CH₂OH), etc. and R5 is (un)substituted alkyl or aryl; R1 is alkyl, alkoxy, cycloalk(en)yl, bi- or tricycloalkyl, heterocycloalkyl, (hetero)aryl, etc.; R2 is H, (un)substituted alkyl which may be unsatd., alkanoyl, aryl- or arylmethylsulfonyl; R3 is (un)substituted alk(en)(yn)yl or cycloalk(en)ylalkyl; R4 is H, D, F or alkyl; R3 and R4 may form a ring; R6, R7, R8 are H, alkyl, halo, CN, etc. or R6 and R7 may form rings] which inhibit the production of A β -peptide and pharmaceutical compns. for treating diseases, e.g., Alzheimer's disease. Thus, I (R1-A = 3,5-F₂C₆H₃CH₂CO; R2, R4, R6 = H, R3 = Et, R7 = 5-bromo-2-thienyl) was prepared and had IC₅₀ \approx 5 micromolar for inhibition of γ -secretase.

IT 681140-07-8P 681141-24-2P

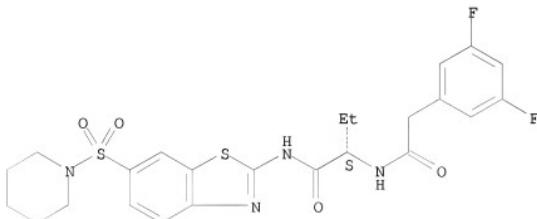
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid thiazolylamides for treatment of neurodegenerative disorders)

RN 681140-07-8 CAPLUS

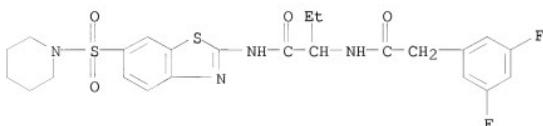
CN Benzeneacetamide, 3,5-difluoro-N-[{(1S)-1-[[[6-(1-piperidinylsulfonyl)-2-benzothiazolyl]amino]carbonyl]propyl}- (CA INDEX NAME)

Absolute stereochemistry.



RN 681141-24-2 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[1-[[[6-(1-piperidinylsulfonyl)-2-benzothiazolyl]amino]carbonyl]propyl]- (CA INDEX NAME)



REFERENCE COUNT:

10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

10/070,954

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

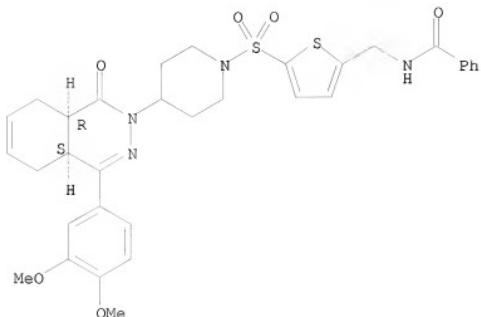
L12 ANSWER 22 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:182862 CAPLUS
 DOCUMENT NUMBER: 140:217665
 TITLE: Preparation of piperidinylphthalazinone derivatives as PDE4 inhibitors
 INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard;
 Kley, Hans-Peter; Christiaans, Johannes A. M.; Menge,
 Wiro M. F. B.; Sterk, Geert Jan; Weinbrenner, Steffen
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
 SOURCE: PCT Int. Appl., 48 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018449	A1	20040304	WO 2003-EP8673	20030806
WO 2004018449	A8	20040506		
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JE, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2003255376	A1	20040311	AU 2003-255376	20030806
PRIORITY APPLN. INFO.:			EP 2002-17979	A 20020810
			WO 2003-EP8673	W 20030806
OTHER SOURCE(S):	MARPAT	140:217665		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB The title compound I [R1, R2 = H or together form an addnl. bond; R3 = benzene derivative Q1 or Q2; R4 = (substituted)arylsulfonyl; R5 = alkoxy or polyfluoroalkoxy; R6, R7 = (cyclo)alkoxy, cycloalkylmethoxy, or polyfluoroalkoxy; R8 = alkyl; R9 = H or alkyl; or R7 and R8 together with the 2 intervening C atoms form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by O or S] were prepared as PDE4 inhibitors. Thus, reaction of (4aS,8aR)-4-(3,4-dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) with naphthalene-1-sulfonic acid gave compound II. The prepared compds. inhibited PDE4 with -log(IC50) ≥ 8.8.
- IT 666737-18-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperidinylphthalazinone derivs. as PDE4 inhibitors)
- RN 666737-18-4 CAPLUS
 CN Benzamide, N-[15-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl] - (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

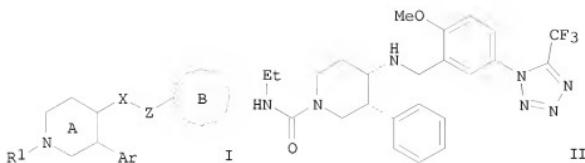
13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 23 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:972057 CAPLUS
 DOCUMENT NUMBER: 140:27765
 TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence
 INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki;
 Shirai, Junya; Yamashita, Masayuki
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 264 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101964	A1	20031211	WO 2003-JP6754	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487688	A1	20031211	CA 2003-2487688	20030529
AU 2003241903	A1	20031219	AU 2003-241903	20030529
BR 2003011425	A	20050315	BR 2003-11425	20030529
EP 1553084	A1	20050713	EP 2003-733151	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1671662	A	20050921	CN 2003-818354	20030529
NZ 537330	A	20070427	NZ 2003-537330	20030529
JP 2004285038	A	20041014	JP 2003-154345	20030530
MX 2004PA11730	A	20050714	MX 2004-PA11730	20041125
US 20060167052	A1	20060727	US 2004-516252	20041129
ZA 2004010085	A	20060726	ZA 2004-10085	20041214
IN 2004KN01942	A	20061201	IN 2004-KN1942	20041216
NO 2004005701	A	20050216	NO 2004-5701	20041229
PRIORITY APPLN. INFO.:			JP 2002-159338	A 20020531
			JP 2003-17885	A 20030127
			WO 2003-JP6754	W 20030529

OTHER SOURCE(S): MARPAT 140:27765
 GI



AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Z = (un)substituted CH2; ring A = (un)substituted piperidine; ring B = (un)substituted aryl; with exclusions] or prodrugs or salts thereof are prepared. I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II•xHCl was prepared in a multi-step synthesis. II showed antagonistic activity with IC50 of 0.025 nM against human substance P receptor. Formulations containing I as an active ingredient were also described.

IT 632345-50-7P 632346-16-8P 632346-63-5P

632346-90-8P

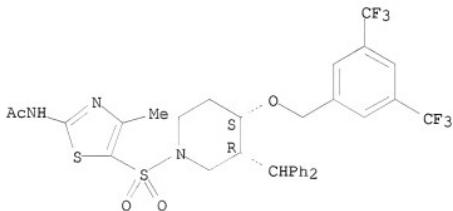
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence)

RN 632345-50-7 CAPLUS

CN Acetamide, N-[5-[(3R,4S)-4-[(3,5-bis(trifluoromethyl)phenyl)methoxy]-3-(diphenylmethyl)-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]-, rel- (CA INDEX NAME)

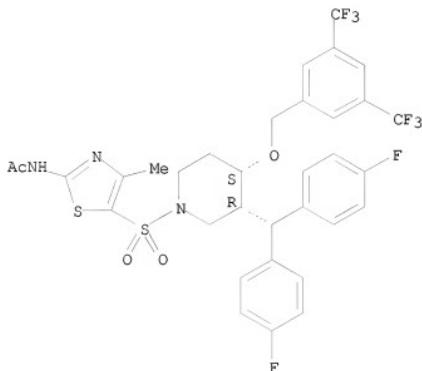
Relative stereochemistry.



RN 632346-16-8 CAPLUS

CN Acetamide, N-[5-[(3R,4S)-3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]-, rel- (CA INDEX NAME)

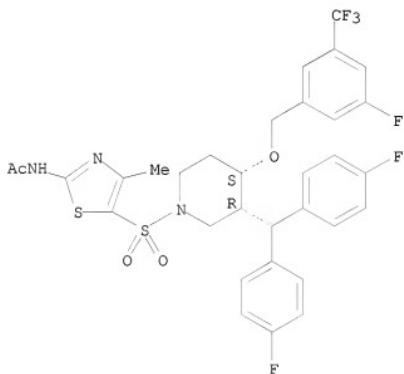
Relative stereochemistry.



RN 632346-63-5 CAPLUS

CN Acetamide, N-[5-[(3R,4S)-3-[bis(4-fluorophenyl)methyl]-4-[(3-fluoro-5-(trifluoromethyl)phenyl)methoxy]-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

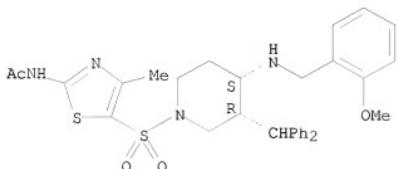


RN 632346-90-8 CAPLUS

10/070,954

CN Acetamide, N-[5-[(3R,4S)-3-(diphenylmethyl)-4-[(2-methoxyphenyl)methyl]amino]-1-piperidinylsulfonyl]-4-methyl-2-thiazolyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

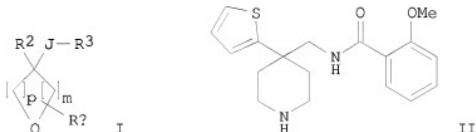
14

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 24 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:855758 CAPLUS
 DOCUMENT NUMBER: 139:364829
 TITLE: Preparation of heterocyclo inhibitors of potassium channel function
 INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin;
 Beaudoin, Serge; Gross, Michael F.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.
 SOURCE: PCT Int. Appl., 330 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088908	A2	20031030	WO 2003-US11807	20030416
WO 2003088908	A3	20040527		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003223651	A1	20031103	AU 2003-223651	20030416
EP 1501467	A2	20050202	EP 2003-719792	20030416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005529114	T	20050929	JP 2003-585661	20030416
NO 200404351	A	20041013	NO 2004-4351	20041013
PRIORITY APPLN. INFO.:			US 2002-374279P	P 20020419
			WO 2003-US11807	W 20030416

OTHER SOURCE(S): MARPAT 139:364829
 GI



AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene;

R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K⁺ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K⁺ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared. E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.

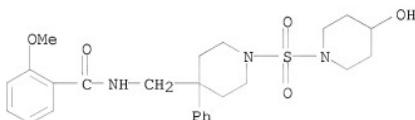
IT 619293-23-1P 619293-47-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperidines as inhibitors of potassium channel function)

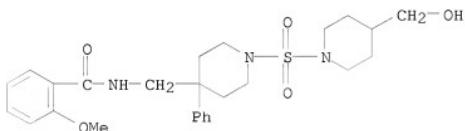
RN 619293-23-1 CAPLUS

CN Benzamide, N-[1-[(4-hydroxy-1-piperidinyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-47-9 CAPLUS

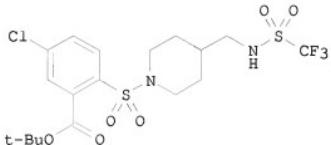
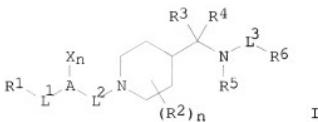
CN Benzamide, N-[1-[(4-(hydroxymethyl)-1-piperidinyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



L12 ANSWER 25 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:396851 CAPLUS
 DOCUMENT NUMBER: 138:401607
 TITLE: Preparation of piperidino cannabinoid receptor ligands
 INVENTOR(S): Friary, Richard J.; Kozlowski, Joseph A.; Shankar,
 Bandarpalle B.; Wong, Michael K. C.; Zhou, Guowei;
 Lavey, Brian J.; Shih, Neng-Yang; Tong, Ling; Chen,
 Lei; Shu, Youheng
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl., 148 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042174	A1	20030522	WO 2002-US36185	20021112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2466440	A1	20030522	CA 2002-2466440	20021112
AU 2002346366	A1	20030526	AU 2002-346366	20021112
US 20040010013	A1	20040115	US 2002-292778	20021112
US 7071213	B2	20060704		
EP 1444203	A1	20040811	EP 2002-784433	20021112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014164	A	20040928	BR 2002-14164	20021112
HU 2004001924	A2	20050128	HU 2004-1924	20021112
CN 1585749	A	20050223	CN 2002-822675	20021112
JP 20050509032	T	20050407	JP 2003-544011	20021112
NZ 532291	A	20051125	NZ 2002-532291	20021112
ZA 2004003685	A	20050523	ZA 2004-3685	20040513
IN 2004CN01055	A	20060203	IN 2004-CN1055	20040513
MX 2004PA04674	A	20040812	MX 2004-PA4674	20040514
NO 2004002435	A	20040611	NO 2004-2435	20040611
US 20050282861	A1	20051222	US 2005-197979	20050805
PRIORITY APPLN. INFO.:			US 2001-332911P	P 20011114
			CH 2001-2103	A 20011114
			US 2002-292778	A3 20021112
			WO 2002-US36185	W 20021112

OTHER SOURCE(S): MARPAT 138:401607
 GI



AB Title compds. I [L1 = bond, CH₂, CO, CO₂, SO₂, etc.; L2 = CH₂, CH(alkyl), C(alkyl)₂, etc.; L3 = bond, CO, SO₂; R1 = H, halo, alkyl, haloalkyl, cycloalkyl, etc.; R2 = H, OH, halo, CF₃, alkoxy, etc.; R3-4 = H, alkyl, haloalkyl, cycloalkyl, amino, etc.; n = 0-3] are prepared. For instance, 4-(trifluoroacetamidomethyl)piperidine-TFA salt is reacted with p-chlorobenzenesulfonyl chloride (CH₂Cl₂, Et₃N), the resulting sulfonamide functionalized ortho to the sulfonyl group (THF, n-BuLi, Boc₂O), the trifluoroacetyl group removed (MeOH, K₂CO₃) and the amine refunctionalized with trifluoromethanesulfonic anhydride to give II. Compds. of the invention are found to exhibit cannabinoid CB₂ receptor binding activity in the range of 0.1 to 1000 nM and possess anti-inflammatory and immunomodulatory activity.

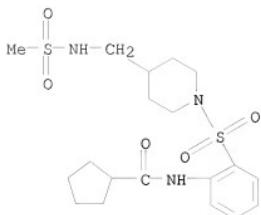
IT 530114-86-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperidino cannabinoid receptor ligands for treatment of inflammatory disorders)

RN 530114-86-4 CAPLUS

CN Cyclopentanecarboxamide, N-[2-[[4-[(methylsulfonyl)amino]methyl]-1-piperidinyl]sulfonyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

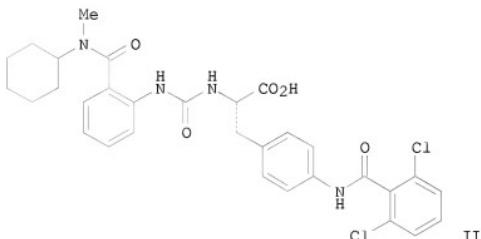
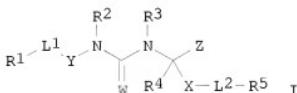
L12 ANSWER 26 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:555472 CAPLUS
 DOCUMENT NUMBER: 137:125085
 TITLE: Preparation of urea derivatives as integrin alpha 4 antagonists
 INVENTOR(S): Jimenez Mayorga, Juan Miguel; Bach Tana, Jordi;
 PATENT ASSIGNEE(S): Ontoria Ontoria, Jesus Maria; Navarro Romero, Eloisa Almirall Prodesfarma, S.A., Spain
 SOURCE: PCT Int. Appl., 107 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057242	A2	20020725	WO 2002-EP331	20020115
WO 2002057242	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2200617	A1	20040301	ES 2001-126	20010119
ES 2200617	B1	20050501		
CA 2434939	A1	20020725	CA 2002-2434939	20020115
AU 2002228048	A1	20020730	AU 2002-228048	20020115
AU 2002228048	B2	20080313		
EE 20030327	A	20031015	EE 2003-327	20020115
EP 1383750	A2	20040128	EP 2002-710010	20020115
EP 1383750	B1	20070926		
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HU 2003003722	A2	20040301	HU 2003-3722	20020115
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BR 2002006588	A	20040622	BR 2002-6588	20020115
CN 1531425	A	20040922	CN 2002-806525	20020115
NZ 527031	A	20050930	NZ 2002-527031	20020115
RU 2296120	C2	20070327	RU 2003-125367	20020115
AT 374191	T	20071015	AT 2002-710010	20020115
ES 2291448	T3	20080301	ES 2002-710010	20020115
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MX 2003PA06363	A	20040420	MX 2003-PAG6363	20030716
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NO 2003003269	A	20030919	NO 2003-3269	20030718
BG 108004	A	20040930	BG 2003-108004	20030718
HK 1058361	A1	20071207	HK 2004-101137	20040218
US 20040142982	A1	20040722	US 2004-466665	20040223
US 7253171	B2	20070807		
US 20070238763	A1	20071011	US 2007-802165	20070521

PRIORITY APPLN. INFO.:

ES 2001-126
WO 2002-EP331
US 2004-466665A 20010119
W 20020115
A3 20040223OTHER SOURCE(S):
GI

MARPAT 137:125085



AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = H, alkyl, alkylaryl, etc.; R3, R4 = H, alkyl; R2 and R3, together with the atoms to which they are attached, may form a 4-8 membered ring; R5 = alkyl, cycloalkyl, aryl, etc.; L1 = S, SO, SO2, CO, etc.; L2 = a bond, O, S, SO, etc.; W = O, S, (un)substituted NH, N(CN); X = (CH2)naryl, (CH2)nheteroaryl; Y = monocyclic (hetero)aryl; Z = CONH2, CO2R, PO3R, SO3R, etc.; R = H, alkyl, cycloalkyl, etc.; n = 0-2], novel antagonists of $\alpha 4\beta 1$ integrin and/or $\alpha 4\beta 7$ integrin useful in preventing or treating an immune or inflammatory diseases or disorders, were prepared and formulated. Thus, reacting 2-amino-N-cyclohexyl-N-methylbenzamide with (S)-3-[4-(2,6-dichlorobenzoylamino)phenyl]-2-isocyanatopropionic acid Me ester (preparation given) in CH2Cl2 (yield 50%) followed by hydrolysis of the intermediate ester (77%) afforded (S)-II which showed IC50 of < 100 nM in the $\alpha 4\beta 1$ assay.

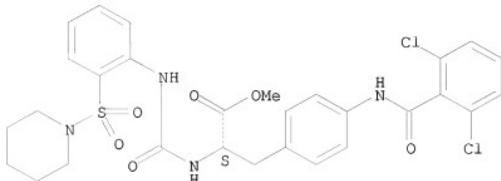
IT
444086-11-7P 444086-35-5P 444086-49-1P
444086-61-7P 444086-89-9P 444086-91-3P
444086-95-7P 444087-01-8P 444087-07-4P
444087-11-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of ureas as integrin alpha 4 antagonists)

RN 444086-11-7 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[2-(1-

piperidinylsulfonyl)phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)

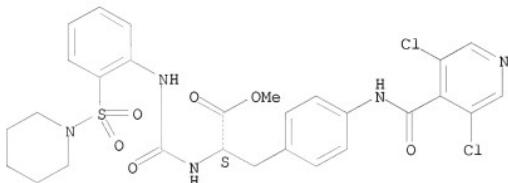
Absolute stereochemistry.



RN 444086-35-5 CAPLUS

CN L-Phenylalanine, 4-[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[(2-(1-piperidinylsulfonyl)phenyl)amino]carbonyl]-, methyl ester (CA INDEX NAME)

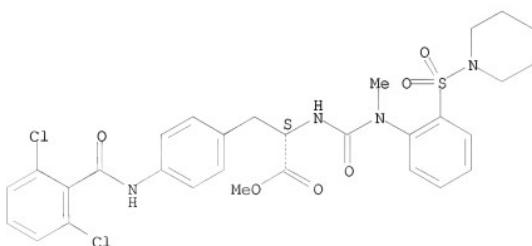
Absolute stereochemistry.



RN 444086-49-1 CAPLUS

CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(methyl[2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl)-, methyl ester (CA INDEX NAME)

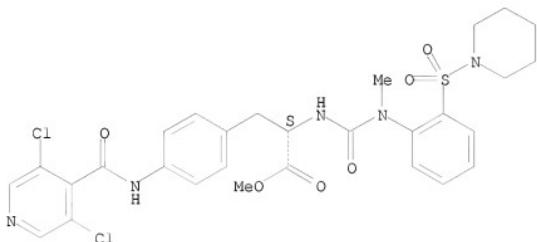
Absolute stereochemistry.



RN 444086-61-7 CAPLUS

CN L-Phenylalanine, 4-[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[methyl[2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl-, methyl ester
(CA INDEX NAME)

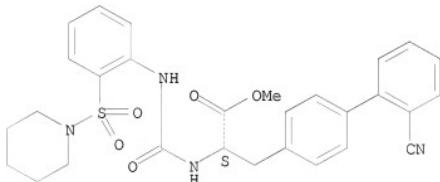
Absolute stereochemistry.



RN 444086-89-9 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 2'-cyano- α -[[(2-(1-piperidinylsulfonyl)phenyl)amino]carbonyl]amino-, methyl ester,
(α S)- (CA INDEX NAME)

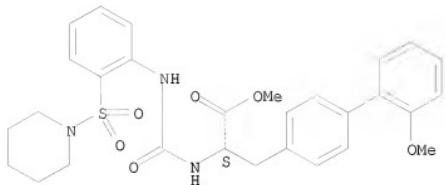
Absolute stereochemistry.



RN 444086-91-3 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 2'-methoxy- α -[[(2-(1-piperidinylsulfonyl)phenyl)amino]carbonyl]amino-, methyl ester,
(α S)- (CA INDEX NAME)

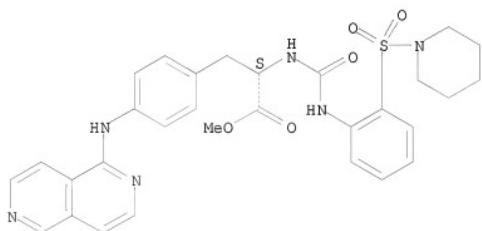
Absolute stereochemistry.



RN 444086-95-7 CAPLUS

CN L-Phenylalanine, 4-(2,6-naphthyridin-1-ylamino)-N-[(2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl-, methyl ester (CA INDEX NAME)

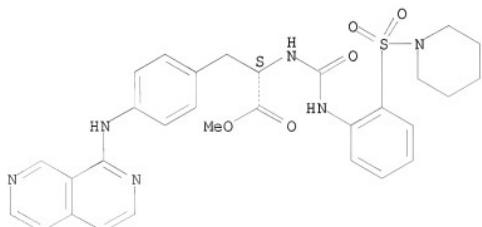
Absolute stereochemistry.



RN 444087-01-8 CAPLUS

CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-[(2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

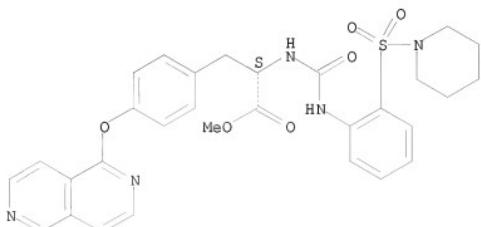


RN 444087-07-4 CAPLUS

CN L-Tyrosine, O-2,6-naphthyridin-1-yl-N-[(2-(1-

piperidinylsulfonyl)phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)

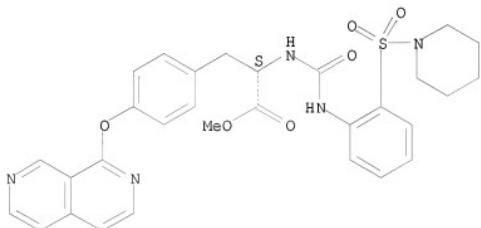
Absolute stereochemistry.



RN 444087-11-0 CAPLUS

CN L-Tyrosine, O-2,7-naphthyridin-1-yl-N-[(2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 444086-12-8P 444086-36-6P 444086-50-4P

444086-62-8P 444086-90-2P 444086-92-4P

444086-96-8P 444087-02-9P 444087-08-5P

444087-12-1P 444087-19-8P

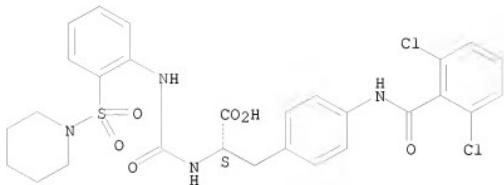
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ureas as integrin alpha 4 antagonists)

RN 444086-12-8 CAPLUS

CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl]- (CA INDEX NAME)

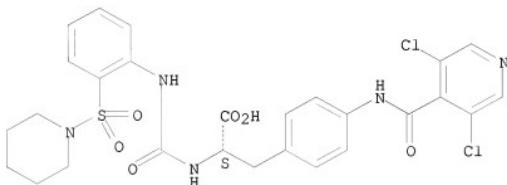
Absolute stereochemistry.



RN 444086-36-6 CAPLUS

CN L-Phenylalanine, 4-[(3,5-dichloro-4-pyridinyl)carbonyl]amino-N-[(2-(1-piperidinylsulfonyl)phenyl)amino]carbonyl- (CA INDEX NAME)

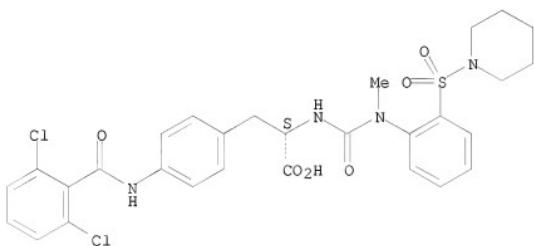
Absolute stereochemistry.



RN 444086-50-4 CAPLUS

CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(methyl[2-(1-piperidinylsulfonyl)phenyl]amino)carbonyl- (CA INDEX NAME)

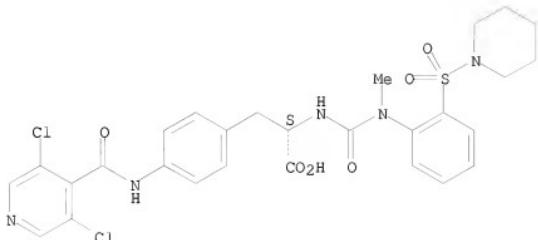
Absolute stereochemistry.



RN 444086-62-8 CAPLUS

CN L-Phenylalanine, 4-[(3,5-dichloro-4-pyridinyl)carbonyl]amino-N-[(methyl[2-(1-piperidinylsulfonyl)phenyl]amino)carbonyl- (CA INDEX NAME)

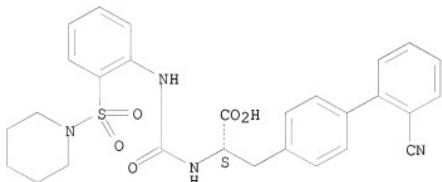
Absolute stereochemistry.



RN 444086-90-2 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 2'-cyano- α -[[[2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl]amino]-, (α S)- (CA INDEX NAME)

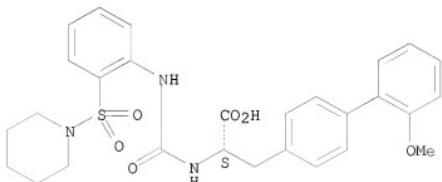
Absolute stereochemistry.



RN 444086-92-4 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 2'-methoxy- α -[[[2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl]amino]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

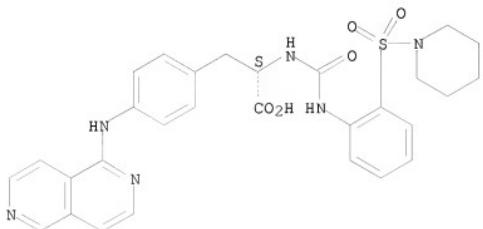


RN 444086-96-8 CAPLUS

10/070,954

CN L-Phenylalanine, 4-(2,6-naphthyridin-1-ylamino)-N-[[[2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl]- (CA INDEX NAME)

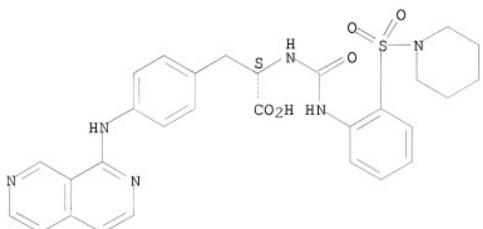
Absolute stereochemistry.



RN 444087-02-9 CAPLUS

CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-[[[2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl]- (CA INDEX NAME)

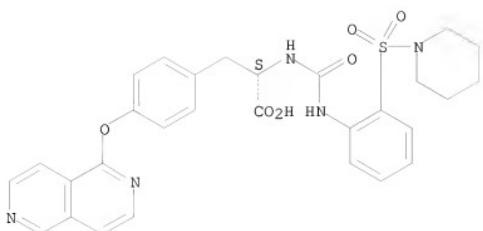
Absolute stereochemistry.



RN 444087-08-5 CAPLUS

CN L-Tyrosine, O-2,6-naphthyridin-1-yl-N-[[[2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl]- (CA INDEX NAME)

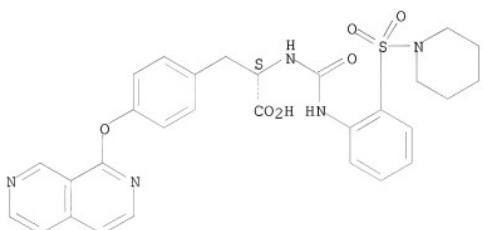
Absolute stereochemistry.



RN 444087-12-1 CAPLUS

CN L-Tyrosine, O-2,7-naphthyridin-1-yl-N-[[[2-(1-piperidinylsulfonyl)phenyl]amino]carbonyl]- (CA INDEX NAME)

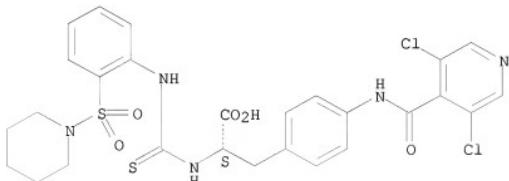
Absolute stereochemistry.



RN 444087-19-8 CAPLUS

CN L-Phenylalanine, 4-[[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[[[2-(1-piperidinylsulfonyl)phenyl]amino]thioxomethyl]- (CA INDEX NAME)

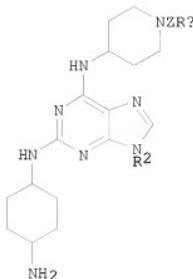
Absolute stereochemistry.



L12 ANSWER 27 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:408672 CAPLUS
 DOCUMENT NUMBER: 137:6190
 TITLE: Preparation of acylated derivatives of
 6,9-disubstituted 2-(trans-1,4-diaminocyclohexyl)purines as antiproliferative agents.
 INVENTOR(S): Borcherding, David; Dumont, Jennifer A.; Peet, Norton P.; Wright, Paul S.
 PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 219 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042303	A2	20020530	WO 2001-US44835	20011031
WO 2002042303	A3	20031030		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US 20030069259	A1	20030410	US 2001-998976	20011031
US 6861524	B2	20050301		
BR 2001015080	A	20030923	BR 2001-15080	20011031
EP 1377579	A2	20040107	EP 2001-987144	20011031
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IN 2003CN00630	A	20050415	IN 2003-CN630	20030425
NO 2003001939	A	20030619	NO 2003-1939	20030429
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ZA 2003003299	A	20040729	ZA 2003-3299	20030429
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PRIORITY APPLN. INFO.:			US 2000-244567P	P 20001031
			GB 2001-17075	A 20010713
			US 2001-998976	A1 20011031
			WO 2001-US44835	W 20011031

OTHER SOURCE(S): MARPAT 137:6190
 GI



AB Title compds. [I; Z = SO₂, CO; Ra = R1, NR1R3, OR1, SR1; R1 = (substituted) alkyl, cycloalkyl, etc.; R2 = cyclopentyl, cyclopentenyl, isopropyl], were prepared. Thus, trans-1-[4-[2-(4-aminocyclohexylamino)-9-cyclopentyl-9H-purin-6-ylamino]piperidin-1-yl]-1-(4-fluorophenyl)methanone dihydrochloride (general preparation outlined) inhibited growth of MB-435 breast cancer cells with IC₅₀ = 0.69 nM.

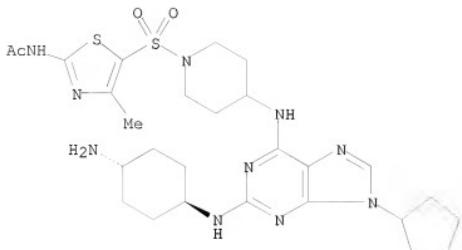
IT 431913-91-6P 431919-48-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylated derivs. of aminocyclohexylaminopurines as antiproliferative agents)

RN 431913-91-6 CAPLUS

CN Acetamide, N-[5-[(4-[(trans-4-aminocyclohexyl)amino]-9-cyclopentyl-9H-purin-6-ylamino)-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]-, hydrochloride (1:2) (CA INDEX NAME)

Relative stereochemistry.

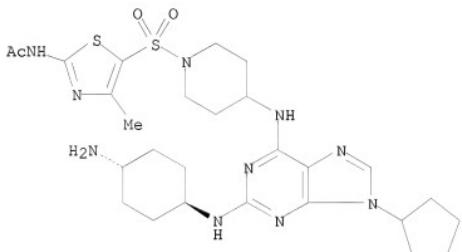


● 2 HCl

RN 431919-48-1 CAPLUS

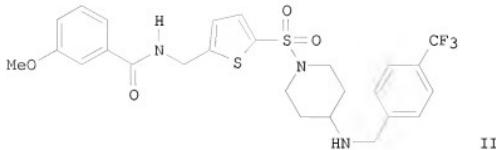
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Relative stereochemistry.



L12 ANSWER 28 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:253021 CAPLUS
 DOCUMENT NUMBER: 1361:279348
 TITLE: Preparation of pharmaceutically active sulfonamides bearing both lipophilic and ionizable moieties as inhibitors of protein Jun kinases
 INVENTOR(S): Halazy, Serge; Church, Dennis; Camps, Montserrat; Rueckle, Thomas; Gotteland, Jean Pierre; Biamonte, Marco; Arkininstall, Stephen
 PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
 SOURCE: Eur. Pat. Appl., 44 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1193268	A1	20020403	EP 2000-810887	20000927
R: AT, BE, CH, IE, SI, LT, LV, FI, RO	DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			
CA 2421209	A1	20020404	CA 2001-2421209	20010927
WO 2002026733	A2	20020404	WO 2001-IB1772	20010927
WO 2002026733	A3	20020801		
W: AE, AG, AL, CO, CR, CU, GM, HR, HU, LS, LT, LU, PT, RO, RU, US, UZ, VN, RW: GH, GM, KE, DE, DK, ES, BJ, CF, CG, AU 2001087991 BR 2001014223 EP 1322642	AM, AT, AU, AZ, CZ, DE, DK, DM, ID, IL, IN, IS, LV, MA, MD, SD, SE, SG, LS, MW, MZ, FI, FR, GB, CI, CM, GA, A	BA, BB, BG, DZ, EC, EE, MK, MN, MW, SI, SK, SL, SL, SZ, TZ, UG, ZW, AT, BE, IE, IT, LU, CY, AL, TR	BY, BZ, CA, GB, GD, GE, KR, KZ, LC, M, NO, NZ, PH, PL, TJ, TM, TR, TT, TZ, UA, UG, BE, CH, CY, DE, DK, ES, CI, GA, GN, AU 2001-87991 A	CH, CN, GE, GH, LK, LR, PH, PL, UA, UG, BF, TD, TG
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PRIORITY APPLN. INFO.:			US 2003-381665	200301010
OTHER SOURCE(S):	MARPAT 136:279348		HK 2005-103676	20050429
GI			EP 2000-810887	A 20000927
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AB The title compd. Ar1C(:X)NR1(CH₂)nAr2SO₂Y [I; Ar1, Ar2 = (un)substituted aryl, heteroaryl; X = O, S, preferably O; R1 = H, alkyl, or R1 forms (un)substituted 5-6 membered (un)saturated ring with Ar1; n = 0-5, preferably between 1-3 and most preferred 1; Y = (un)substituted 4-12 membered saturated moiety to which a lipophilic chain is attached and which is containing at least one N atom, whereby one N atom within said ring is forming a bond with the sulfonyl group thus providing a sulfonamide] which are efficient modulators of the JNK pathway, in particular efficient and selective inhibitors of JNK 2 and 3, were prepared and formulated. E.g., a multi-step synthesis of II which showed IC₅₀ of 0.04 μM against JNK3, was given.

IT

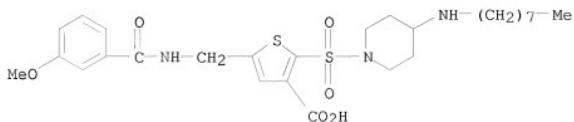
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pharmaceutically active sulfonamides bearing both lipophilic and ionizable moieties as inhibitors of protein Jun kinases)

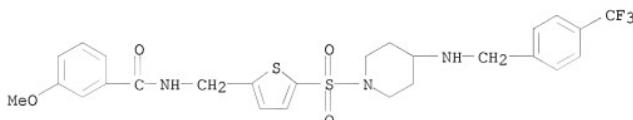
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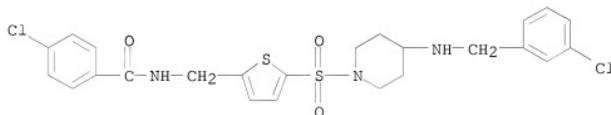
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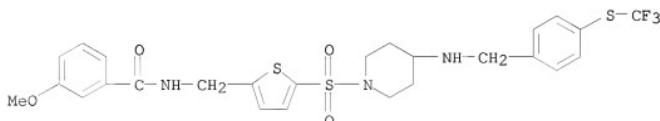
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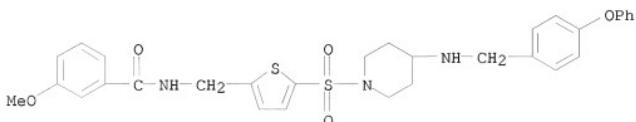
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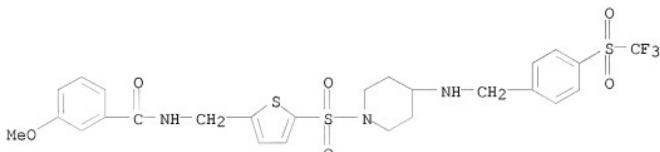
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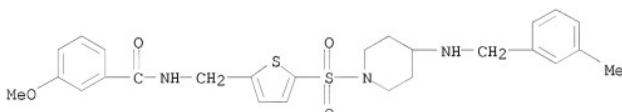
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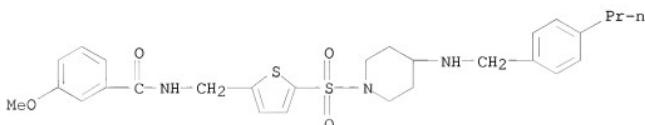
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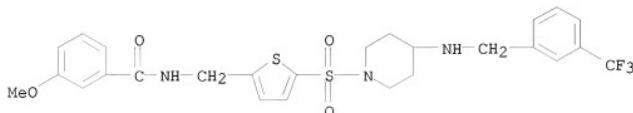


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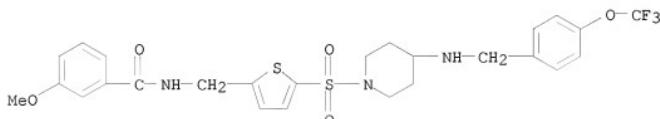
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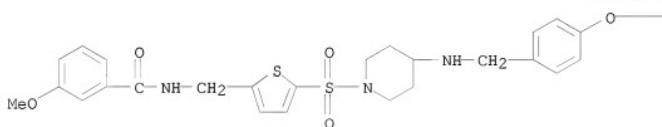
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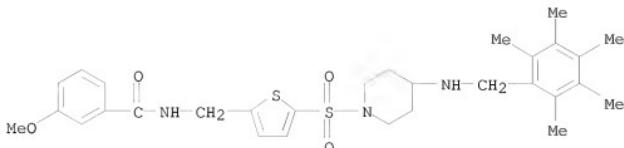


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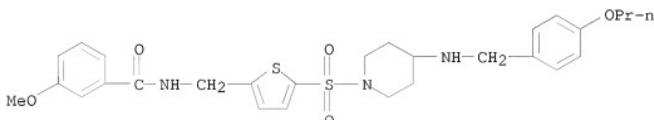
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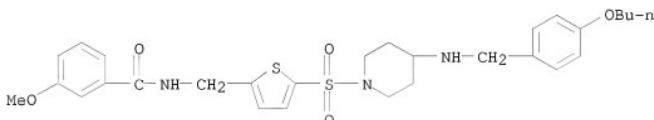
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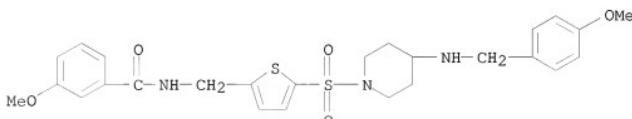
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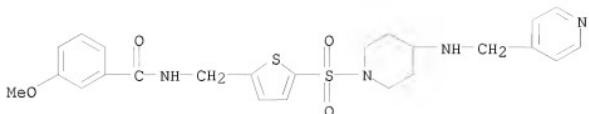
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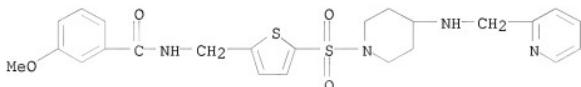


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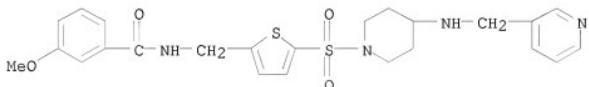
RN 406678-11-3 CAPLUS

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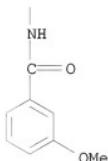
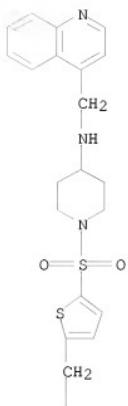
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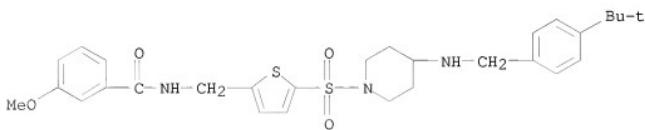
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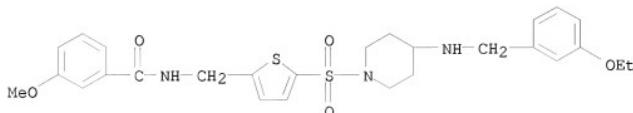
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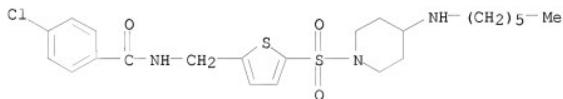
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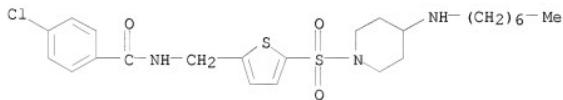
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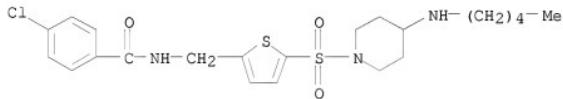
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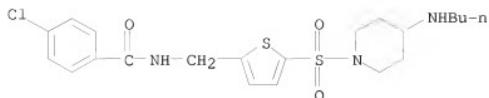
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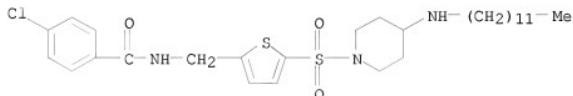


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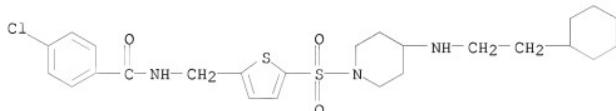
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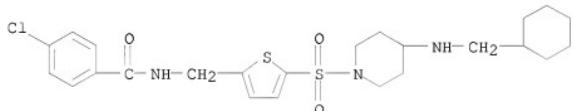
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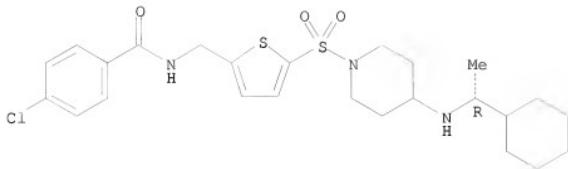


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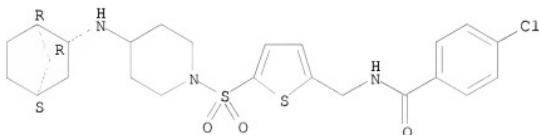
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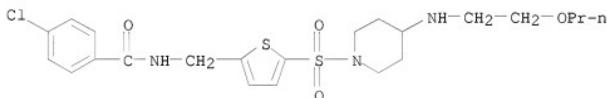
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Absolute stereochemistry.



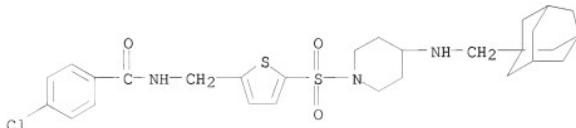
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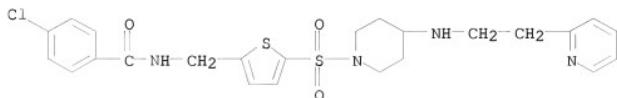
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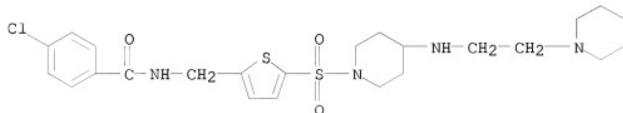
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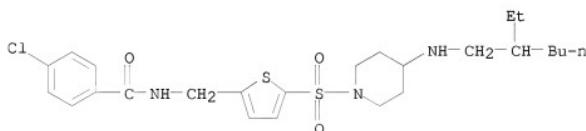
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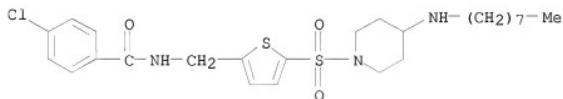
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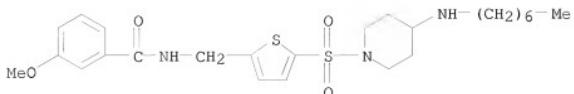
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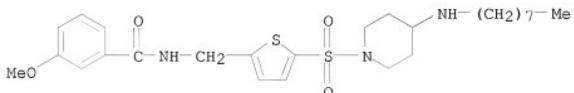


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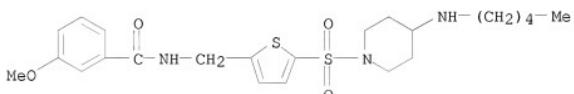
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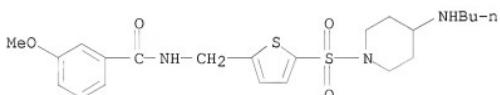
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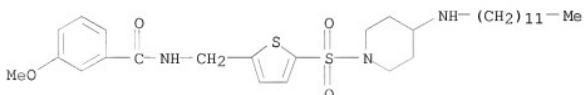
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 CN Benzamide, 3-methoxy-N-[(5-[(4-pentylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl- (CA INDEX NAME)



RN 406678-35-1 CAPLUS
 CN Benzamide, N-[(5-[(4-(butylamino)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)

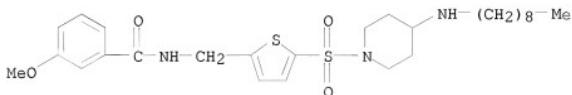


RN 406678-36-2 CAPLUS
 CN Benzamide, N-[(5-[(4-(dodecylamino)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



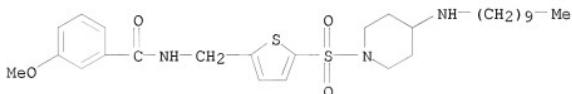
RN 406678-37-3 CAPLUS

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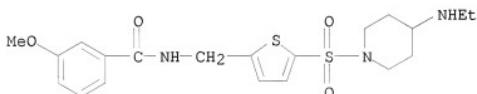
RN 406678-38-4 CAPLUS

CN Benzamide, N-[(5-[(4-(decylamino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



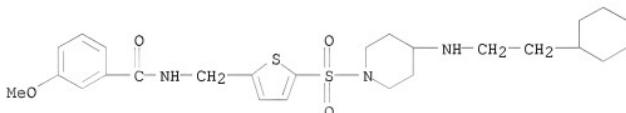
RN 406678-39-5 CAPLUS

CN Benzamide, N-[(5-[(4-(ethylamino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



RN 406678-40-8 CAPLUS

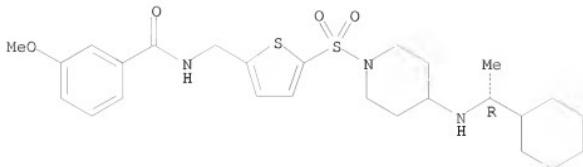
CN Benzamide, N-[(5-[(4-((2-cyclohexylethyl)amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



RN 406678-41-9 CAPLUS

CN Benzamide, N-[(5-[(4-[(1R)-1-cyclohexylethyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)

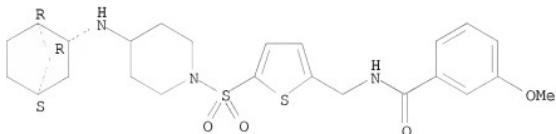
Absolute stereochemistry.



RN 406678-42-0 CAPLUS

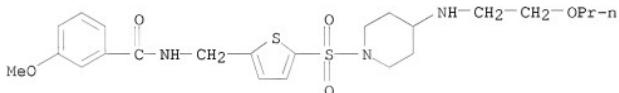
CN Benzamide, N-[5-[(4-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-ylamino]-1-piperidinyl)sulfonyl]-2-thienylmethyl]-3-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



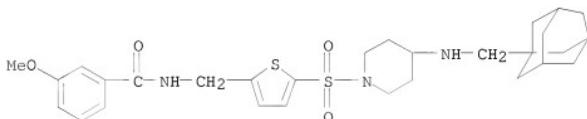
RN 406678-43-1 CAPLUS

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RN 406678-44-2 CAPLUS

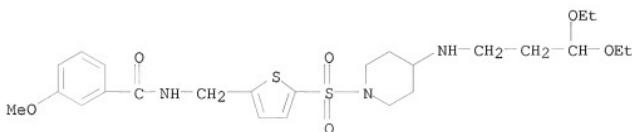
CN Benzamide, 3-methoxy-N-[5-[(4-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]-1-piperidinyl)sulfonyl]-2-thienylmethyl]- (CA INDEX NAME)



RN 406678-45-3 CAPLUS

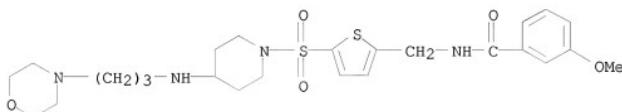
CN Benzamide, N-[5-[(4-[(3,3-diethoxypropyl)amino]-1-piperidinyl)sulfonyl]-2-

thienyl]methyl]-3-methoxy- (CA INDEX NAME)



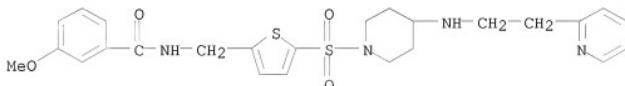
RN 406678-46-4 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[[4-[[3-(4-morpholinyl)propyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



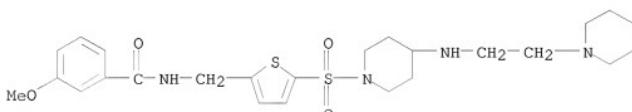
RN 406678-47-5 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[[4-[[2-(2-pyridinyl)ethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



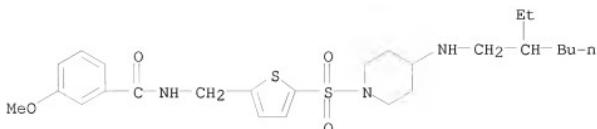
RN 406678-48-6 CAPLUS

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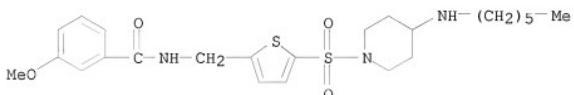


RN 406678-49-7 CAPLUS

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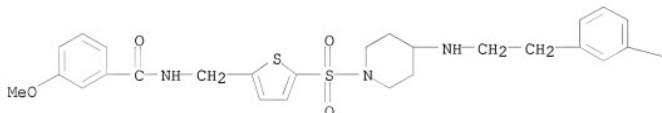


RN 406678-50-0 CAPLUS
CN Benzanide, N-[{5-[{4-[(hexylamino)-1-piperidinyl]sulfonyl}-2-thienyl]methyl}-3-methoxy- (CA INDEX NAME)



RN 406678-51-1 CAPLUS
CN Benzanide, 3-methoxy-N-[{5-[{4-[(2-[3-(trifluoromethyl)phenyl]ethyl]amino}-1-piperidinyl]sulfonyl}-2-thienyl]methyl]- (CA INDEX NAME)

PAGE 1-A

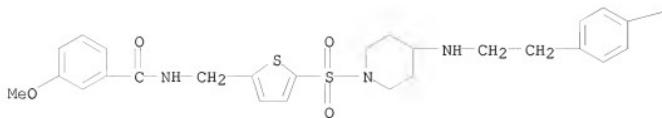


PAGE 1-B

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RN 406678-52-2 CAPLUS
CN Benzanide, 3-methoxy-N-[{5-[{4-[(2-(4-methylphenyl)ethyl]amino}-1-piperidinyl]sulfonyl}-2-thienyl]methyl]- (CA INDEX NAME)

PAGE 1-A



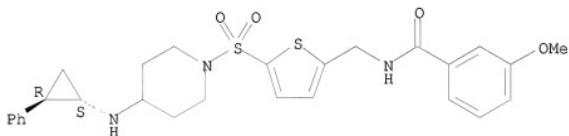
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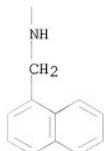
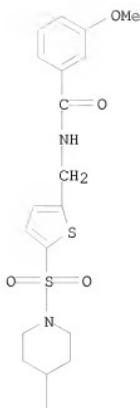
CN Benzamide, 3-methoxy-N-[(5-[(4-[(1S,2R)-2-phenylcyclopropyl]amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

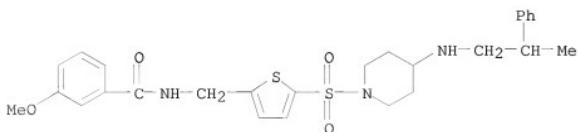


RN 406678-55-5 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[(4-[(1-naphthalenyl)methyl]amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



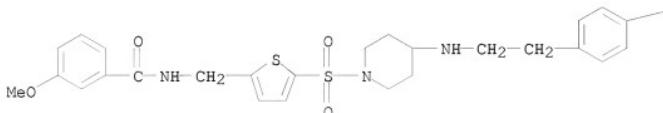
RN 406678-57-7 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[(4-[(2-phenylpropyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



RN 406678-58-8 CAPLUS

CN Benzamide, N-[(5-[(4-[(2-(4-hydroxyphenyl)ethyl]amino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)

PAGE 1-A

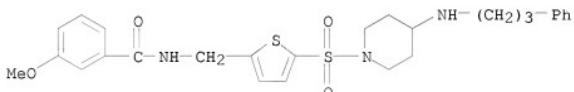


PAGE 1-B

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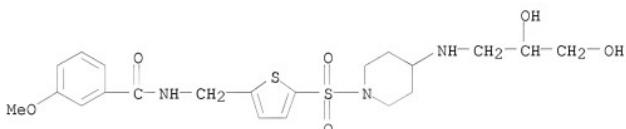
RN 406678-59-9 CAPLUS

CN Benzamide, 3-methoxy-N-[(5-[(4-[(3-phenylpropyl)amino]-1-piperidinyl]sulfonyl)-2-thienyl)methyl]- (CA INDEX NAME)



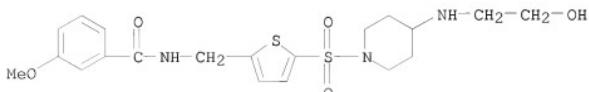
RN 406678-60-2 CAPLUS

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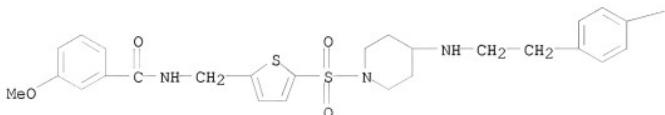
RN 406678-61-3 CAPLUS

CN Benzamide, N-[(5-[(4-[(2-hydroxyethyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-3-methoxy- (CA INDEX NAME)



RN 406678-62-4 CAPLUS
 CN Benzamide, N-[5-[(4-[(2-[1,1'-biphenyl]-4-yethyl)amino]-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)

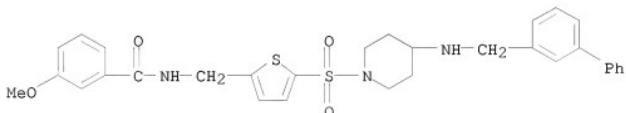
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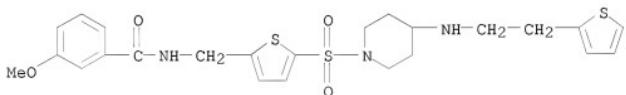
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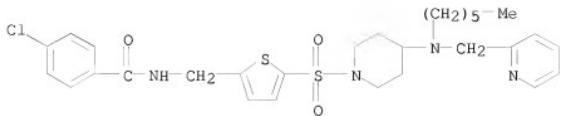
RN 406678-63-5 CAPLUS
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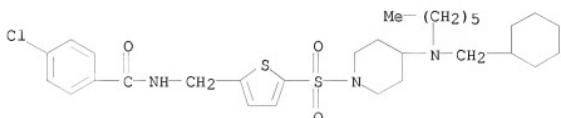
RN 406678-64-6 CAPLUS
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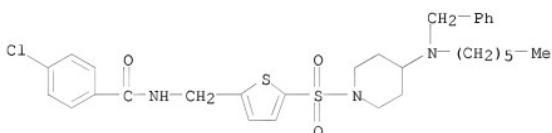
RN 406678-92-0 CAPLUS
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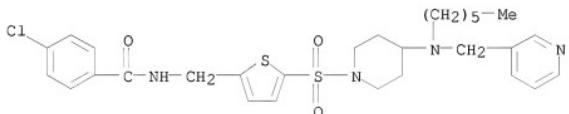
RN 406678-93-1 CAPLUS
 CN Benzanide, 4-chloro-N-[{5-[{4-[(cyclohexylmethyl)hexylamino]-1-piperidinyl}sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



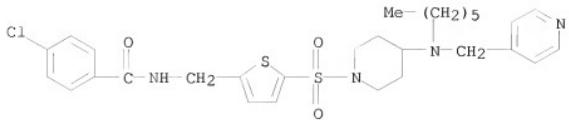
RN 406678-94-2 CAPLUS
 CN Benzanide, 4-chloro-N-[{5-[{4-[hexyl(phenylmethyl)amino]-1-piperidinyl}sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



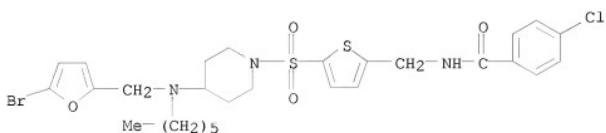
RN 406678-95-3 CAPLUS
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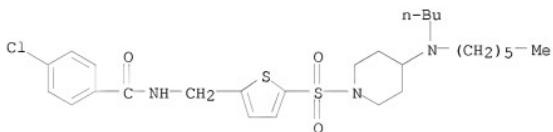
RN 406678-96-4 CAPLUS
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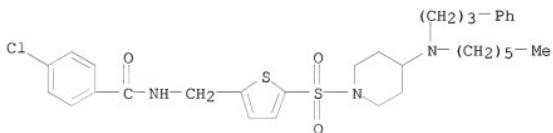
RN 406678-97-5 CAPLUS
 CN Benzamide, N-[(5-[(4-[(5-bromo-2-furanyl)methyl]hexylamino)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-4-chloro- (CA INDEX NAME)



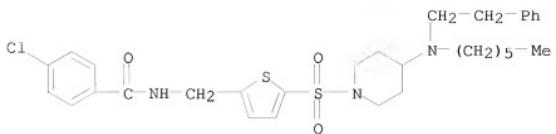
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 CN Benzamide, N-[(5-[(4-(butylhexylamino)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-4-chloro- (CA INDEX NAME)



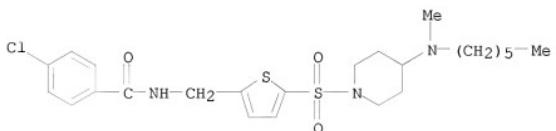
RN 406678-99-7 CAPLUS
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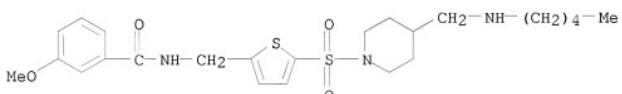
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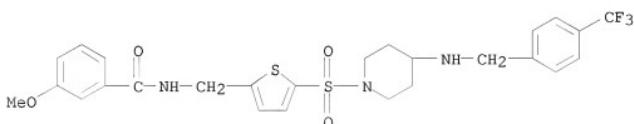
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 CN Benzamide, 4-chloro-N-[(5-[(4-(hexylamino)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)



RN 406679-30-9 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[(4-[(pentylamino)methyl]-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)



RN 406679-44-5 CAPLUS
 CN Benzamide, 3-methoxy-N-[(5-[(4-[(trifluoromethyl)phenyl]methyl]amino)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



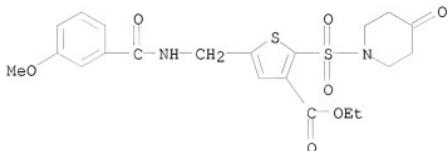
● HCl

IT 406486-99-5P 406679-36-5P 406679-37-6P
 406679-38-7P 406679-39-8P 406679-43-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of pharmaceutically active sulfonamides bearing both lipophilic
and ionizable moieties as inhibitors of protein Jun kinases)

RN 406486-99-5 CAPLUS

CN 3-Thiophenecarboxylic acid, 5-[(3-methoxybenzoyl)amino]methyl]-2-[(4-oxo-
1-piperidinyl)sulfonyl]-, ethyl ester (CA INDEX NAME)

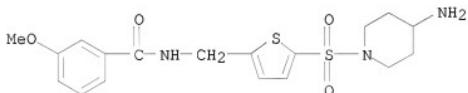
RN 406679-36-5 CAPLUS

CN Benzamide, N-[(5-[(4-amino-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-3-
methoxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 406679-35-4

CMF C18 H23 N3 O4 S2



CM 2

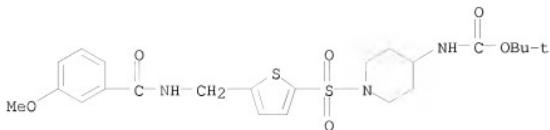
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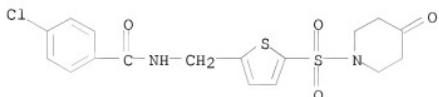


RN 406679-37-6 CAPLUS

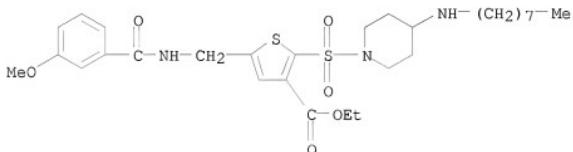
CN Carbanic acid, [1-[(5-[(3-methoxybenzoyl)amino]methyl)-2-
thienylsulfonyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)



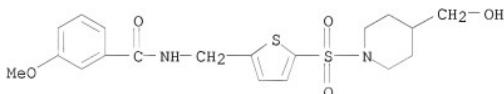
RN 406679-38-7 CAPLUS
 CN Benzamide, 4-chloro-N-[5-[(4-oxo-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 406679-39-8 CAPLUS
 CN 3-Thiophenecarboxylic acid, 5-[(3-methoxybenzoyl)amino]methyl]-2-[(4-octylamino)-1-piperidinyl]sulfonyl-, ethyl ester (CA INDEX NAME)



RN 406679-43-4 CAPLUS
 CN Benzamide, N-[5-[(4-(hydroxymethyl)-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



REFERENCE COUNT:

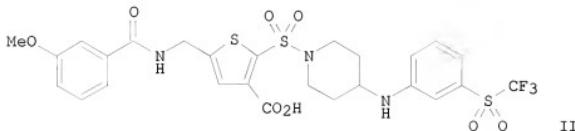
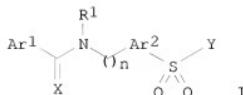
9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

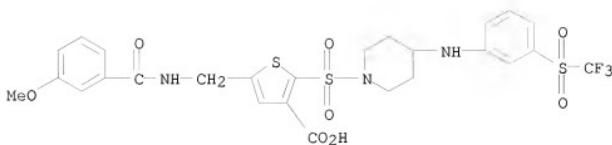
L12 ANSWER 29 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:253020 CAPLUS
 DOCUMENT NUMBER: 136:279347
 TITLE: Preparation of hydrophilic sulfonamide derivatives as inhibitors of protein jun kinases
 INVENTOR(S): Halazy, Serge; Church, Dennis; Camps, Montserrat;
 Rueckle, Thomas; Gotteland, Jean Pierre; Biamonte, Marco; Arkinstall, Stephen
 PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth.
 Antilles
 SOURCE: Eur. Pat. Appl., 27 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1193267	A1	20020403	EP 2000-810886	20000927
R: AT, BE, CH, IE, SI, LT, LV, FI, RO	DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			
CA 2421417	A1	20020411	CA 2001-2421417	20010927
WO 2002028856	A1	20020411	WO 2001-IB1771	20010927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001087990	A	20020415	AU 2001-87990	20010927
EP 1322641	A1	20030702	EP 2001-967621	20010927
R: AT, BE, CH, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			
JP 2004510772	T	20040408	JP 2002-532439	20010927
AU 2001287990	B2	20060413	AU 2001-287990	20010927
US 20040077632	A1	20040422	US 2003-381200	20030910
PRIORITY APPLN. INFO.:			EP 2000-810886	A 20000927
			WO 2001-IB1771	W 20010927

OTHER SOURCE(S): MARPAT 136:279347
 GI



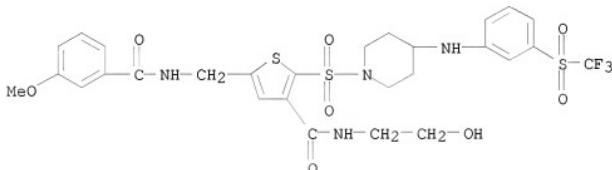
- AB Title compds. I [Ar1 = (un)substituted (hetero)aryl; Ar2 = (hetero)aryl group substituted with at least one hydrophilic substituent; X = O, S, preferably O; R1 = H, alkyl, or forms a 5-6-membered ring with Ar1; n = 0-5; Y = (un)substituted 4-12-membered saturated cyclic or bicyclic alkyl containing at least one nitrogen atom, whereby one nitrogen atom within said ring is forming a bond with the sulfonyl group] were prepared. For instance, 5-((Diallylamino)methyl)thiophene-2-sulfonyl chloride (preparation given) was treated with 1,4-dioxa-8-azaspiro[4.5]decane to give the corresponding sulfonamide and subsequently converted to the 3-carboethoxy-thiophene derivative (THF, -78°C → -100°C, t-BuLi, EtO₂CCl).
- Deallylation, acylation with 3-methoxybenzoyl chloride, ketal hydrolysis, reductive amination with 3-(trifluoromethylsulfonyl)aniline and saponification provided II in 8 steps in overall yield of 2.5%. II are efficient modulators of the JNK pathway, they are in particular efficient and selective inhibitors of JNK 2 and 3. II had IC₅₀ = 0.01 μM for protein jun kinase 3 (JNK3). II are useful for the treatment of, e.g., neuronal disorders including epilepsy, Alzheimer's disease, Huntington's disease, Parkinson's disease, retinal diseases, spinal cord injury, etc.
- IT 406486-95-1P, 5-[(3-Methoxybenzoyl)amino]methyl-2-[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thiophene-3-carboxylic acid
- RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
- (drug; pharmaceutically active hydrophilic sulfonamide derivs. as inhibitors of protein jun kinases)
- RN 406486-95-1 CAPLUS
- CN 3-Thiophenecarboxylic acid, 5-[(3-methoxybenzoyl)amino]methyl-2-[[4-[[3-[[trifluoromethyl]sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



IT 406487-01-2P, N-[2-Hydroxyethyl]-5-[[[3-methoxybenzoyl]amino]methyl]-2-[[4-[(trifluoromethyl)sulfonyl]anilino]piperidin-1-yl]sulfonylthiophene-3-carboxamide 406487-02-3P,
 N-[[4-[Hydroxymethyl]-5-[[4-[(3-[(trifluoromethyl)sulfonyl]anilino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide 406487-03-4P
 , 5-[[[3-Methoxybenzoyl]amino]methyl]-2-[[4-[octylamino]piperidin-1-yl]sulfonyl]thiophene-3-carboxylic acid 406487-04-5P,
 N-[[4-[Hydrazinocarbonyl]-5-[[4-[(3-[(trifluoromethyl)sulfonyl]anilino)piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide
 406487-05-6P, 5-[[[3-Methoxybenzoyl]amino]methyl]-2-[[4-[[3-[(trifluoromethyl)sulfonyl]anilino]piperidin-1-yl]sulfonyl]thiophene-3-carboxamide 406487-06-7P, N-[2-[Dimethylaminoethyl]-5-[[[3-methoxybenzoyl]amino]methyl]-2-[[4-[(3-[(trifluoromethyl)sulfonyl]anilino)piperidin-1-yl]sulfonyl]thiophene-3-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug; pharmaceutically active hydrophilic sulfonamide derivs. as inhibitors of protein jun kinases)

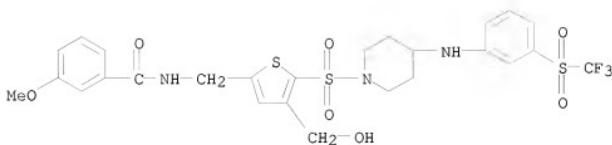
RN 406487-01-2 CAPLUS

CN 3-Thiophenecarboxamide, N-(2-hydroxyethyl)-5-[[[3-methoxybenzoyl]amino]methyl]-2-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



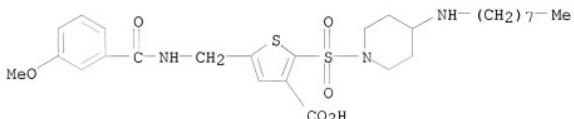
RN 406487-02-3 CAPLUS

CN Benzanide, N-[[4-(hydroxymethyl)-5-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (CA INDEX NAME)



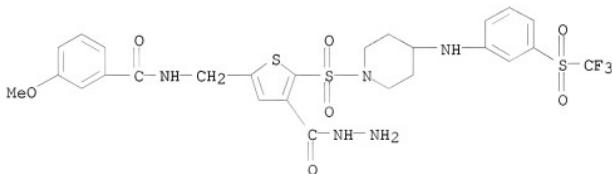
RN 406487-03-4 CAPLUS

CN 3-Thiophenecarboxylic acid, 5-[(3-methoxybenzoyl)amino]methyl]-2-[(4-octylamino)-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



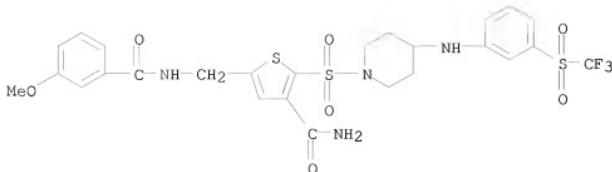
RN 406487-04-5 CAPLUS

CN 3-Thiophenecarboxylic acid, 5-[(3-methoxybenzoyl)amino]methyl]-2-[(4-[(3-[(trifluoromethyl)sulfonyl]phenyl)amino]-1-piperidinyl)sulfonyl]-, hydrazide (CA INDEX NAME)



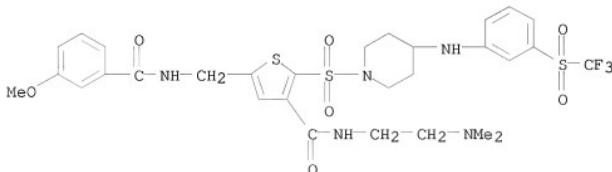
RN 406487-05-6 CAPLUS

CN 3-Thiophenecarboxamide, 5-[(3-methoxybenzoyl)amino]methyl]-2-[(4-[(3-[(trifluoromethyl)sulfonyl]phenyl)amino]-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



RN 406487-06-7 CAPLUS

CN 3-Thiophenecarboxamide, N-[2-(dimethylamino)ethyl]-5-[(3-methoxybenzoyl)amino]methyl-2-[[4-[(3-[trifluoromethyl)sulfonyl]phenyl)amino]-1-piperidinylsulfonyl]- (CA INDEX NAME)

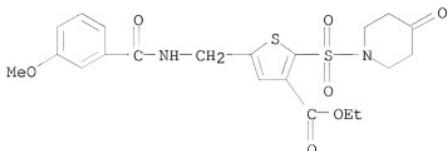


IT 406486-99-5P, Ethyl 5-[[[3-methoxybenzoyl]amino]methyl]-2-[[4-oxopiperidin-1-yl]sulfonyl]thiophene-3-carboxylate 406487-00-1P,
Ethyl 5-[[[3-methoxybenzoyl]amino]methyl]-2-[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thiophene-3-carboxylate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; pharmaceutically active hydrophilic sulfonamide derivs.
as inhibitors of protein jun kinases)

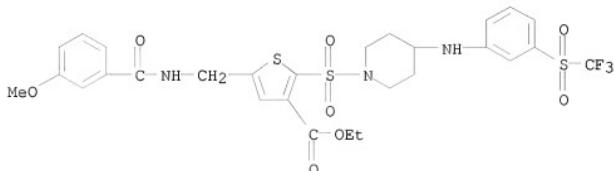
RN 406486-99-5 CAPLUS

CN 3-Thiophenecarboxylic acid, 5-[[[3-methoxybenzoyl]amino]methyl]-2-[(4-oxo-1-piperidinyl)sulfonyl]-, ethyl ester (CA INDEX NAME)



RN 406487-00-1 CAPLUS

CN 3-Thiophenecarboxylic acid, 5-[[{(3-methoxybenzoyl)amino]methyl}-2-[[4-[{[3-(trifluoromethyl)sulfonyl]phenyl}amino]-1-piperidinyl]sulfonyl]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 30 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:253015 CAPLUS
 DOCUMENT NUMBER: 1361:279217
 TITLE: Pharmaceutically active benz sulfonamides as inhibitors
 of JNK proteins
 INVENTOR(S): Halazy, Serge
 PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth.
 Antilles
 SOURCE: Eur. Pat. Appl., 25 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1193256	A1	20020403	EP 2000-810888	200010927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2420568	A1	20020404	CA 2001-2420568	200110927
WO 2002026711	A1	20020404	WO 2001-IB1773	200110927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NC, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001087992	A	20020408	AU 2001-87992	200110927
EP 1320526	A1	20030625	EP 2001-967623	200110927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523475	T	20040805	JP 2002-531095	200110927
AU 2001287992	B2	20060504	AU 2001-287992	200110927
AU 2001287992	B9	20060928		
US 20040053917	A1	20040318	US 2003-381197	20030908
PRIORITY APPLN. INFO.:			EP 2000-810888	A 200010927
			WO 2001-IB1773	W 200110927

OTHER SOURCE(S): MARPAT 136:279217

AB The present invention is related to benz sulfonamide derivs.
 ArIC(:X)nAr2SO2Y [I; Ar1 = (un)substituted aryl, heteroaryl; Ar2 = (un)substituted Ph; X = O, S, preferably O; R1 = H, alkyl, or R1 forms (un)substituted 5-6 membered (un)saturated ring with Ar1; n = 0-5, preferably between 1-3 and most preferred 1; Y = (un)substituted 4-12 membered saturated (bi)cyclic alkyl containing at least one N atom, whereby one N atom within said ring is forming a bond with the sulfonyl group thus providing a sulfonamide] notably for use as pharmaceutically active compds., as well as to pharmaceutical formulations containing such benz sulfonamide derivs. Said benz sulfonamide derivs. I are efficient modulators of the JNK pathway, they are in particular efficient and selective inhibitors of JNK 2 and 3. The present invention is furthermore related to novel benz sulfonamide derivs. as well as to methods of their preparation (no phys. data for intermediates and final compds. given).

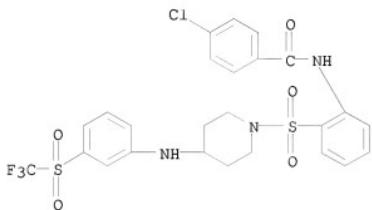
IT 406218-87-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzysulfonamides as JNK2 and JNK3 inhibitors for treatment of neuronal disorders, autoimmune diseases, cancer, and cardiovascular disease)

RN 406218-87-9 CAPLUS

CN Benzanide, 4-chloro-N-[2-[[4-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 31 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:72070 CAPLUS
 DOCUMENT NUMBER: 136:134677
 TITLE: Substituted 2-(S)-hydroxy-3-[(piperidin-4-yl-methyl)amino]propyl ethers and substituted 2-aryl-2-(R)-hydroxy-1-(piperidin-4-yl-methyl)ethylamines as beta-3 adrenergic receptor agonists, antidiabetics, and antiobesity agents
 INVENTOR(S): Steffan, Robert John; Ashwell, Mark Anthony; Pelletier, Jeffrey Claude; Solvibile, William Ronald; Matelan, Edward Martin
 PATENT ASSIGNEE(S): American Home Products Corporation, USA
 SOURCE: PCT Int. Appl., 216 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006255	A2	20020124	WO 2001-US22363	20010716
WO 2002006255	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20020037907	A1	20020328	US 2001-903738	20010712
US 6506901	B2	20030114		
PRIORITY APPLN. INFO.:			US 2000-218753P	P 20000717
OTHER SOURCE(S):	MARPAT	136:134677		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides title compds. I and their pharmaceutically acceptable salts [wherein A = OCH₂, bond; R = (un)substituted aryl or certain N/O/S heterocycl; R1 = (cyclo)alkyl, alkoxy, (cyclo)alkylamino, (un)substituted aryl, arylamino, arylalkyl, or heterocycl; Z = bond, SO₂, CO]. I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension, and frequent urination. The compds. are particularly useful in the treatment or inhibition of type II diabetes. They are also useful for increasing lean meat deposition and/or increasing the lean meat to fat ratio in animals, particularly mammals. Approx. 240 individual compds. and addnl. salts were prepared by either standard or combinatorial methods. For instance, invention compound II was prepared by reaction of the (S)-isomeric epoxide III

with the corresponding amine. II had an EC50 of 0.001 μM against cloned human $\beta 3$ adrenoceptors in vitro, with a maximal response comparable to isoproterenol.

IT 392690-00-5P, N-[5-[(4-[(2S)-3-[4-(Benzylloxy)phenoxy]-2-hydroxypropyl]amino)methyl]piperidin-1-yl]sulfonyl]thien-2-yl]methoxybenzamide 392690-02-7P, N-[5-[(4-[(2S)-2-Hydroxy-3-(4-hydroxyphenoxy)propyl]amino)methyl]piperidin-1-yl]sulfonyl]thien-2-yl]methoxybenzamide 392690-04-9P, N-[5-[(4-[(2S)-3-(9H-Carbazol-4-yloxy)-2-hydroxypropyl]amino)methyl]piperidin-1-yl]sulfonyl]thien-2-yl]methoxybenzamide 392690-06-1P, N-[5-[(4-[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino)methyl]piperidin-1-yl]sulfonyl]thien-2-yl]methoxybenzamide 392690-08-3P, N-[5-[(4-[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino)methyl]piperidin-1-yl]sulfonyl]thien-2-yl]methoxybenzamide

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

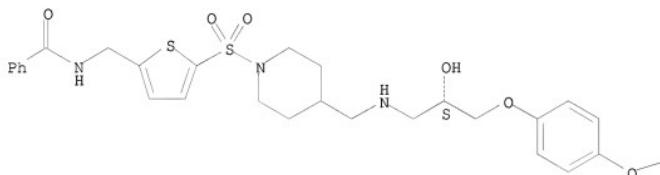
(drug candidate; preparation of piperidine hydroxyaminopropyl ether and hydroxyethylamine derivs. as $\beta 3$ adrenergic receptor agonists, antidiabetics, and antiobesity agents)

RN 392690-00-5 CAPLUS

CN Benzanide, N-[5-[(4-[(2S)-2-hydroxy-3-[4-(phenylmethoxy)phenoxy]propyl]amino)methyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

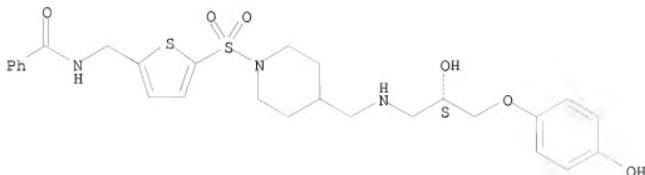


RN 392690-02-7 CAPLUS

CN Benzanide, N-[5-[(4-[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propyl]amino)methyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)

10/070,954

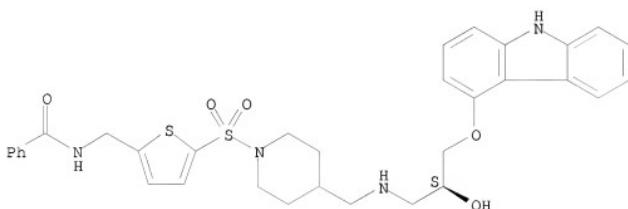
Absolute stereochemistry.



RN 392690-04-9 CAPLUS

CN Benzamide, N-[(5-[(4-[(2S)-3-((9H-carbazol-4-yloxy)-2-hydroxypropyl)amino)methyl]-1-piperidinyl)sulfonyl]-2-thienyl]methyl- (CA INDEX NAME)

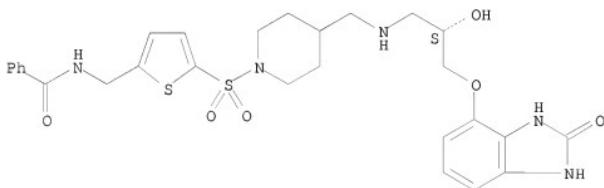
Absolute stereochemistry.



RN 392690-06-1 CAPLUS

CN Benzamide, N-[(5-[(4-[(2S)-3-[(2,3-dihydro-2-oxo-1H-benzimidazol-4-yl)oxy]-2-hydroxypropyl)amino)methyl]-1-piperidinyl)sulfonyl]-2-thienyl]methyl- (CA INDEX NAME)

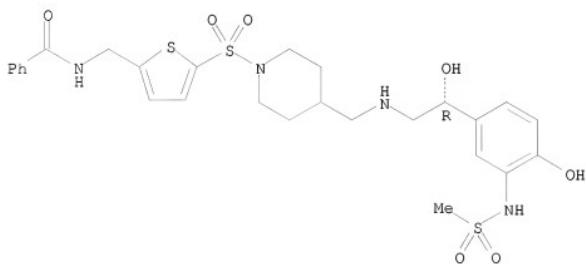
Absolute stereochemistry.



RN 392690-08-3 CAPLUS

CN Benzamide, N-[{5-[{4-[{(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl}amino]methyl}-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 32 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:72044 CAPLUS
 DOCUMENT NUMBER: 136:134675
 TITLE: Preparation of heterocyclic amino alcohol beta-3 adrenergic receptor agonists
 INVENTOR(S): Ashwell, Mark Anthony; Solvibile, William Ronald;
 Quagliato, Dominick Anthony; Molinari, Albert John
 PATENT ASSIGNEE(S): American Home Products Corporation, USA
 SOURCE: PCT Int. Appl., 208 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006229	A2	20020124	WO 2001-US22327	20010716
WO 2002006229	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20020028832	A1	20020307	US 2001-903841	20010712
US 6451814	B2	20020917		
US 20030018045	A1	20030123	US 2002-189312	20020702
US 6605618	B2	20030812		

PRIORITY APPLN. INFO.: US 2000-218628P P 20000717
 US 2001-903841 A1 20010712

AB This invention provides A-U-CH(OH)CH2NHCH2CH2VC6H4W-Z-p (1; Z = (1-Y-X-substituted piperidin-4-yl)) or a pharmaceutically acceptable salt thereof, which are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension and frequent urination; and are particularly useful in the treatment or inhibition of type II diabetes. β 3-Adrenergic receptor EC50 and maximal response (IA; % activity compound/ $\%/\text{activity isoproterenol}$) values are reported for apprx. 100 example compds., e.g. 0.032 μM and 1.04 for 4-[4-[2-[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propylamino]ethyl]phenylamino]piperidine-1-carboxylic acid 2,6-difluorobenzylamide. In 1, A is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, N, and S, substituted with (R1)m; (b) a Ph ring substituted with (R1)m; (c) a naphthyl ring substituted with (R1)m; or (d) a Ph fused heterocycle selected from (R1)m-substituted 1,3-dihydro-2-oxo-2H-benzimidazol-4-yl, 1,3-benzodioxol-5-yl, 1,2,3,4-tetrahydro-2-oxoquinolin-5-yl, 1,2,3,4-tetrahydro-1-naphthylideneamino. U is -OCH2- or a bond; V is O or a bond; W is O, S(O)a, NR2, NC(O)R2; X = SO2, C(O), -(CH2)b, a bond, Ar; Y is -NR3R4, Het, Ar, alkyl of 1-8 C atoms, O(CH2)dR5. R1 is alkyl of 1-8 C atoms, -OR6, halogen, cyano, cycloalkyl of 3-8 C atoms, trifluoromethyl, CO2R6, -NR6R7, -C(O)NR6R7, -NHC(O)R6, -NR6C(O)NR8R8, -NHSO2R8, -S(O)aR6, -NO2, -O(CH2)eCO2R7, -OC(O)NR6R7, -O(CH2)fOR6, or a 5-6 membered

heterocyclic ring containing 1 to 4 heteroatoms selected from O, S, and N. R2 is H, alkyl of 1-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R3 and R4 are each, independently, H, alkyl of 1-8 C atoms, cycloalkyl of 3-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl group, -(CH₂)_gR9, -(CH₂)hCOR9, -(CH₂)jCR10R11(CH₂)jR9, or -(CH₂)kCONR12R13; or R3 and R4 may be taken together together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S, and said heterocycle may optionally be substituted with R14. R5 is H; alkyl of 1-8 C atoms optionally substituted by 1-3 substituents selected from hydroxy, halogen and aryl; cycloalkyl of 1-8 C atoms; Ar or Het; R6, R7, and R8 are each, independently, H, or alkyl of 1-8 C atoms, or aryl of 6-10 C atoms, cycloalkyl of 3-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R9 is H; alkyl optionally substituted with 1-3 substituents selected from hydroxy, halogen, and aryl; cycloalkyl of 3-8 C atoms; Ar, or Het; R10 and R11 are each, independently, H, alkyl, or aryl optionally substituted with alkyl of 1-8 C atoms or halogen; or R10 and R11 are taken together to form a spiro fused cycloalkyl ring of 3-8 C atoms. R12 and R13 are each, independently, H, alkyl of 1-8 C atoms, aryl optionally substituted with alkyl of 1-8 C atoms or halogen; or R12 and R13 are taken together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S, and said heterocycle may optionally be substituted with R14; R14 is CO₂R15 or aryl optionally substituted with a 1-3 substituents selected from -OR15 and cycloalkyloxy of 3-8 C atoms; R15 is alkyl of 1-8 C atoms or arylalkyl having 1-8 C atoms in the alkyl moiety. Ar is an aromatic ring system containing 1-2 carbocyclic aromatic rings having 6-10 C atoms optionally mono, di, or trisubstituted with R16; Het is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, S, and N which may be optionally mono- or disubstituted with R16; or (b) a heterocyclic ring system optionally mono- or disubstituted by R16 containing a 5-6 membered heterocyclic ring fused to one or two carbocyclic or heterocyclic rings such that the heterocyclic ring system contains 1-4 heteroatoms selected from O, S, and N; R16 is aryl, halogen, alkyl of 1-8 C atoms, -OR17, cycloalkyl of 3-8 C atoms, trifluoromethyl, cyano, -CO₂R17, -CONR17R18, -SO₂NR17R18, -NR17OR18, -NR19CONR17R18, -NR17COR18, -NO₂, -(OCH₂)pCO₂R17, -OCNR17R18, -S(O)nR17, -O(CH₂)qOR17, or a 5-6 membered heterocyclic ring containing 1-4 heteroatoms selected from O, S and N. R17, R18, and R19 are each, independently, H, alkyl of 1-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl moiety, or aryl optionally mono, di, or trisubstituted with halogen, cyano, nitro, hydroxy, alkyl of 1-8 C atoms, or alkoxy of 1-8 C atoms; or when R17 and R18 are contained on a common N, R17 and R18 may be taken together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S. A = 0-2; b = 1-6; d = 0-3; e = 1-6; f = 1-6; g = 0-6; j = 0-6; k = 0-6; m = 0-2; p = 1-6; q = 1-6. Methods of preparation are claimed, comprising (a) reacting A-OCH₂-substituted oxirane or a protected form thereof in which a reactive substituent group is protected, with H₂NCH₂CH₂VC₆H₄WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 (U = -OCH₂-). (b) reacting A-substituted oxirane or a protected form thereof in which any reactive substituent group is protected, with H₂NCH₂CH₂VC₆H₄WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U represents a bond;. (c) reacting

ACH(OPr)CH₂I, wherein Pr is a protecting group, with H₂NCH₂CH₂VC₆H₄WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U = -OCH₂-.

(d) reacting ACH(OH)CH₂NH₂ or a protected form thereof in which any reactive substituent group is protected, with HO₂CC₂VC₆H₄WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U = -OCH₂-.

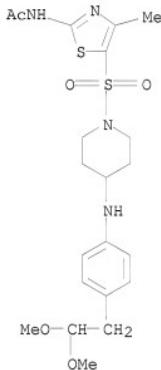
(e) removing any protecting group from 1 in which at least one substituent carries a protecting group to give 1; or (f) converting a basic compound 1 to a salt thereof by reaction with a pharmaceutically acceptable acid; or (g) converting 1 having one or more reactive substituent groups to a different 1; or (h) isolating an isomer of 1 from a mixture thereof. More than 100 example preps. are included.

IT 392642-75-0P, N-[5-[(4-[4-(2,2-Dimethoxyethyl)anilino]-1-piperidinyl)sulfonyl]-4-methyl-1,3-thiazol-2-yl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heterocyclic amino alc. beta-3 adrenergic receptor agonists)

RN 392642-75-0 CAPLUS

CN Acetamide, N-[5-[(4-[(4-(2,2-dimethoxyethyl)phenyl]amino)-1-piperidinyl)sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)



IT 392642-64-7P, N-[5-[(4-[2-[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]ethyl]anilino]piperidin-1-yl]sulfonyl]-4-methyl-1,3-thiazol-2-yl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

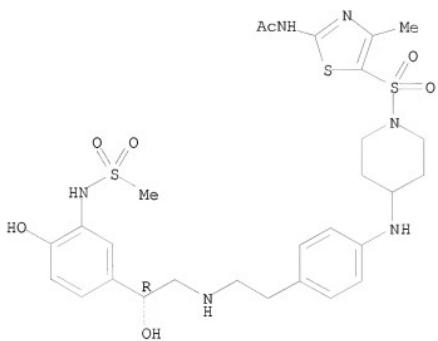
(preparation of heterocyclic amino alc. beta-3 adrenergic receptor agonists)

RN 392642-64-7 CAPLUS

CN Acetamide, N-[5-[(4-[(2-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]ethyl]phenyl]amino]-1-

piperidinylsulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)

Absolute stereochemistry.

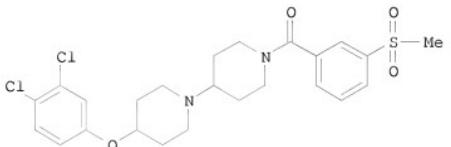
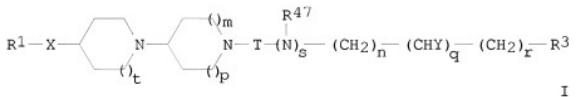


L12 ANSWER 33 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:762989 CAPLUS
 DOCUMENT NUMBER: 135:318419
 TITLE: Synthesis of substituted bipiperidines and their use
 as H1 antagonists
 INVENTOR(S): Lawrence, Louise; Rigby, Aaron; Sanganee, Hitesh;
 Springthorpe, Brian
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
 SOURCE: PCT Int. Appl., 160 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077101	A1	20011018	WO 2001-SE'51	20010405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2403012	A1	20011018	CA 2001-2403012	20010405
EP 1274701	A1	20030115	EP 2001-920053	20010405
EP 1274701	B1	20050629		
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CN 1433411	A	20030730	CN 2001-810683	20010405
JP 2003530393	T	20031014	JP 2001-575574	20010405
NZ 521543	A	20041029	NZ 2001-521543	20010405
EP 1493743	A1	20050105	EP 2004-20599	20010405
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CN 1660839	A	20050831	CN 2004-10102245	20010405
AU 2001246997	B2	20070329	AU 2001-246997	20010405
US 20020077337	A1	20020620	US 2001-827488	20010406
US 6525070	B2	20030225		
ZA 2002007700	A	20040102	ZA 2002-7700	20020925
NO 2002004774	A	20021129	NO 2002-4774	20021003
MX 2002PA09885	A	20030327	MX 2002-PA9885	20021007
US 20040006080	A1	20040108	US 2003-341027	20030113
US 6903115	B2	20050607		
US 20040014783	A1	20040122	US 2003-436582	20030513
US 7238811	B2	20070703		
HK 1051193	A1	20051028	HK 2003-103424	20030514
US 20050171092	A1	20050804	US 2005-76773	20050310
US 7179922	B2	20070220		
US 20070179297	A1	20070802	US 2007-732411	20070403
PRIORITY APPLN. INFO.:			GB 2000-8626	A 20000408
			GB 2000-19111	A 20000803

SE	2000-3664	A	20001011
CN	2001-810683	A3	20010405
EP	2001-920053	A3	20010405
WO	2001-SET51	W	20010405
US	2001-827488	A3	20010406
US	2003-341027	A1	20030131
US	2003-435682	A3	20030513

OTHER SOURCE(S): MARPAT 135:318419
GI



A8 Title compds. I [q , s , $t = 0 - 1$; n , $r = 0 - 5$; m , $p = 0 - 2$; $X = \text{CH}$, $\text{C}(\text{O})$, O , S , $\text{S}(\text{O})$, $\text{S}(\text{O})_2$, N^+ ; provided that when m and p are both 1 then X is not CH ; $Y = \text{NHR}_2$, OH ; $T = \text{C}(\text{O})$, $\text{C}(\text{S})$, $\text{S}(\text{O})$, CH_2 ; $R1 = \text{H}$, alkyl, aryl, heterocyclyl; $R2$, $R47 = \text{H}$, alkyl, aryl-alkyl, CO-alkyl; $R3 = \text{alkyl}$, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl, thioheterocyclyl] were prepared. Examples include: data for over 600 compds., 4 solid oral dosage and 1 parenteral (general) formulations, a bioassay for Ca^{2+} flux, human eosinophil chemotaxis and H1 antagonism. E.g., 4-(3,4-dichlorophenoxy)piperidine was alkylated with 1-(tert-butoxycarbonyl)-4-piperidone (1,2-dichloroethane, $\text{NaBH}(\text{OAc})_3$, HOAc , 18 h, room temperature) to give an intermediate [1,4']bipiperidine. This intermediate was deprotected (DCM, TFA, 4 h, room temperature) and the resulting bipiperidine condensed with 3-methanesulfonylbenzoic acid (THF, PYBROP, ($i\text{-Pr}$) 2NET , 18 h, room temperature) to give example compound II isolated as the acetate salt. I are used in the treatment of a chemokine (such as CCR3) or H1 mediated disease state.

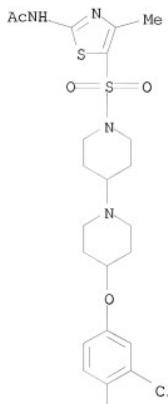
IT 367495-25-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of substituted bipiperidines and use as H1 antagonists)

RN 367495-25-8 CAPLUS

10/070,954

CN Acetamide, N-[5-[(4-(3,4-dichlorophenoxy){1,4'-bipiperidin]-1'-yl)sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)

PAGE 1-A



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REFERENCE COUNT:

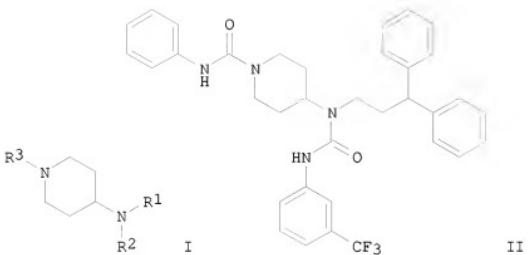
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THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 34 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:453019 CAPLUS
 DOCUMENT NUMBER: 135:46106
 TITLE: 4-Aminopiperidine derivatives, processes for their preparation, pharmaceutical compositions, and their use as medicines, specifically as somatostatin receptor ligands
 INVENTOR(S): Thurieau, Christophe; Gonzalez, Jerome; Moinet, Christophe
 PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.
 SOURCE: PCT Int. Appl., 193 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044191	A1	20010621	WO 2000-FR3497	20001213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2802206	A1	20010615	FR 1999-15724	19991214
FR 2802206	B1	20050422		
CA 2394086	A1	20010621	CA 2000-2394086	20001213
EP 1286966	A1	20030305	EP 2000-993405	20001213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2002004515	A2	20030428	HU 2002-4515	20001213
HU 2002004515	A3	20050428		
JP 2003516965	T	20030520	JP 2001-544681	20001213
NZ 520071	A	20030630	NZ 2000-520071	20001213
AU 779341	B2	20050120	AU 2001-28560	20001213
RU 2266282	C2	20051220	RU 2002-118705	20001213
US 20040006089	A1	20040108	US 2002-130924	20020523
US 7115634	B2	20061003		
US 20050239796	A1	20051027	US 2005-122293	20050504
KR 2007014235	A	20070131	KR 2007-701118	20070116
PRIORITY APPLN. INFO.:			FR 1999-15724	A 19991214
			WO 2000-FR3497	W 20001213
			US 2002-130924	A3 20020523
			KR 2002-707506	A3 20020612

OTHER SOURCE(S): MARPAT 135:46106
 GI



A8 The invention concerns novel 4-aminopiperidine derivs. I [R1 = alkyl, alkenyl, alkynyl, $(CH_2)_mYZ_1$, $(CH_2)_nZ_2$, 1-benzylpiperidin-4-yl, 2-naphthylcarbamoyl, 4-benzylpiperazin-1-yl, 2-acetamidoethyl; Z1 = alkyl or (un)substituted aryl; Z2 = cyano, cyclohexenyl, bis-Ph, cycloalkyl, (un)substituted heterocycloalkyl, aryl, heteroaryl, etc.; R2 = C(Y)NHX1, C(O)X2, SO₂X3; R3 = H, (un)substituted alkyl, alkenyl, alkynyl, aralkyl, aralkyl, C(Y)NHX1, $(CH_2)_nC(O)X_2$, SO₂X3, etc.; X1 = alkyl, alkenyl, alkynyl, aryl, aralkyl, etc.; X2 = wide variety of groups; X3 = alkyl, alkenyl, phenylalkenyl, CF₃, (un)substituted (hetero)aryl or -aralkyl; Y = O, S; n = 0-4; m = 1-6]. Also disclosed are methods for their preparation by parallel synthesis processes in liquid and solid phase. I have good affinity for certain sub-types of somatostatin receptors, and are particularly useful for treating pathol. conditions or diseases wherein one more somatostatin receptor sub-types are involved. Claims specifically mention acromegaly, pituitary adenoma, or endocrine gastroenteropancreatic tumors in carcinoid syndrome. A table of 778 compds. I is given, and several syntheses are described in detail. For instance, N-BOC-4-piperidone underwent reductive amination with 3,3-diphenylpropylamine and NaBH(OAc)₃, followed by reaction with 3-trifluoromethylphenyl isocyanate, removal of the BOC group with CF₃CO₂H, and reaction with Ph isocyanate, to give title compound II. Some compds. I had sub-micromolar Ki for at least one of five tested somatostatin receptor subtypes (no data).

IT 344782-81-6P 344785-05-3P 344785-06-4P

344785-07-5P 344785-08-6P 344785-09-7P

344785-10-0P 344785-11-1P 344785-12-2P

344785-13-3P 344785-14-4P

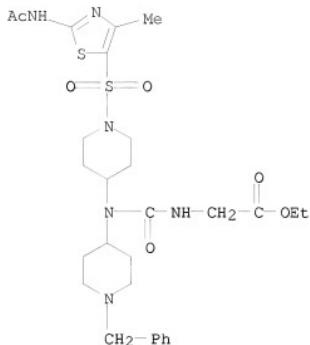
RL: BAC (Biological activ

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminopiperidine derivs. as somatostatin receptor ligands)

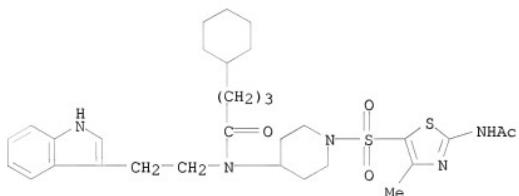
RN 344782-81-6 CAPLUS

CN Glycine, N-[[1-[2-(acetylamino)-4-methyl-5-thiazolyl]sulfonyl]-4-piperidinyl]-[1-(phenylmethyl)-4-piperidinyl]amino]carbonyl]-, ethyl ester
(CA INDEX NAME)



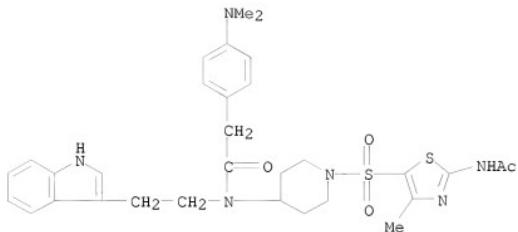
RN 344785-05-3 CAPLUS

CN Cyclohexanebutanamide, N-[1-[(2-(acetylamino)-4-methyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-N-[2-(1H-indol-3-yl)ethyl]- (CA INDEX NAME)



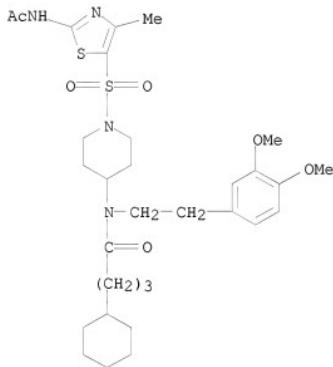
RN 344785-06-4 CAPLUS

CN Benzeneacetamide, N-[1-[(2-(acetylamino)-4-methyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-4-(dimethylamino)-N-[2-(1H-indol-3-yl)ethyl]- (CA INDEX NAME)



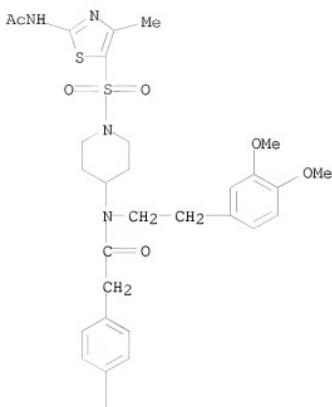
RN 344785-07-5 CAPLUS

CN Cyclohexanebutanamide, N-[1-[(2-(acetamino)-4-methyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-N-[2-(3,4-dimethoxyphenyl)ethyl]- (CA INDEX NAME)



RN 344785-08-6 CAPLUS

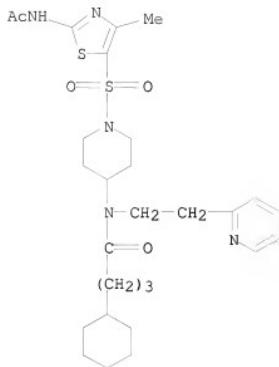
CN Benzeneacetamide, N-[1-[(2-(acetamino)-4-methyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-N-[2-(3,4-dimethoxyphenyl)ethyl]-4-(dimethylamino)- (CA INDEX NAME)



↓
NMe₂

RN 344785-09-7 CAPLUS

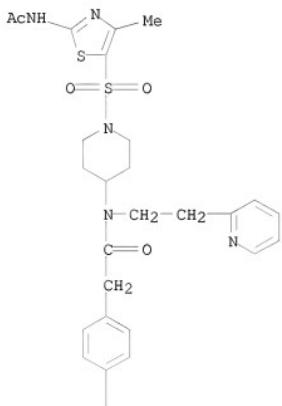
CN Cyclohexanebutanamide, N-[1-[(2-(acetylamino)-4-methyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



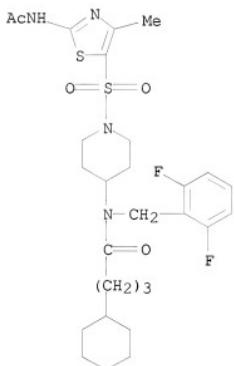
RN 344785-10-0 CAPLUS

CN Benzeneacetamide, N-[1-[(2-(acetylamino)-4-methyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-4-(dimethylamino)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

PAGE 1-A

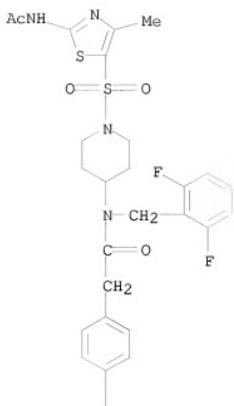


RN 344785-11-1 CAPLUS
CN Cyclohexanebutanamide, N-[1-[(2-(acetylamino)-4-methyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-N-[(2,6-difluorophenyl)methyl]-(CA INDEX NAME)



RN 344785-12-2 CAPLUS
CN Benzeneacetamide, N-[1-[(2-(acetylamino)-4-methyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-N-((2,6-difluorophenyl)methyl)-4-(dimethylamino)- (CA INDEX NAME)

PAGE 1-A

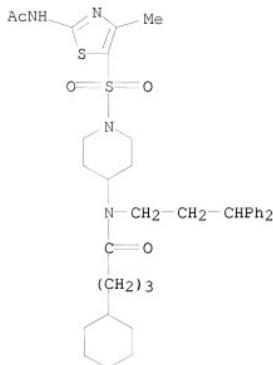


PAGE 2-A



RN 344785-13-3 CAPLUS

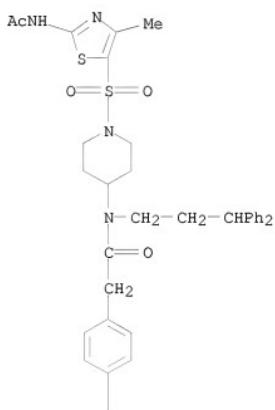
CN Cyclohexanebutanamide, N-[1-[(2-(acetylamino)-4-methyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-N-(3,3-diphenylpropyl)- (CA INDEX NAME)



RN 344785-14-4 CAPLUS

CN Benzeneacetamide, N-[1-[(2-(acetylamino)-4-methyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-4-(dimethylamino)-N-(3,3-diphenylpropyl)- (CA INDEX NAME)

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10/070,954

PAGE 2-A

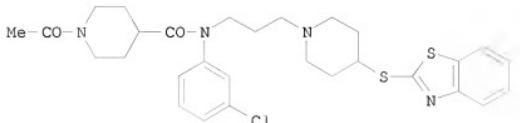
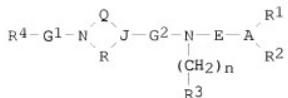
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NMe₂

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 35 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:265385 CAPLUS
 DOCUMENT NUMBER: 134:295739
 TITLE: Preparation of N-aryl-N-(heterocyclylalkyl)piperidinec
 arboxamides as CCR5 antagonists
 INVENTOR(S): Imamura, Shinichi; Hashiguchi, Shohei; Hattori, Taeko;
 Nishimura, Osamu; Kanzaki, Naoyuki; Baba, Masanori;
 Sugihara, Yoshihiro
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 392 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025200	A1	20010412	WO 2000-JP6755	20000929
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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AU 2000074487	A	20010510	AU 2000-74487	20000929
JP 2001302633	A	20011031	JP 2000-302841	20000929
JP 3814136	B2	20060823		
BR 2000014428	A	20020611	BR 2000-14428	20000929
EP 1220842	A1	20020710	EP 2000-962967	20000929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003048880	A	20030221	JP 2002-180545	20000929
HU 2003000138	A2	20030528	HU 2003-138	20000929
HU 2003000138	A3	20030630		
EP 1886994	A1	20080213	EP 2007-119933	20000929
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, AL, LT, LV, MK, RO, SI				
NO 2002001450	A	20020603	NO 2002-1450	20020322
US 6562978	B1	20030513	US 2002-89374	20020329
ZA 2002002593	A	20030403	ZA 2002-2593	20020403
US 20030114443	A1	20030619	US 2002-273111	20021018
US 7348324	B2	20080325		
PRIORITY APPLN. INFO.:				
		JP 1999-282088	A 19991001	
		JP 2000-46749	A 20000218	
		EP 2000-962967	A3 20000929	
		JP 2000-302841	A3 20000929	
		WO 2000-JP6755	W 20000929	
		US 2002-89374	A3 20020329	

OTHER SOURCE(S): MARPAT 134:295739
 GI



AB Title compds. (I) [wherein R1 = H, (un)substituted hydrocarbon or nonarom. heterocycle; R2 = (un)substituted hydrocarbon or nonarom. heterocycle; or R1 and R2 together with A form an (un)substituted heterocycle; A = N or N+(R5)•Y-; R5 = hydrocarbon; Y- = counteranion; R3 = (un)substituted (hetero)cycle; n = 0 or 1; R4 = H or (un)substituted hydrocarbon, heterocycle, alkoxy, aryloxy, or amino group; E = (un)substituted divalent aliphatic hydrocarbon; G1 = a bond, CO, or SO2; G2 = CO, SO2, NHCO, or OCO; J = CH or N; Q and R = independently a bond or (un)substituted divalent aliphatic hydrocarbon; provided that J = CH when G2 = OCO, that 1 of Q and R is not a bond when the other is a bond, and that each of Q and R is not substituted by oxo group(s) when G1 is a bond; or a salt thereof] were prepared as potent chemokine receptor CCR5 antagonists. I are useful for the treatment or prevention of the HIV disease in humans (e.g. AIDS). For example, II•HCl was synthesized in 34% yield in a 2-step process involving addition of TFA to a solution of 1-tert-butoxycarbonyl-4-(2-benzothiazolylthio)piperidine in CH2Cl2, followed by addition of AcCN, 1-acetyl-N-(3-chlorophenyl)-N-(3-chloropropyl)-4-piperidinecarboxamide, K2CO3, and KI to the residue and workup. II•HCl showed 96% inhibition of HIV-1 infection in transformant MAGI-CCR5 cells. In addition, 42 example compds. were tested and gave inhibition rates of 82% to 100% at 1.0 μM in a CCR5 antagonistic activity assay.

IT 333990-45-7P, 1-(2-Acetylaminoo-4-methyl-5-thiazolylsulfonyl)-N-(3,4-dichlorophenyl)-N-[3-[4-(4-fluorobenzyl)-1-piperidinyl]propyl]-4-piperidinecarboxamide trifluoroacetate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-aryl-N-(heterocyclylalkyl)piperidinecarboxamide CCR5 antagonists by amidation of N-(arylhetereocyclyl)alkylamines or addition of heterocycles to N-aryl-N-(haloalkyl)piperidinecarboxamides)

RN 333990-45-7 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(2-(acetylaminoo-4-methyl-5-thiazolylsulfonyl)-N-(3,4-dichlorophenyl)-N-[3-[4-(4-fluorophenyl)methyl]-1-piperidinyl]propyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

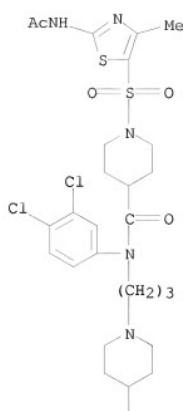
CM 1

CRN 333990-44-6

10/070,954

CMF C33 H40 Cl2 F N5 O4 S2

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CM 2

CRN 76-05-1
CMF C2 H F3 O2



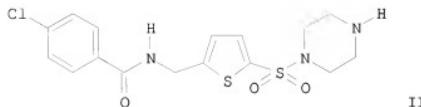
10/070,954

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 36 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:246567 CAPLUS
 DOCUMENT NUMBER: 134:280858
 TITLE: Preparation of N-thienylsulfonylpiperazines and
 analogs as c-Jun N-terminal kinase inhibitors
 INVENTOR(S): Arkinstall, Stephen
 PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth.
 Antilles
 SOURCE: Eur. Pat. Appl., 35 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1088821	A1	20010404	EP 1999-810869	19990928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2379575	A1	20010405	CA 2000-2379575	20000928
WO 2001023378	A1	20010405	WO 2000-IB1380	20000928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 200014641	A	20020611	BR 2000-14641	20000928
EP 1218374	A1	20020703	EP 2000-960921	20000928
EP 1218374	B1	20051116		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200789	T2	20020821	TR 2002-789	20000928
HU 2002003312	A2	20030128	HU 2002-3312	20000928
HU 2002003312	A3	20030228		
JP 2003510319	T	20030318	JP 2001-526530	20000928
EE 200200165	A	20030415	EE 2002-165	20000928
NZ 517424	A	20040130	NZ 2000-517424	20000928
AU 777708	B2	20041028	AU 2000-73074	20000928
AT 309998	T	20051215	AT 2000-960921	20000928
ES 2248114	T3	20060316	ES 2000-960921	20000928
ZA 2002001509	A	20030224	ZA 2002-1509	20020222
BG 106527	A	20030228	BG 2002-106527	20020318
NO 2002001530	A	20020326	NO 2002-1530	20020326
NO 324792	B1	20071210		
MX 2002PA03199	A	20021031	MX 2002-PA3199	20020326
KR 827533	B1	20080506	KR 2002-703983	20020327
HK 1048306	A1	20050610	HK 2003-100202	20030108
PRIORITY APPLN. INFO.:			EP 1999-810869	A 19990928
			WO 2000-IB1380	W 20000928

OTHER SOURCE(S): MARPAT 134:280858
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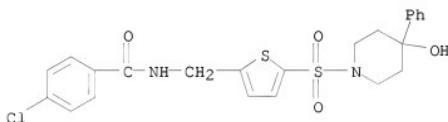


AB RC(:X)NR1(CH2)nZSO2R2 [I; R = (un)substituted (hetero)aryl; R1 = H or (un)substituted alkyl; RR1 = atoms to complete a ring; R2 = N-attached (poly)aza(bi)cycloalkyl; X = O or S; Z = (un)substituted (hetero)arylene; n = 0-5] were prepared. Thus, 2-thiophenemethanamine was amidated by 4-ClC6H4COCl and the chlorosulfonated product amidated by piperazine to give title compound II. Data for biol. activity of I were given.

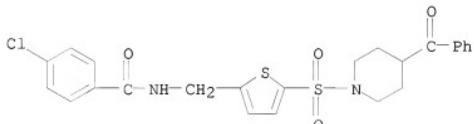
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 332415-59-5P 332415-65-3P 332415-75-5P
 332415-79-9P 332416-11-2P 332416-15-6P
 332416-22-5P 332416-25-8P 332416-27-0P
 332416-32-7P 332416-33-8P 332416-34-9P
 332416-35-0P 332416-40-7P 332416-41-8P
 332421-97-3P 332421-98-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-thienylsulfonylpiperazines and analogs as c-Jun N-terminal kinase inhibitors)

RN 332415-52-8 CAPLUS
 CN Benzanide, 4-chloro-N-[(5-[(4-hydroxy-4-phenyl-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)

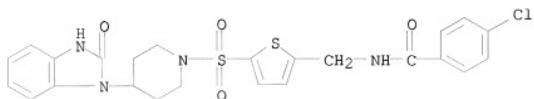


RN 332415-54-0 CAPLUS
 CN Benzanide, N-[(5-[(4-benzoyl-1-piperidinyl)sulfonyl]-2-thienyl)methyl]-4-chloro- (CA INDEX NAME)



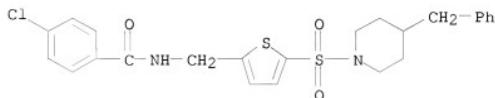
RN 332415-57-3 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



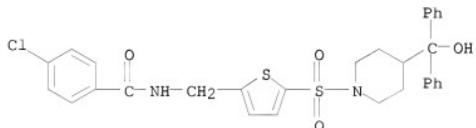
RN 332415-59-5 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[[4-(phenylmethyl)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



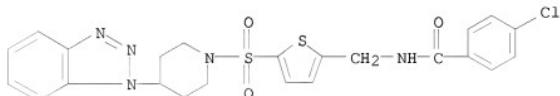
RN 332415-65-3 CAPLUS

CN Benzamide, 4-chloro-N-[(5-[[4-(hydroxydiphenylmethyl)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



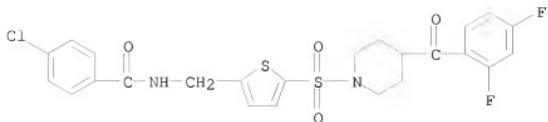
RN 332415-75-5 CAPLUS

CN Benzamide, N-[(5-[[4-(1H-benzotriazol-1-yl)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]-4-chloro- (CA INDEX NAME)

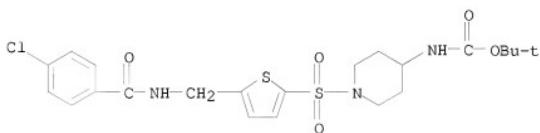


RN 332415-79-9 CAPLUS

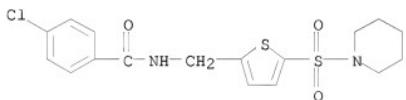
CN Benzamide, 4-chloro-N-[(5-[[4-(2,4-difluorobenzoyl)-1-piperidinyl]sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



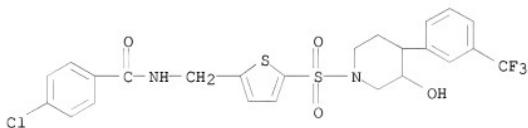
RN 332416-11-2 CAPLUS
CN Carbamic acid, [1-[{[5-[(4-chlorobenzoyl)amino]methyl}-2-thienyl]sulfonyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



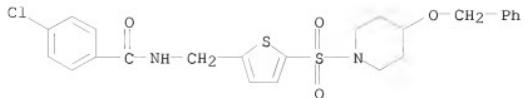
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CN Benzamide, 4-chloro-N-[{5-(1-piperidinylsulfonyl)-2-thienyl}methyl]- (CA INDEX NAME)



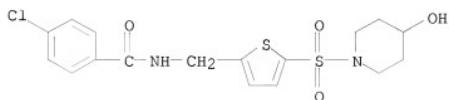
RN 332416-22-5 CAPLUS
CN Benzamide, 4-chloro-N-[{5-[(3-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl)sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



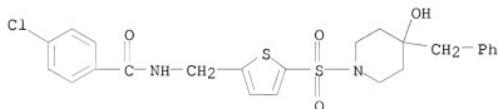
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CN Benzamide, 4-chloro-N-[{5-[(4-phenylmethoxy)-1-piperidinylsulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)



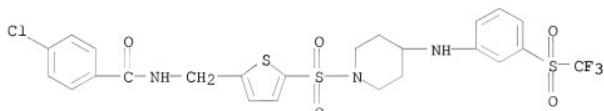
RN 332416-27-0 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-hydroxy-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



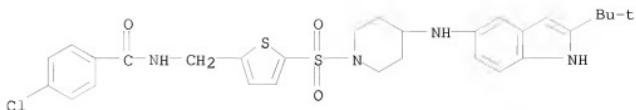
RN 332416-32-7 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[(4-hydroxy-4-(phenylmethyl)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



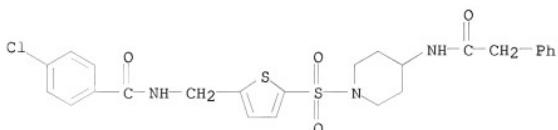
RN 332416-33-8 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[[4-[(3-[(trifluoromethyl)sulfonyl]phenyl]amino)-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



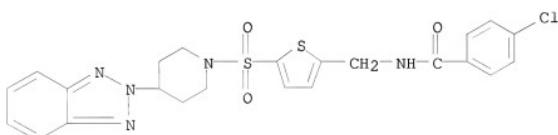
RN 332416-34-9 CAPLUS
 CN Benzamide, 4-chloro-N-[(5-[[4-[[2-(1,1-dimethylethyl)-1H-indol-5-yl]amino]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (CA INDEX NAME)



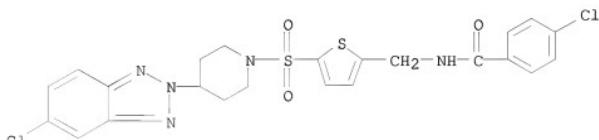
RN 332416-35-0 CAPLUS
CN Benzeneacetamide, N-[1-[(5-[(4-chlorobenzoyl)amino]methyl)-2-thienyl]sulfonyl]-4-piperidinyl- (CA INDEX NAME)



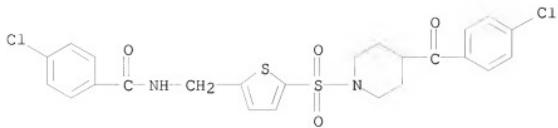
RN 332416-40-7 CAPLUS
CN Benzanide, N-[(5-[(4-[(2H-benzotriazol-2-yl)-1-piperidinyl]sulfonyl)-2-thienyl]methyl)-4-chloro- (CA INDEX NAME)



RN 332416-41-8 CAPLUS
CN Benzanide, 4-chloro-N-[(5-[(4-[(5-chloro-2H-benzotriazol-2-yl)-1-piperidinyl]sulfonyl)-2-thienyl]methyl]- (CA INDEX NAME)

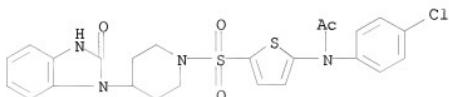


RN 332421-97-3 CAPLUS
CN Benzanide, 4-chloro-N-[(5-[(4-[(4-chlorobenzoyl)-1-piperidinyl]sulfonyl)-2-thienyl]methyl)- (CA INDEX NAME)



RN 332421-98-4 CAPLUS

CN Acetamide, N-(4-chlorophenyl)-N-[5-[(4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl)sulfonyl]-2-thienyl]- (CA INDEX NAME)



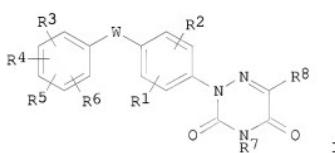
REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 37 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:246566 CAPLUS
 DOCUMENT NUMBER: 134:280864
 TITLE: Preparation of 6-azauracil derivatives as thyroid
 receptor ligands
 INVENTOR(S): Dow, Robert Lee; Chiang, Yuan-Ching Phoebe; Estep,
 Kimberly Gail
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: Eur. Pat. Appl., 153 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1088819	A2	20010404	EP 2000-308112	20000918
EP 1088819	A3	20010411		
EP 1088819	B1	20050615		
R: AT, BE, CH, IE, SI, LT, LV, FI, RO	DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			
AT 297905	T	20050715	AT 2000-308112	20000918
PT 1088819	T	20050930	PT 2000-308112	20000918
ES 2240017	T3	20051016	ES 2000-308112	20000918
JP 2001114768	A	20010424	JP 2000-282882	20000919
JP 3763565	B2	20060405		
US 6787652	B1	20040907	US 2000-671668	20000927
CA 2321380	A1	20010330	CA 2000-2321380	20000928
CA 2321380	C	20060530		
BR 2000004539	A	20010417	BR 2000-4539	20000929
MX 2000PA09641	A	20020201	MX 2000-PA9641	20001002
US 20040157844	A1	20040812	US 2004-763451	20040123
US 6930107	B2	20050816		
PRIORITY APPLN. INFO.:			US 1999-156842P	P 19990930
			US 2000-671668	A1 20000927
OTHER SOURCE(S):	MARPAT	134:280864		
GI				



AB Title compds. [I; W = O, S, SO, SO₂, NR30, CO, CH:CH, CH₂, CHF, CF₂, CH(OH); R1, R2 = H, halo, alkyl, cyano, OR12, CF₃; R3 = H, halo, cyano, NO₂, (substituted) alkyl, etc.; R4 = CR14R15R16, CONR19R20, aryl, heteroaryl, etc.; R3R4 = (CH₂)_b, Q(CH₂)_c, etc.; b = 3-7; c = 2-6; R5 = OR23; R4R5 = CR31:CR32NH, CR31:CR32S, etc.; R7 = H, alkyl, haloalkyl, (CH₂)_nCO2R9; n = 0-3; R8 = H, alkyl, CO2R9, CONR10R11; R9 = (substituted)

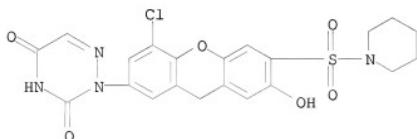
alkyl, alkenyl, dialkenyl, cycloalkyl, aryl, heterocyclyl; R10, R11 = H, (substituted) alkyl, cycloalkyl, alkenyl, heterocyclyl; R10R11 = heterocyclyl; R12 = H, (substituted) alkyl; R14 = H, alkyl, OR34; R15 = H, alkyl; R14R15 = O; R16 = H, (substituted) alkyl, alkylcycloalkyl, alkylaryl, alkylheterocyclyl; R19, R20 = H, (substituted) alkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl, etc.; R23 = H, (substituted) alkyl, COR24; R24 = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heteroaryl; R30 = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl; R32 = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl; R34 = (substituted) aryl, heterocyclyl, alkyl, alkenyl, cycloalkyl), were prepared for treatment of obesity, hyperlipidemia, thyroid disease, hypothyroidism, thyroid cancer, diabetes, atherosclerosis, hypertension, coronary heart disease, hypercholesterolemia, depression, osteoporosis, cardiac arrhythmia, glaucoma and heart failure (no data). Thus, [[[4-(3-bromo-4-methoxyphenoxy)-3,5-dimethylphenyl]hydrazono]cyanoacetyl]carbamic acid Et ester (preparation given) was heated with KOAc in HOAc at 120° for 5 h to give 2-[4-(3-bromo-4-methoxyphenoxy)-3,5-dimethylphenyl]-3,5-dioxo-2,3,4,5-tetrahydro-1,2,4-triazine-6-carbonitrile.

IT 332927-26-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azauracil derivs. as thyroid receptor ligands)

RN 332927-26-1 CAPLUS

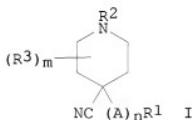
CN 1,2,4-Triazine-3,5(2H,4H)-dione, 2-[4-chloro-7-hydroxy-6-(1-piperidinylsulfonyl)-9H-xanthen-2-yl]- (CA INDEX NAME)



L12 ANSWER 38 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:185723 CAPLUS
 DOCUMENT NUMBER: 134:222633
 TITLE: Cyanopiperidines as pesticides
 INVENTOR(S): Hueter, Ottmar Franz; Lutz, William; Renold, Peter;
 Steiger, Arthur; Zambach, Werner
 PATENT ASSIGNEE(S): Syngenta Participations A.-G., Switz.
 SOURCE: PCT Int. Appl., 30 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001017965	A2	20010315	WO 2000-EP8660	20000905
WO 2001017965	A3	20030417		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: CH 1999-1639 A 19990907
 OTHER SOURCE(S): MARPAT 134:222633
 GI



AB Cyanopiperidines I [R1 = (un)substituted heterocyclyl; R2 = H, CN, OH, CHO, (un)substituted alkyl, alkenyl, arylamino, alkylarylamino, etc.; R3 = halo, OH, COOH, CN, alkyl, haloalkyl, cycloalkyl, etc.; A = (un)substituted Cl-C2 alkylene; n = 0, 1; m = 0, 1, 2, 3, 4] were prepared as insecticides, acaricides, and nematocides. Thus, 54 mg of 4-(5-chloro-3-pyridinyl)-4-piperidinecarbonitrile (obtained in 4 steps starting from 1-methyl-4-piperidinone and methylene isocyanate), 34 mg of 1-bromo-3-fluoropropane, and 31 mg of Hunig base are stirred in 6 mL of THF 48 h at 60° to give 32 mg of 4-(5-chloro-3-pyridinyl)-1-(3-fluoropropyl)-4-piperidinecarbonitrile. Qual. pesticidal test results were given.

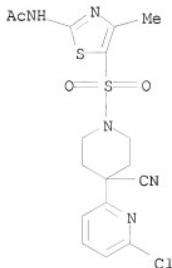
IT 329370-50-5P 329370-84-5P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (piperidinecarbonitriles as pesticides)

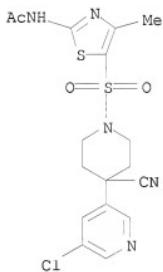
RN 329370-50-5 CAPLUS

CN Acetamide, N-[5-[(4-(6-chloro-2-pyridinyl)-4-cyano-1-piperidinyl)sulfonyl]-
 4-methyl-2-thiazolyl]- (CA INDEX NAME)



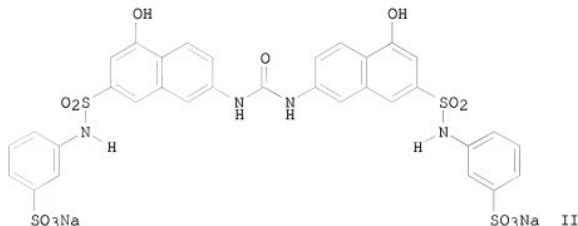
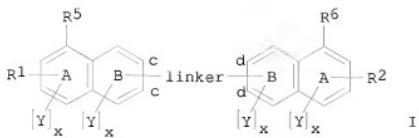
RN 329370-84-5 CAPLUS

CN Acetamide, N-[5-[(4-(5-chloro-3-pyridinyl)-4-cyano-1-piperidinyl)sulfonyl]-
 4-methyl-2-thiazolyl]- (CA INDEX NAME)



L12 ANSWER 39 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2000:842102 CAPLUS
 DOCUMENT NUMBER: 134:17320
 TITLE: Preparation of novel dinaphthyl ureas as glucose uptake enhancers
 INVENTOR(S): Spevak, Wayne; Lum, Robert T.; Shi, Songyuan; Manchem, Frasad; Kozlowski, Michael R.; Schow, Steven R.
 PATENT ASSIGNEE(S): Telik, Inc., USA
 SOURCE: PCT Int. Appl., 120 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071506	A2	20001130	WO 2000-US14644	20000525
WO 2000071506	A3	20010809		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 234557	B	20050621	TW 2000-89109745	20000520
CA 2374225	A1	20001130	CA 2000-2374225	20000525
EP 1181271	A2	20020227	EP 2000-936360	20000525
EP 1181271	B1	20050119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103409	T2	20020521	TR 2001-3409	20000525
BR 2000011550	A	20020604	BR 2000-11550	20000525
HU 2002001306	A2	20020928	HU 2002-1306	20000525
HU 2002001306	A3	20050428		
US 6458998	B1	20021001	US 2000-579279	20000525
JP 2003500381	T	20030107	JP 2000-619763	20000525
NZ 515743	A	20030829	NZ 2000-515743	20000525
AU 776438	B2	20040909	AU 2000-51684	20000525
AT 287394	T	20050215	AT 2000-936360	20000525
ES 2233386	T3	20050616	ES 2000-936360	20000525
ZA 2001009641	A	20030224	ZA 2001-9641	20011122
NO 2001005713	A	20011220	NO 2001-5713	20011123
MX 2001PA12079	A	20030630	MX 2001-PA12079	20011126
KR 746870	B1	20070807	KR 2001-715133	20011126
HK 1046399	A1	20050902	HK 2002-106271	20020826
US 20030135063	A1	20030717	US 2002-237583	20020906
US 7071231	B2	20060704		
PRIORITY APPLN. INFO.:			US 1999-136128P	P 19990526
			US 2000-579279	A1 20000525
OTHER SOURCE(S):	MARPAT	134:17320	WO 2000-US14644	W 20000525
GI				



AB The title compds. [I; R1, R2 = SO₂NR7₂, CONR7₂, NR₇SO₂R₇, etc.; R5, R6 = H, alkyl, CN, etc.; R7 = H, alkyl, aryl, etc.; Y = a non-interfering substituent which is not linked to the naphthalene ring via an azo or amide linkage; x = 0-2; the linker connects a carbon designated as c to a carbon designated as d, and is NR₃C(:K)NR₄ (wherein K = O, S, NH, etc.; R₃, R₄ = H, alkyl; R₃, R₄ together = (CH₂)₂, (CH₂)₃, (CH₂)₄, etc.), N:C(NR112)NR4 (R11 = H, CN, alkyl); NR₃C(NR112):N, etc.], useful for treating conditions associated with hyperglycemia, especially Type II diabetes, were prepared and formulated. E.g., a multi-step synthesis of the urea II which produced a 13% decrease in blood glucose levels, a 42% decrease in plasma insulin levels, and a 15% decrease in plasma triglyceride levels in the ob/ob mouse model of Type II diabetes, was given. The compds. I are useful in stimulating the kinase activity of the insulin receptor, activating the insulin receptor, and stimulating the uptake of glucose.

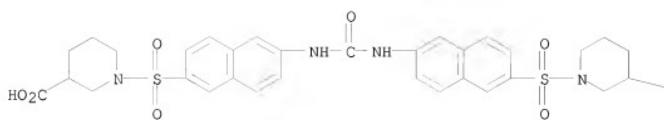
IT 309932-96-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel dinaphthyl ureas as glucose uptake enhancers)

RN 309932-96-5 CAPLUS

CN 3-Piperidinecarboxylic acid, 1,1'-[carbonylbis(imino-6,2-naphthalenediylsulfonyl)]bis- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

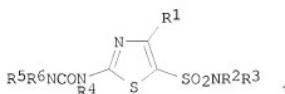
—CO₂H

L12 ANSWER 40 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2000:646000 CAPLUS
 DOCUMENT NUMBER: 133:222725
 TITLE: Preparation of thiazolylureas as antivirals
 INVENTOR(S): Fischer, Rudiger; Kleymann, Gerald; Baumeister, Judith; Bender, Wolfgang; Betz, Ulrich; Eckenberg, Peter; Handke, Gabriele; Hendrix, Martin; Schneider, Udo; Weber, Olaf; Henninger, Kerstin; Jensen, Axel; Keldenich, Jorg
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 133 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053591	A1	20000914	WO 2000-EP1498	20000224
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 199959958	A1	20010830	DE 1999-199959958	19991213
CA 2366607	A1	20000914	CA 2000-2366607	20000224
EP 1161423	A1	20011212	EP 2000-907614	20000224
EP 1161423	B1	20041110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539119	T	20021119	JP 2000-604030	20000224
ES 2232427	T3	20050601	ES 2000-907614	20000224
US 6500817	B1	20021231	US 2001-914554	20010831
PRIORITY APPLN. INFO.:			DE 1999-19910245	A 19990308
			DE 1999-19959958	A 19991213
			WO 2000-EP1498	W 20000224

OTHER SOURCE(S): MARPAT 133:222725

GI



AB Title compds. [I; R1 = H, halo, alkyl, alkoxy, aminoalkyl, haloalkyl; R2, R3 = H, cycloalkyl, haloalkyl, (substituted) alkyl; R2R3N = 5-6 membered heterocyclyl; R4 = H, acyl, alkenyl, (substituted) alkyl; R5 = H, alkyl; R6 = (substituted) Ph, 5-6 membered heteroaryl, 3-8 membered nonarom.

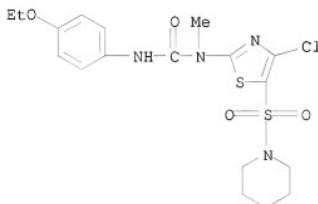
(bi)heterocyclyl, etc.], were prepared. Thus, 2-[(2-(dimethylamino)ethyl]amino]-N,4-dimethyl-1,3-thiazol-5-sulfonamide and 4-ethoxyphenyl isocyanate were stirred 12 h in dioxane to give 75% 2-[(2-(dimethylamino)ethyl][(4-ethoxyanilino)carbonyl]amino]-N,4-dimethyl-1,3-thiazol-5-sulfonamide. The latter inhibited HSV-1 in Vero cells with IC₅₀ = 0.2 μM.

IT 292136-66-4P 292136-73-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolylureas as antivirals)

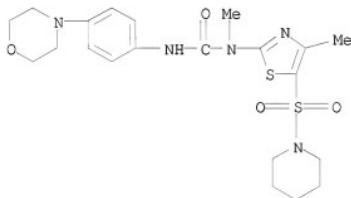
RN 292136-66-4 CAPLUS

CN Urea, N-[4-chloro-5-(1-piperidinylsulfonyl)-2-thiazolyl]-N'-(4-ethoxyphenyl)-N-methyl- (CA INDEX NAME)



RN 292136-73-3 CAPLUS

CN Urea, N-methyl-N-[4-methyl-5-(1-piperidinylsulfonyl)-2-thiazolyl]-N'-(4-(4-morpholinyl)phenyl)- (CA INDEX NAME)



REFERENCE COUNT:

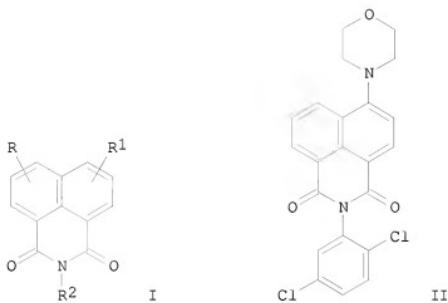
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THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 41 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2000:384159 CAPLUS
 DOCUMENT NUMBER: 133:30670
 TITLE: Preparation of substituted benzo[de]isoquinoline-1,3-diones as glycoprotein Ib α antagonists
 INVENTOR(S): Mederski, Werner; Devant, Ralf; Barnickel, Gerhard;
 Bernotat-Danielowski, Sabine; Melzer, Guido; Raddatz,
 Peter; Wu, Zhengdong; Dhanoa, Daljit; Soll, Richard;
 Rinker, James; Graybill, Todd
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 278 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032577	A2	20000608	WO 1999-EP8561	19991109
WO 2000032577	A3	20000921		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2352045	A1	20000608	CA 1999-2352045	19991109
BR 9915648	A	20010814	BR 1999-15648	19991109
EP 1144381	A2	20011017	EP 1999-968783	19991109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001004520	A2	20020429	HU 2001-4520	19991109
HU 2001004520	A3	20021028		
JP 2002537225	T	20021105	JP 2000-585219	19991109
AU 760136	B2	20030508	AU 2000-26603	19991109
TW 473474	B	20020121	TW 1999-88120540	19991124
NO 2001002544	A	20010523	NO 2001-2544	20010523
MX 2001PA05227	A	20011203	MX 2001-PA5227	20010524
ZA 2001005191	A	20021213	ZA 2001-5191	20010622
IN 2001KN00647	A	20050311	IN 2001-KN647	20010626
PRIORITY APPLN. INFO.:			US 1998-199413	A 19981125
			US 1999-398783	A 19990920
			WO 1999-EP8561	W 19991109

OTHER SOURCE(S): MARPAT 133:30670
 GI



AB The title compds. [I; R = H, NO₂; R₁ = Het, -HetSO₂Ar, NO₂, etc.; R₂ = Ar, Het₁, -Het₁Ar, etc.; Ar = Ph, biphenyl, pyridyl, etc.; Het, Het₁ = (un)substituted (un)saturated mono-, bi- or tricyclic 5-13 membered heterocyclyl], useful as glycoprotein IbIX antagonists (no data) for the control of thrombotic disorders, were prepared and formulated. E.g., preparation

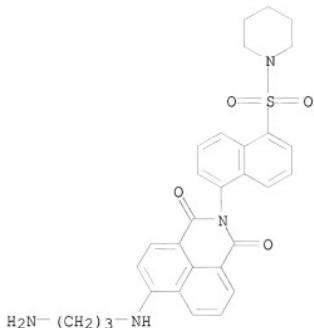
of II was given. Compds. I are effective at 0.02-10 mg/kg/day.

IT 273741-19-8P 273741-20-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzo[de]isoquinoline-1,3-diones as glycoprotein IbIX antagonists)

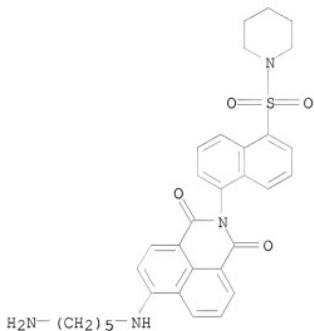
RN 273741-19-8 CAPLUS

CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 6-[(3-aminopropyl)amino]-2-[5-(1-piperidinylsulfonyl)-1-naphthalenyl]- (CA INDEX NAME)



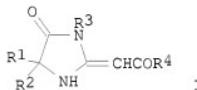
RN 273741-20-1 CAPLUS

CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 6-[(5-aminopentyl)amino]-2-[5-(1-piperidinylsulfonyl)-1-naphthalenyl]- (CA INDEX NAME)



L12 ANSWER 42 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2000:383680 CAPLUS
 DOCUMENT NUMBER: 133:30729
 TITLE: Preparation of derivatives of 2-(2-oxoethylidene)imidazolidin-4-one and their use to inhibit abnormal cell growth
 INVENTOR(S): Lyssikatos, Joseph Peter; Yang, Bingwei Vera
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: Eur. Pat. Appl., 56 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

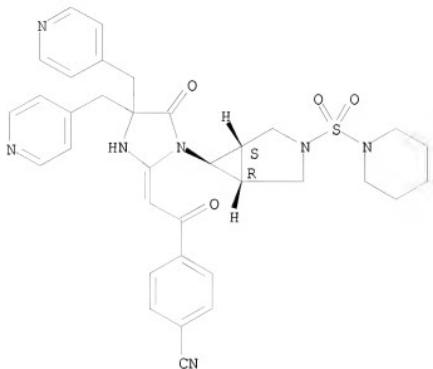
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1006113	A1	20000607	EP 1999-309430	19991125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2291880	A1	20000602	CA 1999-2291880	19991201
JP 2000186075	A	20000704	JP 1999-341550	19991201
MX 9911183	A	20000731	MX 1999-11183	19991202
BR 9905788	A	20000829	BR 1999-5788	19991202
US 6194438	B1	20010227	US 1999-454058	19991202
PRIORITY APPLN. INFO.:			US 1998-110607P	P 19981202
OTHER SOURCE(S):	MARPAT	133:30729		
GI				



- AB The title compds. I [R1, R2 = alkyl, alkenyl, arylalkyl, etc.; R3 = 1- or 2-adamantylalkyl, alkyl, arylalkyl, etc.; R4 = alkyl, aryl, heterocyclyl, etc.], inhibitors of abnormal cell growth (no data), were prepared E.g., 4-[(1a,5a,6a-3-benzenesulfonyl-3-azabicyclo[3.1.0]hex-6-yl)-4-oxo-4,4-bispyridin-4-ylmethylimidazolidin-2-ylidene]acetylbenzonitrile was prepared
 IT 273206-23-8P 273206-30-7P 273206-31-8P
 273206-32-9P 273206-63-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of (oxoethylidene)imidazolidinones as inhibitors of abnormal cell growth)
 RN 273206-23-8 CAPLUS
 CN 3-Azabicyclo[3.1.0]hexane, 6-[2-[2-(4-cyanophenyl)-2-oxoethylidene]-5-oxo-4,4-bis(4-pyridinylmethyl)-1-imidazolidinyl]-3-(1-piperidinylsulfonyl)-,(1a,5a,6a)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

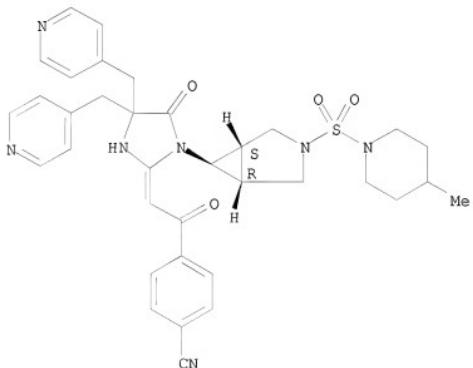


RN 273206-30-7 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 6-[2-[2-(4-cyanophenyl)-2-oxoethylidene]-5-oxo-4,4-bis(4-pyridinylmethyl)-1-imidazolidinyl]-3-[(4-methyl-1-piperidinyl)sulfonyl]-, (1 α ,5 α ,6 α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

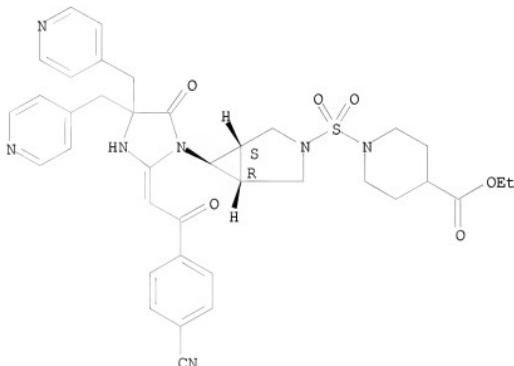
Double bond geometry unknown.



RN 273206-31-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(1 α ,5 α ,6 α)-6-[2-[2-(4-cyanophenyl)-2-oxoethylidene]-5-oxo-4,4-bis(4-pyridinylmethyl)-1-imidazolidinyl]-3-azabicyclo[3.1.0]hex-3-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

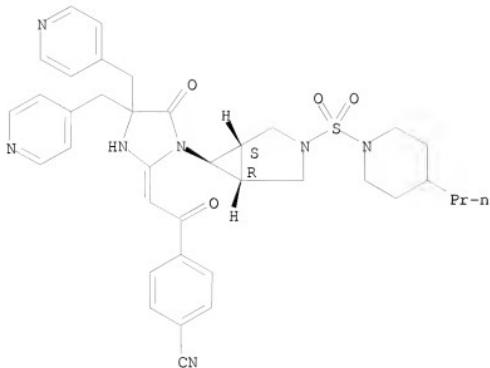
Relative stereochemistry.
Double bond geometry unknown.



RN 273206-32-9 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 6-[2-[2-(4-cyanophenyl)-2-oxoethylidene]-5-oxo-4,4-bis(4-pyridinylmethyl)-1-imidazolidinyl]-3-[(4-propyl-1-piperidinyl)sulfonyl]-, (1 α ,5 α ,6 α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

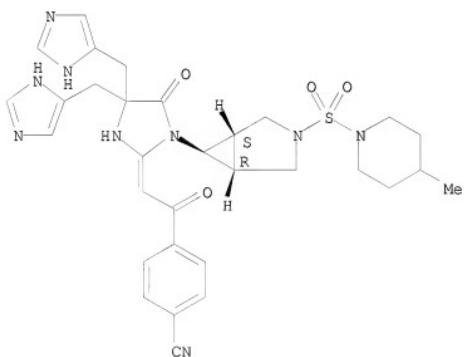


RN 273206-63-6 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 6-[2-[2-(4-cyanophenyl)-2-oxoethylidene]-4,4-bis(1H-imidazol-4-ylmethyl)-5-oxo-1-imidazolidinyl]-3-[(4-methyl-1-piperidinyl)sulfonyl]-, (1 α ,5 α ,6 α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

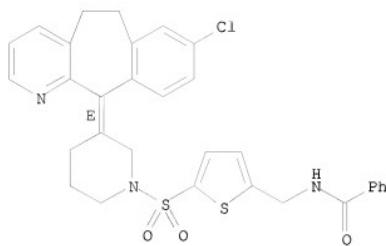
Double bond geometry unknown.



10/070,954

L12 ANSWER 43 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:487563 CAPLUS
DOCUMENT NUMBER: 129:230615
ORIGINAL REFERENCE NO.: 129:46927a,46930a
TITLE: Synthesis of isomeric 3-piperidinyl and 3-pyrrolidinyl
benzo[5,6]cyclohepta[1,2-b]pyridines: sulfonamido
derivatives as inhibitors of Ras prenylation
AUTHOR(S): Kelly, Joseph; Wolin, Ronald; Connolly, Michael;
Afonso, Adriano; James, Linda; Kirshmeier, Paul;
Bishop, W. Robert; McPhail, Andrew T.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ,
07033, USA
SOURCE: Bioorganic & Medicinal Chemistry (1998), 6(6), 673-686
CODEN: BMECCEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Blocking farnesylation of oncogenic Ras proteins is a mechanism-based
therapeutic approach that is of current interest for the development of
antitumor agents to treat Ras associated tumors. As part of a SAR study on
the lead farnesyl protein transferase (FPT) inhibitor Sch 44342, the
synthesis of novel geometric isomers and the FPT inhibition activity
of their N-acyl and N-sulfonamido derivs. is reported. The N-acyl derivs.
are markedly less active than Sch 44342, thereby demonstrating that the
spatial location of the N-acyl group in Sch 44342 is critical for binding of
the compound to FPT. In contrast to Sch 44342, the N-sulfonamido series is
a novel lead of nonsulphydryl, nonpeptidic compds. that are dual FPT/GGPT
inhibitors. In light of recent reports on the alternative prenylation of
N- and K-Ras, dual FPT/GGPT inhibitors may be required to control cell
proliferation in tumors containing activated Ras.
IT 183555-01-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation of azacycloalkylbenzocycloheptapyridines as farnesyl protein
transferase inhibitors)
RN 183555-01-3 CAPLUS
CN Benzamide, N-[(5-[(3E)-3-(8-chloro-5,6-dihydro-11H-
benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]sulfonyl]-2-
thienyl)methyl]- (CA INDEX NAME)

Double bond geometry as shown.



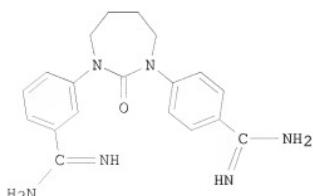
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 44 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:696746 CAPLUS
 DOCUMENT NUMBER: 128:3708
 ORIGINAL REFERENCE NO.: 128:803a,806a
 TITLE: N-(Amidinophenyl)-N'-substituted-3H-2,4-diazepin-3-one derivatives as factor Xa inhibitors
 INVENTOR(S): Maduskuie, Thomas Peter, Jr.; Gallemmo, Robert Anthony, Jr.; Dominguez, Celia; Quan, Mimi Lifen; Rossi, Karen Anita; Stouten, Petrus Fredericus Wilhelmus; Sun, Jung Hui; Wells, Brian Lloyd
 PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA
 SOURCE: PCT Int. Appl., 183 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9738984	A1	19971023	WO 1997-US6431	19970417
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5925635	A	19990720	US 1997-838246	19970416
CA 2251394	A1	19971023	CA 1997-2251394	19970417
AU 9727339	A	19971107	AU 1997-27339	19970417
EP 960104	A1	19991201	EP 1997-921242	19970417
EP 960104	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
NZ 332173	A	20000623	NZ 1997-332173	19970417
JP 20020530207	T	20020129	JP 1997-537374	19970417
AT 269312	T	20040715	AT 1997-921242	19970417
ES 2218677	T3	20041116	ES 1997-921242	19970417
MX 9808586	A	20000131	MX 1998-8586	19981016
US 6521614	B1	20030218	US 1999-305561	19990505
PRIORITY APPLN. INFO.:			US 1996-15684P	P 19960417
			US 1996-647127	A 19960509
			US 1997-42532P	P 19970401
			US 1997-838246	A 19970416
			WO 1997-US6431	W 19970417

OTHER SOURCE(S): MARPAT 128:3708

GI



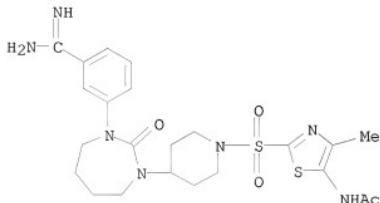
AB Title compds. and some related compds. were prepared for use as anticoagulants (no data). Thus, 3-NCC₆H₄NH₂ was treated with 4-NCC₆H₄NCO to give the urea which was cyclized with Br(CH₂)₄Br and subjected to aminolysis to give the diazepinone I.

IT 198824-29-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(amidinophenyl)-N'-substituted-3H-2,4-diazepin-3-one derivs. as factor Xa inhibitors)

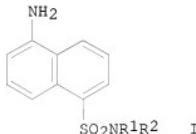
RN 198824-29-2 CAPLUS

CN Acetamide, N-[2-[(4-[3-[3-(aminoiminomethyl)phenyl]hexahydro-2-oxo-1H-1,3-diazepin-1-yl]-1-piperidinyl)sulfonyl]-4-methyl-5-thiazolyl]- (CA INDEX NAME)



L12 ANSWER 45 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:383542 CAPLUS
 DOCUMENT NUMBER: 127:4936
 ORIGINAL REFERENCE NO.: 127:1121a,1124a
 TITLE: Preparation of 5-aminonaphthalene-1-sulfonamides
 INVENTOR(S): Butenas, Saulius; Nedospasov, Andrej; Palaima,
 Algirdas; Stanulyte, Zita
 PATENT ASSIGNEE(S): Biochemijos Institutas, Lithuania
 SOURCE: Lith., 17 pp.
 CODEN: LIXXFS
 DOCUMENT TYPE: Patent
 LANGUAGE: Lithuanian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
LT 3911	B	19960425	LT 1993-1741	19931230
PRIORITY APPLN. INFO.:			LT 1993-1741	19931230
OTHER SOURCE(S):	CASREACT 127:4936; MARPAT 127:4936			
GI				

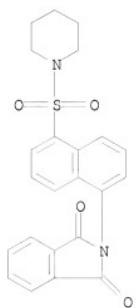


AB The title compds. [I; R1, R2 = H, C1-8 alkyl, CH₂CH₂OH, etc.; NR1R2 = piperidino, morpholino, hexamethyleneimino], were prepared by reaction of the 5-phthalimidonaphthalenesulfonyl chloride with the corresponding amines in the presence of Et₃N in Me₂CO followed by treatment of the resulting 5-phthalimidonaphthalenesulfonamides with N₂H₄.H₂O in MeOH.

IT 176976-69-5P
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 5-aminonaphthalene-1-sulfonamides)

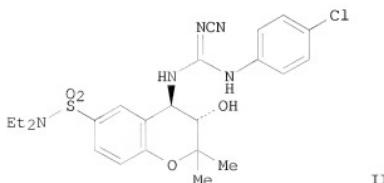
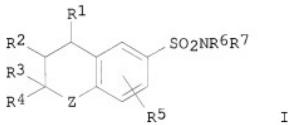
RN 176976-69-5 CAPLUS

CN Piperidine, 1-[(5-(1,3-dihydro-1,3-dioxo-2H-isindol-2-yl)-1-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 46 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:113299 CAPLUS
 DOCUMENT NUMBER: 126:117866
 ORIGINAL REFERENCE NO.: 126:22753a,22756a
 TITLE: Preparation of N-(4-chlorophenyl)-N'-(sulfonamido-4-benzopyranyl)-N''-cyanoguanidines and analogs as potassium channel activators
 INVENTOR(S): Ding, Charles Z.; Atwal, Karnail S.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: Eur. Pat. Appl., 55 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 747374	A1	19961211	EP 1996-109023	19960605
EP 747374	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5869478	A	19990209	US 1995-481007	19950607
AT 210650	T	20011215	AT 1996-109023	19960605
PT 747374	T	20020531	PT 1996-109023	19960605
ES 2169174	T3	20020701	ES 1996-109023	19960605
CA 2178353	A1	19961208	CA 1996-2178353	19960606
AU 9654762	A	19961219	AU 1996-54762	19960606
AU 714432	B2	20000106		
JP 09003035	A	19970107	JP 1996-146288	19960607
JP 3926868	B2	20070606		
PRIORITY APPLN. INFO.:			US 1995-481007	A 19950607
OTHER SOURCE(S):			CASREACT 126:117866; MARPAT 126:117866	
GI				



AB Title compds. [I; R1 = NR10C(:X)NR8R9, NR12Z1R13, etc.; R2 = H, OH, alkanoyloxy, etc.; R3,R4 = H or (ar)alkyl; R3R4 = atoms to complete a carbocyclic ring; R6,R7 = H, (un)substituted alkyl, aryl, etc.; NR6R7 = heterocyclyl; R5R6 = atoms to complete a ring; R8 = aryl(alkyl), heterocyclyl(alkyl); R9 = H or alkyl; R10 = H, alkyl, aryl(alkyl), etc.; R12 = aryl or heterocyclyl; R13 = H, CO2H, alkoxy carbonyl, CCONH2, etc.; X = NCN, O, S; Z = bond, CH2, CO, O, S, (alkyl)imino, etc.; Z1 = bond, alkylene] were prepared as potassium channel activators (no data). Thus, 4-BrC6H4OH was etherified by HOCHMe2C.tpbond.CH and the cyclized product converted in 5 steps to (3S-trans)-4-amino-N,N-diethyl-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-6-sulfonamide which was condensed with 4-C1C6H4NHC(:S)NHCl to give title compound II.

IT 186180-74-5P 186180-75-6P 186180-76-7P

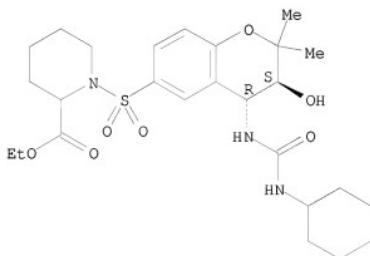
186180-90-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-(4-chlorophenyl)-N'-(sulfonamido-4-benzopyranyl)-N'''-cyanoguanidines and analogs as potassium channel activators)

RN 186180-74-5 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[(4-[(cyclohexylamino)carbonyl]amino)-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-6-ylsulfonyl]-, ethyl ester, [3S-(3 α ,4 β)]-[partial]- (9CI) (CA INDEX NAME)

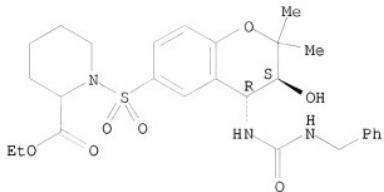
Absolute stereochemistry.



RN 186180-75-6 CAPLUS

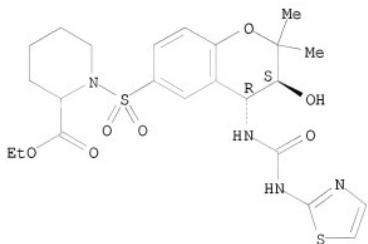
CN 2-Piperidinecarboxylic acid, 1-[[3,4-dihydro-3-hydroxy-2,2-dimethyl-4-[[[phenylmethyl]amino]carbonyl]amino]-2H-1-benzopyran-6-ylsulfonyl]-, ethyl ester, [3S-(3 α ,4 β)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



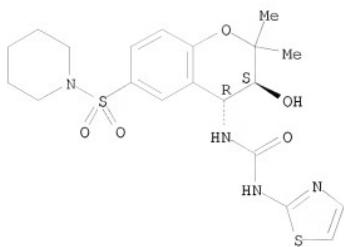
RN 186180-76-7 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[(3,4-dihydro-3-hydroxy-2,2-dimethyl-4-[(2-thiazolylamino)carbonyl]amino]-2H-1-benzopyran-6-ylsulfonyl]-, ethyl ester, [3S-(3 α ,4 β)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



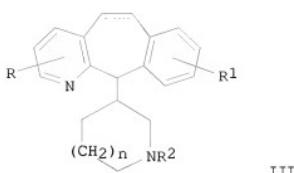
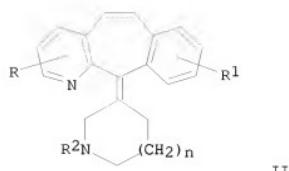
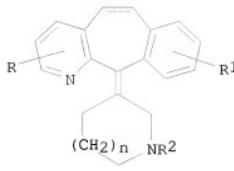
RN 186180-90-5 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-3-hydroxy-2,2-dimethyl-4-[(2-thiazolylamino)carbonyl]amino]-2H-1-benzopyran-6-ylsulfonyl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L12 ANSWER 47 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1996:708198 CAPLUS
 DOCUMENT NUMBER: 125:317330
 ORIGINAL REFERENCE NO.: 125:59134h,59135a
 TITLE: Tricyclic compounds useful for inhibition of G-protein function and for treatment of proliferative diseases
 INVENTOR(S): Afonso, Adriano; Kelly, Joseph M.; Wolin, Ronald L.
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630017	A1	19961003	WO 1996-US3306	19960320
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5684013	A	19971104	US 1995-410442	19950324
CA 2216291	A1	19961003	CA 1996-2216291	19960320
CA 2216291	C	20010605		
AU 9653072	A	19961016	AU 1996-53072	19960320
AU 708244	B2	19990729		
EP 814807	A1	19980107	EP 1996-909646	19960320
EP 814807	B1	20030507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 10505102	T	19980519	JP 1996-529429	19960320
JP 3001982	B2	20000124		
HU 9801396	A2	19990528	HU 1998-1396	19960320
HU 9801396	A3	20000328		
TW 473477	B	20020121	TW 1996-85103321	19960320
AT 239472	T	20030515	AT 1996-909646	19960320
ES 2198481	T3	20040201	ES 1996-909646	19960320
IL 117603	A	20010128	IL 1996-117603	19960321
US 5703090	A	19971230	US 1996-714023	19960911
US 5958939	A	19990928	US 1997-891849	19970710
PRIORITY APPLN. INFO.:			US 1995-410442	A 19950324
			US 1995-443617	B1 19950518
			WO 1996-US3306	W 19960320
OTHER SOURCE(S):	MARPAT	125:317330		
GI				



AB A method of inhibiting Ras function and therefore inhibiting cellular growth is disclosed. The method comprises the administration of I, II, or III [R, R1 = H, C1-6 alkyl, halo, OH, Cl1-6 alkoxy, NH2, C1-6 alkylamino, di((C1-6)alkyl)amino, CF3, SO3H, CO2R3, NO2, SO2NH2, CONHR4; R2 = R5C(O), R5CH2C(O), R5C(R6)2C(O), R5SO2, R5CH2SO2, R5SCH2C(O), R5OC(O), R5NHC(O), R5C(O)C(O), R4SC(O); R3 = C1-6 alkyl, aryl; R4 = C1-6 alkyl; R5 = C1-6 alkyl, aryl, aryl(C1-6)alkyl, aryl(C2-6)alkenyl, heteroaryl, heteroaryl(C1-6)alkyl, heteroaryl(C2-6)alkenyl, heterocycloalkyl; R6 = C1-6 alkyl, or both R4 groups together with the C to which they are attached form a C3-7 carbocyclic ring; n = 0, 1; dotted line = optional double bond] or pharmaceutically acceptable salts thereof. Preparation of compds. of the invention, as well as of intermediates, is described. Inhibition of farnesyl protein transferase and of tumor cell growth by compds. of the invention was determined. Active-compound tablet and capsule formulations are included.

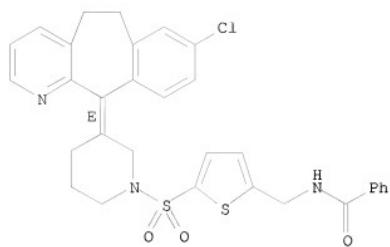
IT 183555-01-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tricyclic compound preparation for use in Ras inhibition, inhibition of G-protein function, and treatment of proliferative diseases)

RN 183555-01-3 CAPLUS

CN Benzamide, N-[{5-[(3E)-3-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]sulfonyl}-2-thienyl]methyl]- (CA INDEX NAME)

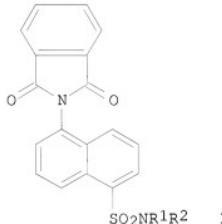
Double bond geometry as shown.



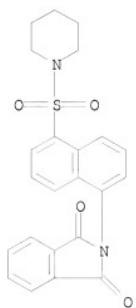
L12 ANSWER 48 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1996:323169 CAPLUS
 DOCUMENT NUMBER: 125:10613
 ORIGINAL REFERENCE NO.: 125:2329a,2332a
 TITLE: N-Substituted 5-phthalimidonaphthalene-1-sulfonamides as intermediates for preparation of N-substituted aminonaphthalenesulfonamides
 INVENTOR(S): Nedospasov, A. A.; Palajma, A. I.; Butenas, S. Yu.; Baranauskas, G. Yu.
 PATENT ASSIGNEE(S): Institut Biokhimii Litovskoj An, USSR
 SOURCE: U.S.S.R. From: Izobreteniya 1995, (28), 271.
 CODEN: URXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1706174	A3	19951010	SU 1989-4648605	19890208
PRIORITY APPLN. INFO.:			SU 1989-4648605	19890208

GI



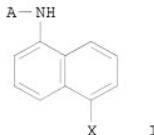
- AB Title compds. I [R1 = H, R2 = Me, Et, Bu, pentyl, octyl, cyclohexyl, 4-pyridinyl, CH2Ph; or NR1R2 = morpholino, NMe2, NET2, NPr2, NBu2, piperidino] are disclosed as intermediates for preparation of N-substituted aminonaphthalenesulfonamides.
- IT 176976-69-5P, 1-[(5-Phthalimido-1-naphthyl)sulfonyl]piperidine
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of phthalimidonaphthalenesulfonamides as intermediates for aminonaphthalenesulfonamides)
- RN 176976-69-5 CAPLUS
- CN Piperidine, 1-[[5-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 49 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1995:996548 CAPLUS
 DOCUMENT NUMBER: 124:146844
 ORIGINAL REFERENCE NO.: 124:27341a,27344a
 TITLE: 1-(L-Arginylamino)naphthalene-5-sulfonamide derivatives as intermediates for preparation of 1-(aminoacylamino)naphthalene-5-sulfonamides, useful as fluorescent reagents for enzyme assay of amidases
 INVENTOR(S): Nedospasov, A. A.; Nezavibatko, V. N.; Potaman, V. N.; Rodina, E. V.
 PATENT ASSIGNEE(S): Institut Molekulyarnoj Genetiki RAN, USSR
 SOURCE: U.S.S.R. From: Izobreteniya 1995, (14), 243-4.
 CODEN: URXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
----- SU 1822563	A3	19950520	SU 1986-4019225	19860210
PRIORITY APPLN. INFO.:			SU 1986-4019225	19860210

GI



AB Arginylaminonaphthalenesulfonamides I [A = Cbz-Arg-, H-Arg-; X = SO₂Z where Z = piperidino, morpholino, or Bu; or X = SO₂NHCH₂CH₂Z where Z = piperidino] are useful as intermediates for preparation of (aminoacylamino)naphthalenesulfonamides I [A = Tos-Gly-Pro-Arg-; X = as above]. The latter are useful as fluorescent reagents for anal. of enzymes showing amidase activity.

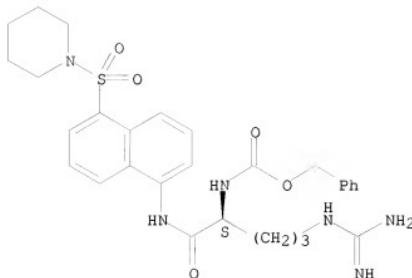
IT 121720-66-9P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent (intermediate; arginylaminonaphthalenesulfonamide derivs. as intermediates for fluorescent reagents for amidase assay)

RN 121720-66-9 CAPLUS

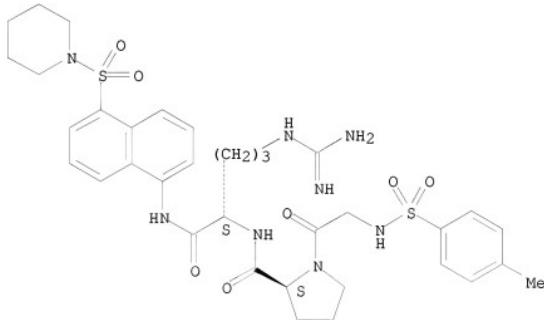
CN Carbanic acid, [4-[(aminoiminomethyl)amino]-1-[[[5-(1-piperidinylsulfonyl)-1-naphthalenyl]amino]carbonyl]butyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



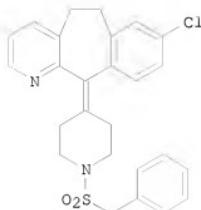
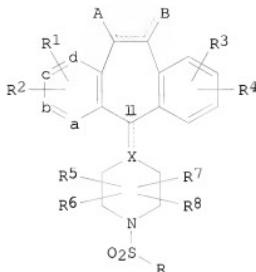
IT 121740-94-1P
 RL: ARG (Analytical reagent use); IMF (Industrial manufacture); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
 (reagent; arginylaminonaphthalenesulfonamide derivs. as intermediates for fluorescent reagents for amidase assay)
 RN 121740-94-1 CAPLUS
 CN L-Arginylamino-, N-[(4-methylphenyl)sulfonyl]glycyl-L-prolyl-N-[5-(1-piperidinylsulfonyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

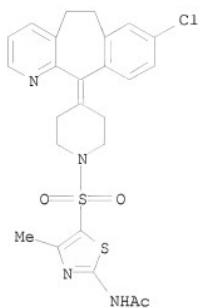


L12 ANSWER 50 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1995:797285 CAPLUS
 DOCUMENT NUMBER: 123:198824
 ORIGINAL REFERENCE NO.: 123:35501a,35504a
 TITLE: Preparation of tricyclic sulfonamide inhibitors of farnesyl protein transferase for the treatment of cell proliferative diseases
 INVENTOR(S): Bishop, W. Robert; Doll, Ronald J.; Mallams, Alan K.; Njoroge, F. George; Petrin, Joanne M.; Piwinski, John J.
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: PCT Int. Appl., 82 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9510514	A1	19950420	WO 1994-US11390	19941012
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, UZ, VN				
RW: KE, MM, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2173963	A1	19950420	CA 1994-2173963	19941012
CA 2173963	C	20020319		
AU 9479702	A	19950504	AU 1994-79702	19941012
AU 698960	B2	19981112		
ZA 9407969	A	19960712	ZA 1994-7969	19941012
EP 723539	A1	19960731	EP 1994-930649	19941012
EP 723539	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08510445	T	19961105	JP 1994-518410	19941012
JP 2875392	B2	19990331		
HU 76057	A2	19970630	HU 1996-957	19941012
AT 210653	T	20011215	AT 1994-930649	19941012
ES 2164717	T3	20020301	ES 1994-930649	19941012
US 5661152	A	19970826	US 1995-444996	19950519
PRIORITY APPLN. INFO.:			US 1993-137856	A 19931015
			US 1994-312350	B1 19940926
			WO 1994-US11390	W 19941012
OTHER SOURCE(S):	MARPAT	123:198824		
GI				



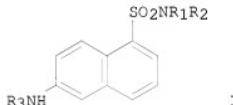
- AB** The title compds. [I; A, B = H, alkyl, aryl, OH, alkoxy, aryloxy, halogen, etc.; 1 of a, b, c, d = N, NR9 and the remainder are CR1, CR2; R9 = O-, Me, (CH₂)_nCO₂H; n = 1-3; R1-R4 = H, benzotriazol-1-yloxy, halogen, CF₃, etc.; R = alkyl, (un)substituted Ph, (un)substituted bridged polycyclic hydrocarbon, heteroaryl, alkenyl, etc.; R5-R8 = H, CF₃, COR10, (un)substituted alkyl, (un)substituted aryl, etc.; X = N, C (with an optional double bond to carbon number 11); the dotted lines represent optional double bonds; etc.], useful as inhibitors of farnesyl protein transferase and geranylgeranyl protein transferase for the treatment of cell proliferative diseases, are prepared and I-containing formulations presented. Thus, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclopenta[1,2-b]pyridin-11-ylidene)piperidine (sic) was amidated with PhSO₂Cl, producing 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-(phenylmethylsulfonyl)-1-piperidine, II.
- IT** 167891-74-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic sulfonamide inhibitors of farnesyl protein transferase for the treatment of cell proliferative diseases)
- RN** 167891-74-9 CAPLUS
- CN** Acetamide, N-[5-[(4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl)sulfonyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)



L12 ANSWER 51 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1995:638401 CAPLUS
 DOCUMENT NUMBER: 123:28606
 ORIGINAL REFERENCE NO.: 123:5204h,5205a
 TITLE: 6-Peptidylamino-1-naphthalenesulfonamides useful as
 fluorogenic proteolytic enzyme substrates
 INVENTOR(S): Butenas, Saulius; Lawson, Jeffrey H.; Mann, Kenneth G.
 PATENT ASSIGNEE(S): Haematologic Technologies, Inc., USA
 SOURCE: U.S., 21 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5399487	A	19950321	US 1993-27294	19930304
PRIORITY APPLN. INFO.:			US 1993-27294	19930304
OTHER SOURCE(S):	CASREACT	123:28606; MARPAT	123:28606	

GI



AB I (R1=H, lower alkyl, alkenyl, alkynyl, cycloalkyl, alkylcycloalkyl, cycloalkylalkyl, phenylalkyl; R2=H, alkyl, alkenyl, alkynyl, cycloalkyl, alkylcycloalkyl, cycloalkylalkyl, or phenylalkyl; or NR1 R2 forms a nitrogen heterocycle; and R3=H, amino acid, peptide residue) and its pharmaceutically acceptable non-toxic salts are provided as substrates in assays for determining proteolytic enzyme activity or as enzyme inhibitors. Methods for determining proteolytic enzyme activity using I are also described. Chemical synthesis of 6-amino-1-naphthalenesulfonamides, 6-L-arginylamino-1-naphthalenesulfonamide hydrobromides, methanesulfonyl-D-leucinyl-glycine, and 6-peptidylamino-1-naphthalenesulfonamides was demonstrated. Fluorogenic assay of proteolytic enzymes such as factor VIIa, plasminogen activator, urokinase, etc., was also shown.

IT 141929-76-2P

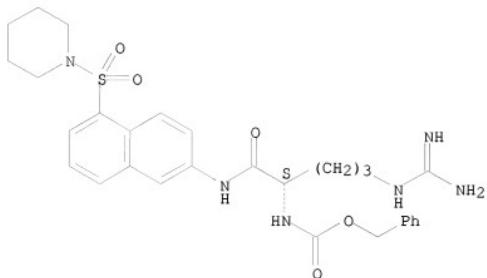
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediates for preparation of 6-L-arginylamino-1-naphthalenesulfonamide hydrobromides as proteinase substrate)

RN 141929-76-2 CAPLUS

CN Carbanic acid, [4-[(aminoiminomethyl)amino]-1-[[[5-(1-piperidinylsulfonyl)-2-naphthalenyl]amino]carbonyl]butyl]-, phenylmethyl ester, monohydrobromide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HBr

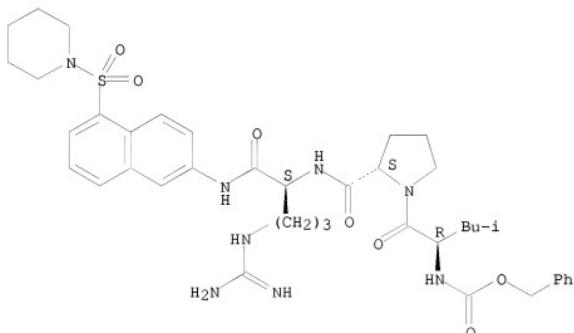
IT 164153-29-1P 164153-30-4P

RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(preparation of 6-peptidylamino-1-naphthalenesulfonamides as proteinase substrate for fluorogenic enzyme assay)

RN 164153-29-1 CAPLUS

CN L-Argininamide, N-[(phenylmethoxy)carbonyl]-D-leucyl-L-prolyl-N-[5-(1-piperidinylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

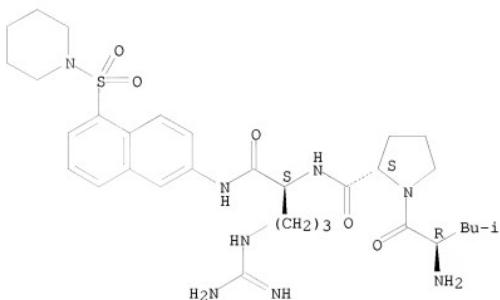


RN 164153-30-4 CAPLUS

CN L-Argininamide, D-leucyl-L-prolyl-N-[5-(1-piperidinylsulfonyl)-2-

naphthalenyl]-, dihydrobromide (9CI) (CA INDEX NAME)

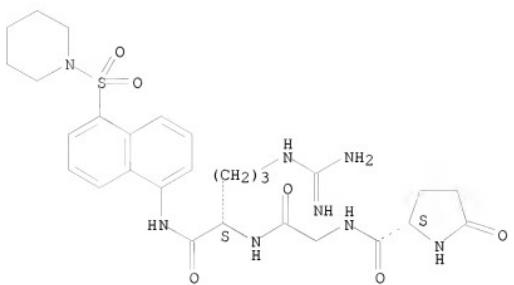
Absolute stereochemistry.



●2 HBr

L12 ANSWER 52 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:207105 CAPLUS
DOCUMENT NUMBER: 122:49696
ORIGINAL REFERENCE NO.: 122:9481a,9484a
TITLE: ANSA-analysis. VI. Activation, inhibition and interaction of proteases in enzyme mixtures
AUTHOR(S): Cherkasov, A. V.; Nedospasov, V. A.; Yakhimovich, A. D.; Nedospasov, A. A.
CORPORATE SOURCE: Inst. Mol. Genet., Moscow, 123182, Russia
SOURCE: Biokhimiya (Moscow) (1994), 59(10), 1574-88
CODEN: BIOHAO; ISSN: 0320-9725
PUBLISHER: Nauka
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB A new accurate method (ANSA-anal.) is used for studying the interactions of proteases with their inhibitors or other proteases. The method is based on the cleavage by proteases of mixts. of competing chromogenic substrates containing aminonaphthalenesulfonamide (ANSA) detectable groups. Each substrate contained a specifically substituted ANSA group which showed its specific retention time during chromatog. separation. For the anal. of blood coagulation, mixts. of blood-clotting factor substrates were used. Hydrolysis of the substrate mixt. catalyzed by blood samples gave characteristic chromatograms (ANSA spectra) for each sample. The activation time before injection of the blood sample into the substrate mixture and the pool of clotting factors and inhibitors both had influence upon the ANSA spectrum. The ANSA spectra of mixts. of trypsin and/or chymotrypsin with snake venoms are described as A + (the ANSA spectrum of a protease) + B + (the ANSA spectrum of a venom) + C + (the ANSA spectrum of catalytically active interaction products). They are additive ($A = B = 1$, $C = 0$), if no proteolysis, inhibition or activation takes places. ANSA spectra anal. shows deviations from additivity for some mixts. of Viperidae (including E. carinatus), Naja naja, Agkistrodon contortrix and A. halys venoms. Explanations for the inability to detect inhibitors in venoms having a high protease activity by previously used methods are given.
IT 160176-46-5
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(in proteinase determination in enzyme mixts.)
RN 160176-46-5 CAPLUS
CN L-Argininamide, 5-oxo-L-prolylglycyl-N-[5-(1-piperidinylsulfonyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 53 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:207103 CAPLUS

DOCUMENT NUMBER: 122:75200

ORIGINAL REFERENCE NO.: 122:14163a,14166a

TITLE: ANSA-analysis. IV. Specificity spectra in characterization of proteases and their mixtures

AUTHOR(S): Rodina, E. V.; Cherkasov, A. V.; Nedospasov, A. A.

CORPORATE SOURCE: Inst. Mol. Genet., Moscow, 123182, Russia

SOURCE: Biokhimiya (Moscow) (1994), 59(10), 1544-59

CODEN: BIOHAO; ISSN: 0320-9725

PUBLISHER: Nauka

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB ANSA-anal. was used for characterization of proteases and their mixts., such as snake venoms. The method is based on the cleavage by proteases of mixts. of competing chromogenic substrates containing substituted aminonaphthalenesulfonamide (ANSA) detectable groups. All detectable ANSA groups in the substrate mixts. have nonidentical modifiers, one or two substituents in the sulfonamide fragment, and can be determined by chromatog. methods. To identify venoms, a mixture of six peptide substrates cleaved at the Arg-ANSA bond was proposed. Hydrolysis of this substrate mixture catalyzed by the venoms of different Crotalidae and Viperidae species gave characteristic chromatograms (ANSA spectra) for each tested sample. A method for quant. description of differences in AnSA spectra has been proposed. Each ANSA spectrum can be presented as a vector going from the origin of the coordinated axes to a point in an n-dimensional space (n is the number of assayed ANSA products of proteolysis) with peak squares of corresponding ANSA as coordinates. The similarity between two ANSA spectra will then be characterized by angle between their vectors.

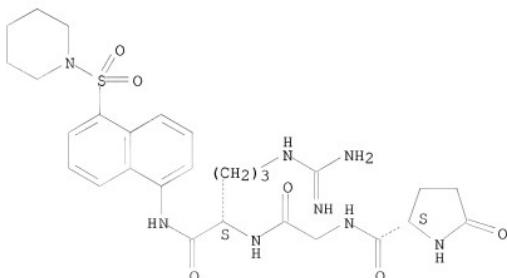
IT 160176-46-5

RL: ARG (Analytical reagent use); BSU (Biological study, unclassified);
 ANST (Analytical study); BIOL (Biological study); USES (Uses)
 (proteinase and proteinase mixts. determination with)

RN 160176-46-5 CAPLUS

CN L-Argininamide, 5-oxo-L-prolylglycyl-N-[5-(1-piperidinylsulfonyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/070,954

L12 ANSWER 54 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:207101 CAPLUS

DOCUMENT NUMBER: 122:75310

ORIGINAL REFERENCE NO.: 122:14187a,14190a

TITLE: ANSA-analysis. II. Aminonaphthalenesulfonamides as detectable groups for polysubstrate analysis of proteases

AUTHOR(S): Trushkin, A. M.; Kazantsev, A. G.; Kuznetsov, N. V.; Yakhimovich, A. D.; Moguchaya, G. Yu.; Rodina, E. V.; Gridneva, N. A.; Sharina, I. G.; Nedospasov, A. A.

CORPORATE SOURCE: Inst. Mol. Genet., Moscow, 123182, Russia

SOURCE: Biokhimiya (Moscow) (1994), 59(10), 1521-34

CODEN: BIOHAO; ISSN: 0320-9725

PUBLISHER: Nauka

DOCUMENT TYPE: Journal

LANGUAGE: Russian

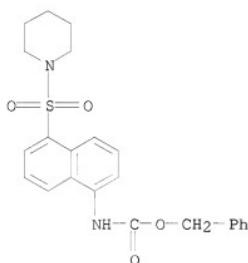
AB The properties and synthetic methods of aminonaphthalenesulfonamides (ANSA) used as detectable groups of protease substrates are described. A list of chemical and phys. properties of seventeen 5,1-ANSA with simple substituents is presented. A comparison of condition for the introduction and removal of acyl protecting groups (acetyl, trifluoroacetyl, phthaloyl, carbobenzoxy) used in ANSA synthesis is given. Examples of applicability of nitronaphthalenesulfonamides as intermediate compds. are given. The possibility of ANSA alkylation at both N(C) and N(S) is demonstrated. Substituted ANSA - sulfonylaziridines - are used for the production of water-soluble derivs. containing the alkoxy group in the sulfonamide fragment. Criteria for the selection of detectable groups for polysubstrate anal. are discussed. Eighteen typical procedures for ANSA synthesis according to the schemes discussed are presented.

IT 160206-28-0P

RL: ARG (Analytical reagent use); RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (aminonaphthalenesulfonamides as detectable groups for polysubstrate anal. of proteinases)

RN 160206-28-0 CAPLUS

CN Carbamic acid, [5-(1-piperidinylsulfonyl)-1-naphthalenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



10/070,954

L12 ANSWER 55 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:211243 CAPLUS

DOCUMENT NUMBER: 120:211243

ORIGINAL REFERENCE NO.: 120:37305a,37308a

TITLE: New 6-aminonaphthalene-1-alkylsulfamide-based fluorogenic substrates for proteolytic enzymes

AUTHOR(S): Butenas, S.; Talaikyte, Z.; Palaima, A.

CORPORATE SOURCE: Lithuania

SOURCE: Chemija (1993), (1), 42-6

CODEN: CHMJE5; ISSN: 0235-7216

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The hydrobromides of alkyl-substituted 6-(N_α-benzyloxycarbonyl-L-arginylamido)naphthalene-1-sulfamides (Z-Arg-ANSA) and 6-(N-benzyloxycarbonyl-glycyl-glycyl-L-arginylamido) naphthalene-1-sulfamides (Z-Gly-Gly-Arg-ANSA) were synthesized, and their spectral properties and kinetic consts. (kcat and Km) for the trypsin-catalyzed hydrolysis were established. The appearance of 6-aminonaphthalene-1-sulfamides can be measured fluorometrically at their excitation and emission maxima. The kcat and Km of the reaction of Z-Arg-ANSA depend on the nature and the number of the substituents in a sulfamide group. In the case of Z-Gly-Gly-Arg-ANSA this dependence is negligible, and kcat/Km is more than ten times higher than this parameter for Z-Arg-ANSA. Peptide derivs. of ANSA are proposed to be used in the anal. of proteolytic enzymes.

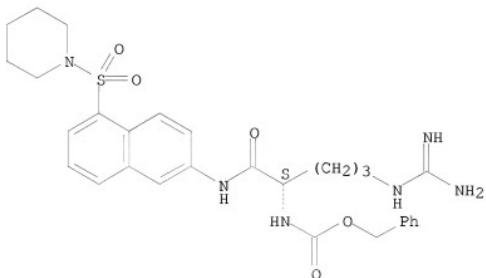
IT 141929-76-2P 145194-71-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reaction kinetics with trypsin)

RN 141929-76-2 CAPLUS

CN Carbamic acid, [4-[(aminociminomethyl)amino]-1-[[[5-(1-piperidinylsulfonyl)-2-naphthalenyl]amino]carbonyl]butyl]-, phenylmethyl ester,
monohydrobromide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

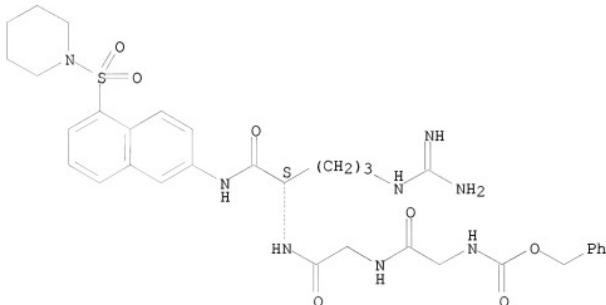


● HBr

RN 145194-71-4 CAPLUS

CN L-Argininamide, N-(phenylmethoxy)carbonyllglycylglycyl-N-[5-(1-piperidinylsulfonyl)-2-naphthalenyl]-, monohydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HBr

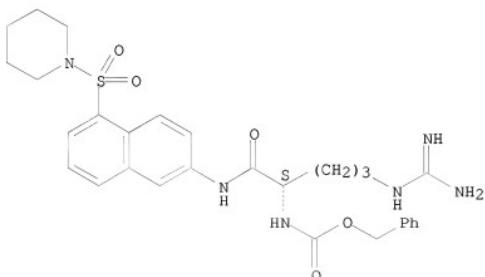
IT 153982-28-6 153982-35-5

RL: BIOL (Biological study)
(reaction kinetics with trypsin)

RN 153982-28-6 CAPLUS

CN Carbamic acid, [4-[(aminoiminomethyl)amino]-1-[[[5-(1-piperidinylsulfonyl)-2-naphthalenyl]amino]carbonyl]butyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

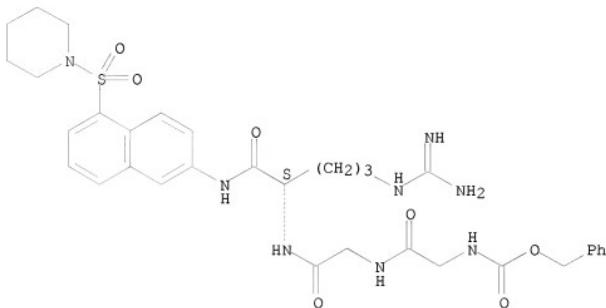
Absolute stereochemistry.



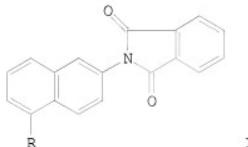
RN 153982-35-5 CAPLUS

CN L-Argininamide, N-[(phenylmethoxy)carbonyl]glycylglycyl-N-[5-(1-piperidinylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 56 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1993:516913 CAPLUS
 DOCUMENT NUMBER: 119:116913
 ORIGINAL REFERENCE NO.: 119:21015a,21018a
 TITLE: Synthesis of substituted 6-aminonaphthalene-1-sulfamides
 AUTHOR(S): Palaima, A.; Butenas, S.; Talaikyte, Z.
 CORPORATE SOURCE: Inst. Biokhim., Lithuania
 SOURCE: Chemija (1991), (3), 144-53
 CODEN: CHMJE5; ISSN: 0235-7216
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 OTHER SOURCE(S): CASREACT 119:116913
 GI



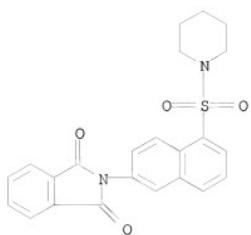
AB Treating the amine group in 6-H₂NC₁₀H₆SO₃H or its Na or ammonium salts with phthalic anhydride in refluxing pyridine afforded directly the pyridinium salt of phthalimide derivative I (R = SO₃⁻.HNC₅H₅⁺) in 63, 54, and 46% yields, resp. Subsequent reaction with PC15 afforded I (R = SO₂C₁), which upon reaction with amines afforded sulfamides I (R = SO₂NR₁R₂; R₁ = e.g., H, alkyl; R₂ = alkyl; NR₁R₂ = e.g., morpholino). Deprotection was carried out by hydrazinolysis in MeOH, to afford 6-H₂NC₁₀H₆SO₂NR₁R₂ (II). The fluorescence of II suggested these compds. may be applied as fluorogenic groups for peptide substrates.

IT 145045-52-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydrazinolysis of)

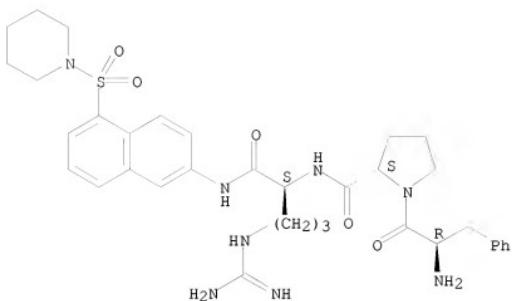
RN 145045-52-9 CAPLUS

CN Piperidine, 1-[(6-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)

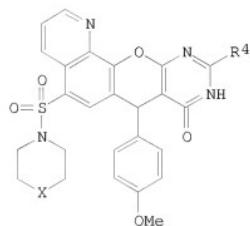
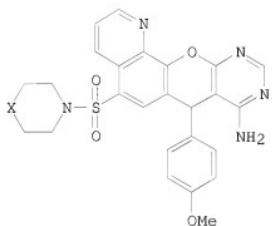
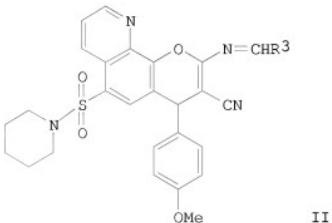
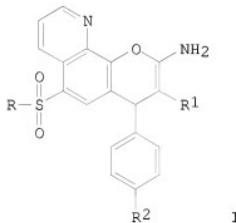


L12 ANSWER 57 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:511965 CAPLUS
DOCUMENT NUMBER: 119:111965
ORIGINAL REFERENCE NO.: 119:20029a,20032a
TITLE: Synthetic substrates for human factor VIIa and factor VIIa-tissue factor
AUTHOR(S): Butenas, Saulius; Ribarik, Natalie; Mann, Kenneth G.
CORPORATE SOURCE: Dep. Biochem., Univ. Vermont, Burlington, VT, 05405,
USA
SOURCE: Biochemistry (1993), 32(26), 6531-8
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 100 tripeptide fluorogenic substrates has been synthesized (no data). These substrates contain Arg in the P1 position, various amino acids in the P2 and P3 positions, and different 6-amino-1-naphthalenesulfonamides (ANSN) as the detecting group (P'). The 38 compds. possessing the highest initial rates of factor VIIa hydrolysis were evaluated for substrate kinetic parameters in the presence and absence of tissue factor (TF) and by factor Xa. Most of these substrates had a higher kcat/KM (keff) value for the factor VIIa-TF complex than for factor Xa. Substitution of different amino acids in the P2 position showed that substrates with bulkier amino acids such as Leu, Pro, and Val have higher values for KM and kcat than do those with smaller amino acids (Gly or Ser). The highest second-order rate consts. were found for substrates with Val or Pro in the P2 position. A decrease or increase in volume of the P2 substituent (Gly, Ser, or Leu) resulted in a decrease in this constant. Substrates with the highest keff values have Phe in the P3 position. As the hydrophobicity and volume of the amino acid in the P3 position decreased, the keff was reduced. The efficiency of substrates for hydrolysis by factor VIIa was enhanced by an increase of hydrophobicity in the P' structure. TF enhanced the amidolytic activity of the family of 38 substrates with ANSN in the P' position on an average of 58-fold.
IT 149204-60-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with blood-coagulation factor VIIa and factor VIIa-tissue factor complex of human, kinetics of, structure in relation to)
RN 149204-60-4 CAPLUS
CN L-Argininamide, D-phenylalanyl-L-prolyl-N-[5-(1-piperidinylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 58 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1993:59668 CAPLUS
 DOCUMENT NUMBER: 118:59668
 ORIGINAL REFERENCE NO.: 118:10707a,10710a
 TITLE: Nitriles in heterocyclic synthesis. Part II.
 Synthesis and application of pyrano[3,2-h]quinoline sulfonamide derivatives.
 AUTHOR(S): Abdel Hafez, Ali A.
 CORPORATE SOURCE: Fac. Sci., Assiut Univ., Assiut, Egypt
 SOURCE: Journal of Chemical Technology and Biotechnology (1992), 55(2), 95-101
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 118:59668
 GI



AB 5-Sulfonamido-8-quinolinol reacts with cinnamonic nitrile derivs. in the presence of a basic catalyst to give pyrano[3,2-h]quinoline sulfonamide derivs. I ($R = \text{piperidino}$, morpholino , NHPh , NHMe , NMe_2 , NET_2 , $R1 = \text{CN}$, CO_2Et , $R2 = \text{Ph}$, $C_6\text{H}_4\text{OMe}-4$). The reaction of I ($R = \text{morpholino}$, piperidino, $R1 = \text{CN}$, $R2 = C_6\text{H}_4\text{OMe}-4$) with some reagents such as tri-Et orthoformate gave imines II ($R3 = \text{OEt}$, NNH_2H_2), while acetic anhydride/pyridine mixture, formamide and formic acid/formamide mixture gave the fused heterotetracyclic systems pyrimido[4',5':6,5]pyrano[3,2-

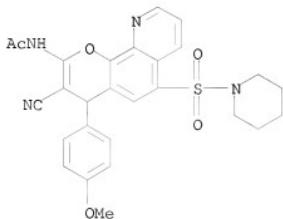
h]quinolinesulfonamide derivs. III (X = O, CH₂) and IV (R₄ = H, Me, X = O, CH₂). The structures of all newly synthesized compds. were confirmed by elemental analyses and spectral data. These compds. showed antimicrobial activity against some selected bacteria in vitro.

IT 145327-23-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)

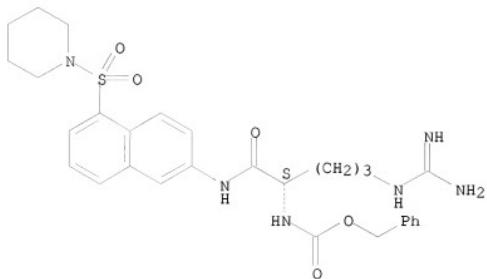
RN 145327-23-7 CAPLUS

CN Acetamide, N-[3-cyano-4-(4-methoxyphenyl)-6-(1-piperidinylsulfonyl)-4H-pyranos[3,2-h]quinolin-2-yl]- (CA INDEX NAME)



L12 ANSWER 59 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1993:34938 CAPLUS
 DOCUMENT NUMBER: 118:34938
 ORIGINAL REFERENCE NO.: 118:6283a,6286a
 TITLE: Substituted 6-aminoaphthalene-1-sulfamides as fluorogenic leaving groups of synthetic protease substrates
 AUTHOR(S): Talaikyte, Z.; Butenas, S.; Palaima, A.
 CORPORATE SOURCE: Inst. Biochem., Vilnius, Lithuania
 SOURCE: Bioorganicheskaya Khimiya (1992), 18(6), 828-36
 CODEN: BIKHD7; ISSN: 0132-3423
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB Alkyl substituted 6-aminonaphthalene-1-sulfamides (ANSA), hydrobromides of substituted 6-(*N*-benzyloxycarbonyl-L-arginyl)aminonaphthalene-1-sulfamides (Z-Arg-ANSA) and hydrobromides of 6-(benzyloxycarbonylglycylglycyl-L-arginyl)aminonaphthalene-2-sulfamides (Z-Gly-Gly-Arg-ANSA) are synthesized and their absorption and emission spectra measured. ANSA have an emission band at 470-480 nm, comparable or exceeding in intensity that of compds. used as fluorogenic leaving groups in peptide cleavage reactions. The bands of Z-Arg-ANSA and Z-Gly-Gly-ANSA are shifted to the short-wave side and do not overlap with ANSA's emission band. Reactions of Z-Arg-ANSA and Z-Gly-Gly-Arg-ANSA with trypsin were studied. The kinetic parameters (kcat and Km) of the reaction of Z-Arg-ANSA were found to depend on the nature and the number of substituents in the sulfamide. In the case of Z-Gly-Gly-Arg-ANSA, this dependence is negligible and kcat/Km exceeds by over ten times this parameter of Z-Arg-ANSA. ANSA can apparently be used in the synthesis of fluorogenic substrates of proteases.
 IT 141929-76-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and deprotection and reaction with trypsin of)
 RN 141929-76-2 CAPLUS
 CN Carbanic acid, [4-[(aminoiminomethyl)amino]-1-[[[5-(1-piperidinylsulfonyl)-2-naphthalenyl]aminocarbonyl]butyl], phenylmethyl ester,
 monohydrobromide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



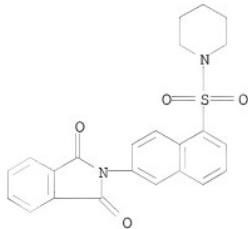
● HBr

IT 145045-52-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydrazinolysis of)

RN 145045-52-9 CAPLUS

CN Piperidine, 1-[(6-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)



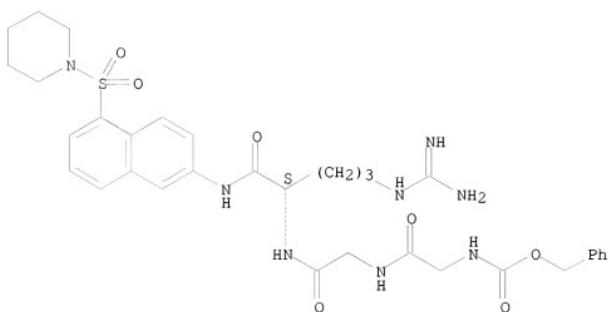
IT 145194-71-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction with trypsin of)

RN 145194-71-4 CAPLUS

CN L-Argininamide, N-[(phenylmethoxy)carbonyllglycylglycyl-N-[5-(1-piperidinylsulfonyl)-2-naphthalenyl]-, monohydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HBr

L12 ANSWER 60 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:545935 CAPLUS

DOCUMENT NUMBER: 117:145935

ORIGINAL REFERENCE NO.: 117:25189a,25192a

TITLE: Aminonaphthalenesulfonamides, a new class of modifiable fluorescent detecting groups and their use in substrates for serine protease enzymes

AUTHOR(S): Butenas, Saulius; Orfeo, Thomas; Lawson, Jeffrey H.; Mann, Kenneth G.

CORPORATE SOURCE: Coll. Med., Univ. Vermont, Burlington, VT, 05405, USA
SOURCE: Biochemistry (1992), 31(23), 5399-411

DOCUMENT TYPE: CODEN: BICBHW; ISSN: 0006-2960

LANGUAGE: Journal

English

AB A series of new compds., 6-amino-1-naphthalenesulfonamides (ANSN), were used as fluorescent detecting groups for substrates of amidases. These compds. have a high quantum fluorescent yield, and the sulfonyl moiety permits a large range of chemical modification. Fifteen ANSN substrates with the structure (Na-Z)Arg-ANSN(R2) were synthesized and evaluated for their reactivity with 8 proteases involved in blood coagulation and fibrinolysis. Thrombin, activated protein C, (APC) and urokinase (u-PA) rapidly hydrolyzed substrates with monosubstituted sulfonamide moieties (R1 = H). The maximum rate of substrate hydrolysis for acyclic substituents was observed when R2 = C4H9 (n-Bu homolog). The hydrolysis rates for substrates with branched substituents were slower than their linear analogs. Monosubstituted (Na-Z)Arg-ANSN(R2) possessing cyclohexyl or benzyl groups in the sulfonamide moiety were hydrolyzed by these 3 enzymes at rates similar to that of the n-Bu homolog (except the cyclohexyl compound for u-PA). Blood-coagulation factor Xa rapidly hydrolyzed substrates with short alkyl chains, especially when R1= R2 = CH3 or C2H5. Lys-plasmin and recombinant tissue-type plasminogen activator (rt-PA) demonstrated low activity with these compds., and the best results were accomplished for monosubstituted compds. when R2 = benzyl (for both enzymes). Blood-coagulation factors VIIa and IXa β exhibited no activity with these substrates. A series of 14 peptidyl ANSN substrates were synthesized, and their reactivity for the same 8 enzymes was evaluated. Thrombin, factor Xa, APC, and Lys-plasmin hydrolyzed all of the substrates investigated. Urokinase, rt-PA, and factor IXa β exhibited reactivity with a more limited group of substrates, and factor VIIa hydrolyzed only 1 compound [MesD-LGR-ANSN(C2H5)2] (Mes = mesyl). The substrate ZGGRR-ANSNH(cyclo-H6H11) showed considerable specificity for APC in comparison with other enzymes (kcat/Km = 19,300 M-1 s-1 for APC, 1560 for thrombin, and 180 for factor Xa). This kinetic advantage in substrate hydrolysis was utilized to evaluate the activation of protein C by thrombin in a continuous assay format. Substrate (D-LPT-ANSN(CH2)5) was used to evaluate factor IX activation by the factor VIIa/tissue factor enzymic complex in a discontinuous assay. A comparison between the com. available substrate, Chromozym TH (p-nitroanilide) and the ANSN substrate with the same peptide sequence (TosGPR; Tos = tosyl) demonstrated that aminonaphthalenesulfonamide increased the specificity (kcat/Km) of substrate hydrolysis by thrombin >30-fold, with respect to factor Xa substrate hydrolysis.

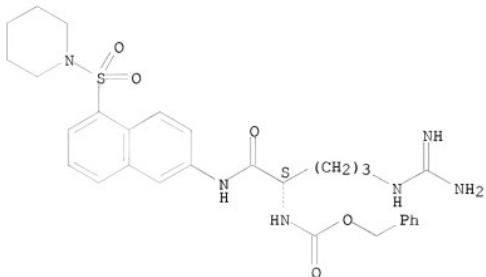
IT 141929-76-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with serine proteinases)

RN 141929-76-2 CAPLUS

CN Carbamic acid, [4-[(aminoiminomethyl)amino]-1-[[[5-(1-piperidinylsulfonyl)-2-naphthalenyl]amino]carbonyl]butyl-, phenylmethyl ester, monohydrobromide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



HBr

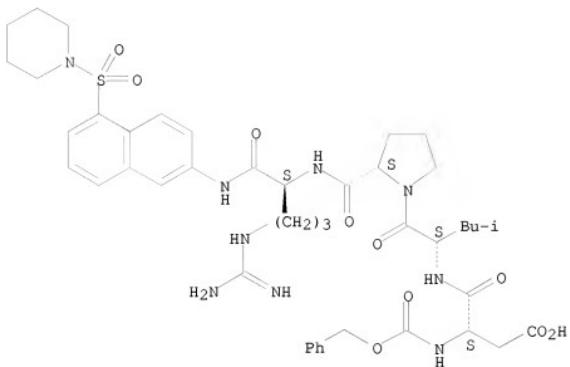
IT 141929-99-9P 141930-00-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as substrate for serine proteinases)

RN 141929-99-9 CAPLUS

CN L-Argininamide, N-[(phenylmethoxy)carbonyl]-L- α -aspartyl-L-leucyl-L-prolyl-N-[5-(1-piperidinylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

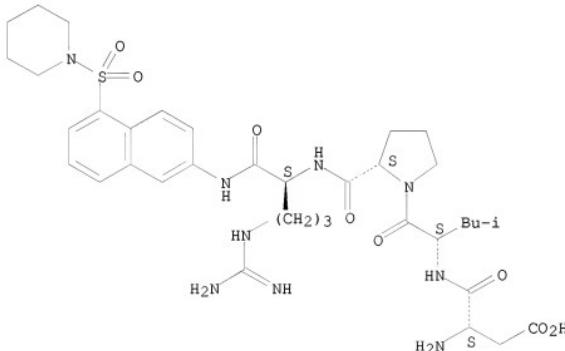


RN 141930-00-9 CAPLUS

CN L-Argininamide, L- α -aspartyl-L-leucyl-L-prolyl-N-[5-(1-piperidinylsulfonyl)-2-naphthalenyl]-, dihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



10/070,954

PAGE 2-A

●2 HBr

L12 ANSWER 61 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:453023 CAPLUS

DOCUMENT NUMBER: 111:53023

ORIGINAL REFERENCE NO.: 111:8933a,8936a

TITLE: Screening of artificial substrates for proteinases

AUTHOR(S): Nedospasov, A. A.; Potaman, V. N.; Rodina, E. V.

CORPORATE SOURCE: Inst. Mol. Gen., Moscow, USSR

SOURCE: Bioorganicheskaya Khimiya (1989), 15(4), 444-52

CODEN: BIKHD7; ISSN: 0132-3423

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB A method of screening of proteinase substrates is proposed. An equimolar mixture of substrates consisting of peptide and easily detectable chromophore moieties (all chromophores in the mixture must be different) is subjected to enzymic treatment. The cleaved chromophore groups, which are products of the substrate proteolysis, are quant. determined by chromatog. The k_{cat}/K_m ratio is greater for substrates with higher initial rate accumulation of proteolysis products. The method is illustrated by screening of peptide derivs. of aminonaphthalene sulfonamides for trypsin assay. Proteolysis products are determined by HPLC with absorption detection or by TLC with fluorescence detection.

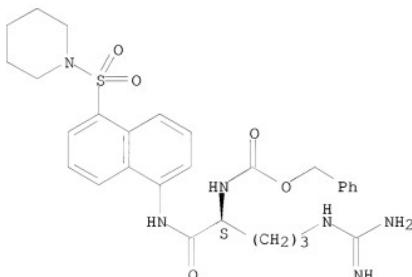
IT 121720-66-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reaction kinetics with proteinase)

RN 121720-66-9 CAPLUS

CN Carbanic acid, [4-[(aminoiminomethyl)amino]-1-[[[5-(1-piperidinylsulfonyl)-1-naphthalenyl]amino]carbonyl]butyl-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



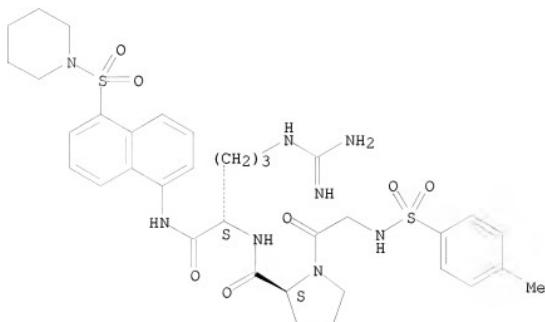
IT 121740-94-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and screening as proteinase substrates, chromophore group in relation to)

RN 121740-94-1 CAPLUS

CN L-Argininamide, N-[4-(methylphenyl)sulfonyl]glycyl-L-prolyl-N-[5-(1-piperidinylsulfonyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 62 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1976:44174 CAPLUS
 DOCUMENT NUMBER: 84:44174
 ORIGINAL REFERENCE NO.: 84:7264h,7265a
 TITLE: Hexahydro(1,3,4-thiadiazol-2-yl)triazinone derivatives
 INVENTOR(S): Rathgeb, Paul
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: Ger. Offen., 24 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2514228	A1	19751016	DE 1975-2514228	19750401
CH 588208	A5	19770531	CH 1974-4689	19740403
NL 7503751	A	19751007	NL 1975-3751	19750327
FR 2266702	A1	19751031	FR 1975-10098	19750401
US 4020065	A	19770426	US 1975-564017	19750401
CA 1065862	A1	19791106	CA 1975-223489	19750401
BE 827460	A1	19751002	BE 1975-155004	19750402
JP 50135231	A	19751027	JP 1975-40228	19750402
ZA 7502078	A	19760225	ZA 1975-2078	19750402
GB 1498200	A	19780118	GB 1975-13510	19750402
PRIORITY APPLN. INFO.:			CH 1974-4689	A 19740403

GI For diagram(s), see printed CA Issue.

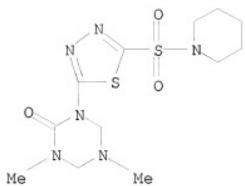
AB Thirty triazinones I [R = R1 = Me, Et; R = Me, R1 = Bu; NR1R2 = 1-pyrrolidinyl, morpholino, piperidino; R2 = Me, allyl, R3SCH2CH2 (R3 = Me, Et, CHMe2), MeO(CH2)3, CH2C.tplbond.CH, CMe3,, CHMe2, Bu, Et, Pr, (CH2)5Me, CH2Ph, pyrrolidinyl, (CH2)6Me, CHMeEt], useful as herbicides, were prepared by cyclizing thiadiazolylureas II with 2 equivalent HCHO and 1 equivalent amine R2NH2. Thus, II (R = R1 = Me), 35% formalin, and EtOH was treated within 5 min with 40% aqueous MeNH2; after the reaction moderated, the mixture was refluxed 30 min and worked up to give I. I (R-R2 = Me) killed >50% weeds without permanent damage to cotton and soybeans at 1 kg/hr in preemergence tests and similarly in postemergence tests, except that corn was also not permanently damaged.

IT 57824-89-2P 57824-95-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

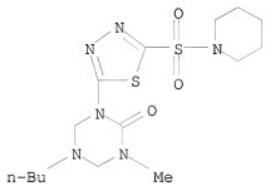
RN 57824-89-2 CAPLUS

CN Piperidine, 1-[5-(tetrahydro-3,5-dimethyl-2-oxo-1,3,5-triazin-1(2H)-yl)-1,3,4-thiadiazol-2-yl]sulfonyl]-(9CI) (CA INDEX NAME)



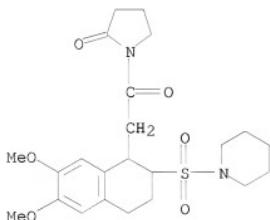
RN 57824-95-0 CAPLUS

CN Piperidine, 1-[(5-(5-(5-(1-methyl-4-nitro-1-oxo-4-phenyl-1,3-dihydro-2H-1,2-dioxin-2-yl)-4-methyl-1,3-dihydro-2H-1,2-dioxin-2-yl)-5-thiophenyl)-4-methyl-1,3-dihydro-2H-1,2-dioxin-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 63 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1973:136188 CAPLUS
 DOCUMENT NUMBER: 78:136188
 ORIGINAL REFERENCE NO.: 78:21877a,21880a
 TITLE: New class of sultones and related compounds
 AUTHOR(S): Paull, Kenneth D.; Cheng, C. C.
 CORPORATE SOURCE: Midwest Res. Inst., Kansas City, MO, USA
 SOURCE: Journal of Heterocyclic Chemistry (1973), 10(1), 137-8
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The pyrrolidinone (I) was treated with concentrated H₂SO₄ and excess Ac₂O to give the oxathian (II, R = MeO)(III). II (R = H) was similarly prepared; III was treated with KOH to give the ester (IV). III was treated with PhCH₂NH₂ to give the sulfonamide (V). III and piperidine gave the imide sulfonamide (VI).
 IT 40633-51-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 40633-51-0 CAPLUS
 CN 2-Pyrrolidinone, 1-[(1,2,3,4-tetrahydro-6,7-dimethoxy-2-(1-piperidinylsulfonyl)-1-naphthalenyl)acetyl]-, didehydro deriv. (9CI) (CA INDEX NAME)

CM 1
 CRN 48227-33-4
 CMF C23 H32 N2 O6 S



L12 ANSWER 64 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1952:34397 CAPLUS
 DOCUMENT NUMBER: 46:34397
 ORIGINAL REFERENCE NO.: 46:5861c-g
 TITLE: Anthrimides
 INVENTOR(S): Scalera, Mario; Stewart, Hugh W.
 PATENT ASSIGNEE(S): American Cyanamid Co.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2590825		19520325	US 1950-172622	19500707

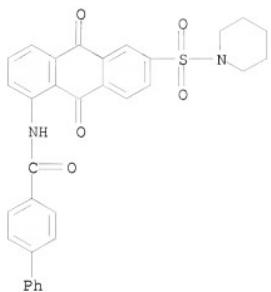
AB Anthrimides are prepared by the Ullmann reaction from 1-haloanthraquinone and 2-aminoanthraquinone compds. in an organic solvent in the presence of a cupriferous catalyst containing 0.9-2.0 atoms iodine per atom Cu. Thus a slurry of 152 parts 1-chloro-5-benzamidoanthraquinone, 47.6 parts 2,6-diaminoanthraquinone (I), 85 parts Na₂CO₃, 10.2 parts Cu powder, 25.4 parts iodine, and 1520 parts PhNO₂ was boiled under reflux 24 hrs. After cooling the product was filtered, washed with PhNO₂, EtOH, and H₂O, and dried to yield 156 parts (88%) 5,5''-dibenzamido-1,2'-6',1''-trianthrimide, green color in concentrated H₂SO₄, red-brown on dilution; dyes cotton red-brown from vat. By similar reactions of the appropriate derivs. of 1-chloroanthraquinone with I the following dyes were prepared: 1,2'-6',1''-trianthrimide, green in concentrated H₂SO₄, dyes cotton reddish brown from vat; 8,8''-dibenzamido-1,2'-6',1''-trianthrimide, green in concentrated H₂SO₄, dyes cotton bluish brown from vat; and a mixture of 5,5''-dibenzamido-1,2'-6',1''-trianthrimide and 8,-8''-dibenzamido-1,2'-6',1''-trianthrimide, dyes cotton rich red-brown with outstanding fastness. Reaction of 2-aminoanthraquinone with the appropriate derivs. of 1-chloroanthraquinone gave 4'-benzamido-2,1'-dianthrimide dyes cotton a Corinth shade from vat; and a mixture of 5'-benzamido-2,1'-dianthrimide-8'-benzamido-2,1'-dianthrimide, dyes cotton brown from a hydrosulfite bath. Reaction of I with 1,5-dichloroanthraquinone yields a tetranthrimide, brown product which dyes cotton in reddish brown shades; and I with 1-chloro-4-methoxyanthraquinone yields 4,4''-dimethoxy-1,2'-6',1''-trianthrimide, blue-black powder which gives violet-brown dyeings.

IT 875853-60-4P, 4-Biphenylcarboxamide, N-[6-(piperidinosulfonyl)-1-anthraquinonyl]-

RL: PREP (Preparation)
 (preparation of)

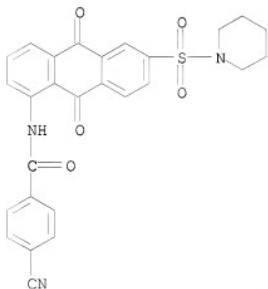
RN 875853-60-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[9,10-dihydro-9,10-dioxo-6-(1-piperidinylsulfonyl)-1-anthracyenyl]- (CA INDEX NAME)



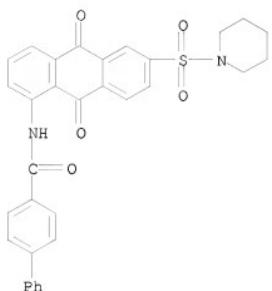
L12 ANSWER 65 OF 65 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1952:34396 CAPLUS
 DOCUMENT NUMBER: 46:34396
 ORIGINAL REFERENCE NO.: 46:5861a-c
 TITLE: Derivatives of bis(1-aminoanthraquinone-6-sulfon)piperazine
 INVENTOR(S): Jenny, Walter; Kern, Walter
 PATENT ASSIGNEE(S): C I B A Ltd.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2585555	-----	19520212	US 1951-207833	19510125
AB	In addition to the compds. prepared in Swiss 260,308 (C. A. 44, 7065i) and Swiss 265, 731-2-3-4-5-6 (C.A. 45, 872d) the following dyes are prepared 1-Amino-6-anthraquinonesulfonpiperide I 25.5, p-NCC ₆ -H ₄ COCl 12, and PhNO ₂ 280 parts are stirred for 3 hrs. at 90-100° to give a dye, giving pure green-yellow shades on cotton from an olive-brown vat. Two mols. 1-amino-6-anthraquinonesulfondiethanolamide (red needles) and 1 mol. terephthalic acid dichloride in PhNO ₂ gave a yellow powder, dyeing cotton yellow shades from an olive-brown vat. Stirring p-PhC ₆ H ₄ CO ₂ H 20, PhNO ₂ 350, SOCl ₂ 15, and a little C ₅ H ₅ N 1.5 hrs. at 90-100°, addition of I 37, stirring 2 hrs. at 120-30°, and cooling gave a yellow crystalline dye, giving green-yellow shades on cotton from a red-brown vat.			
IT	857603-86-2P, Anthraquinone, 1-p-cyanobenzamido-6-(piperidinosulfonyl)- 875853-60-4P, 4-Biphenylcarboxamide, N-[6-(piperidinosulfonyl)-1-anthraquinonyl]-			
	RL: PREP (Preparation) (preparation of)			
RN	857603-86-2 CAPLUS			
CN	Benzamide, 4-cyano-N-[9,10-dihydro-9,10-dioxo-6-(1-piperidinylsulfonyl)-1-anthracyenyl]- (CA INDEX NAME)			



RN 875853-60-4 CAPLUS
 CN [1,1'-Biphenyl]-4-carboxamide, N-[9,10-dihydro-9,10-dioxo-6-(1-

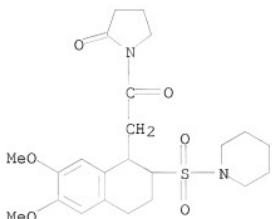
piperidinylsulfonyl)-1-anthracenyl]- (CA INDEX NAME)



10/070,954

=> => d 110 853

L10 ANSWER 853 OF 853 REGISTRY COPYRIGHT 2008 ACS on STN
RN 48227-33-4 REGISTRY
ED Entered STN: 16 Nov 1984
CN 2-Pyrrolidinone, 1-[(1,2,3,4-tetrahydro-6,7-dimethoxy-2-(1-piperidinylsulfonyl)-1-naphthalenyl)acetyl]- (9CI) (CA INDEX NAME)
MF C23 H32 N2 O6 S
CI COM

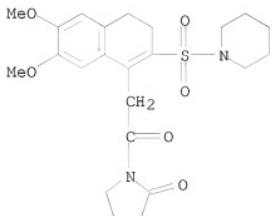


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10/070,954

=> d 110 852

L10 ANSWER 852 OF 853 REGISTRY COPYRIGHT 2008 ACS on STN
RN 56701-29-2 REGISTRY
ED Entered STN: 16 Nov 1984
CN 2-Pyrrolidinone, 1-[[3,4-dihydro-6,7-dimethoxy-2-(1-piperidinylsulfonyl)-1-naphthalenyl]acetyl]- (9CI) (CA INDEX NAME)
MF C23 H30 N2 O6 S
LC STN Files: BEILSTEIN*, SPECINFO
(*File contains numerically searchable property data)

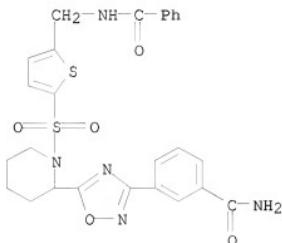


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/070,954

=> d 110 851

L10 ANSWER 851 OF 853 REGISTRY COPYRIGHT 2008 ACS on STN
RN 322416-58-0 REGISTRY
ED Entered STN: 20 Feb 2001
CN Benzamide, N-[{5-[(2-{[3-(aminocarbonyl)phenyl]-1,2,4-oxadiazol-5-yl}-1-piperidinyl)sulfonyl]-2-thienyl}methyl]- (CA INDEX NAME)
MF C26 H25 N5 O5 S2
SR Chemical Library
Supplier: LION bioscience AG



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/070,954

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